! FINDPATTERNS on geneseqp:* allowing 0 mismatches

! 1 <G{0,8}R{5,20}> September 7, 2005 14:07 ..

AAR27776 ck: 6396 len: 12 ! Aar27776 Transactivation-deficient, HIV TAR

1 use accession # to match sequence alignment to citation

<G{0,8}R{5,20}> — pattern searched
R{12} — pattern matched
1: RRRRRRRRRRRR — matching portion of database sequence

AAR24012 ck: 3690 len: 9 ! Aar24012 Transactivation-deficient, HIV TAR

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAR44179 ck: 2296 len: 7 ! Aar44179 Anti-herpetic peptide. 3/2003

1 <G{0,8}R{5,20}>
R{7}
1: RRRRRRR

AAR44180 ck: 2952 len: 8 ! Aar44180 Anti-herpetic peptide. 3/2003

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAR44182 ck: 4510 len: 10 ! Aar44182 Anti-herpetic peptide. 3/2003

1 <G{0,8}R{5,20}>
R{10}
1: RRRRRRRRRR

AAR44181 ck: 3690 len: 9 ! Aar44181 Anti-herpetic peptide. 3/2003

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAR62109 ck: 1722 len: 6 ! Aar62109 Hydrophilic, basic motif from nucl

1 <G{0,8}R{5,20}>
R{6}
1: RRRRRR

AAR57118 ck: 3690 len: 9 ! Aar57118 Composition for treating viral inf

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAR70518 ck: 3690 len: 9 ! Aar70518 Anti-cytomegalovirus peptide acety

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAR70512 ck: 1722 len: 6 ! Aar70512 Anti-cytomegalovirus peptide. 1/19

1 <G{0,8}R{5,20}>
R{6}
1: RRRRRR

AAR70515 ck: 3690 len: 9 ! Aar70515 Anti-cytomegalovirus peptide. 1/19

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAR70516 ck: 4510 len: 10 ! Aar70516 Anti-cytomegalovirus peptide. 1/19

1 <G{0,8}R{5,20}>
R{10}
1: RRRRRRRRRR

AAR70514 ck: 2952 len: 8 ! Aar70514 Anti-cytomegalovirus peptide. 1/19

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAR70513 ck: 2296 len: 7 ! Aar70513 Anti-cytomegalovirus peptide. 1/19

1 <G{0,8}R{5,20}>
R{7}
1: RRRRRRR

AAW24824 ck: 4510 len: 10 ! Aaw24824 Anti-cytomegalovirus peptide #23.

1 <G{0,8}R{5,20}>
R{10}
1: RRRRRRRRRR

AAW24821 ck: 2296 len: 7 ! Aaw24821 Anti-cytomegalovirus peptide #20.

1 <G{0,8}R{5,20}>
R{7}
1: RRRRRRR

AAW24822 ck: 2952 len: 8 ! Aaw24822 Anti-cytomegalovirus peptide #21.

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAW24820 ck: 1722 len: 6 ! Aaw24820 Anti-cytomegalovirus peptide #19.

1 <G{0,8}R{5,20}>
R{6}
1: RRRRRR

AAW24825 ck: 5412 len: 11 ! Aaw24825 Anti-cytomegalovirus peptide #24.

1 <G{0,8}R{5,20}>
R{11}
1: RRRRRRRRRRR

AAW24823 ck: 3690 len: 9 ! Aaw24823 Anti-cytomegalovirus peptide #22.

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAW24826 ck: 6396 len: 12 ! Aaw24826 Anti-cytomegalovirus peptide #25.

1 <G{0,8}R{5,20}>
R{12}
1: RRRRRRRRRRRR

AAW25626 ck: 2952 len: 8 ! Aaw25626 Peptide #21, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAW25606 ck: 3690 len: 9 ! Aaw25606 Peptide #1, inhibits HIV replicati

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAW25632 ck: 3690 len: 9 ! Aaw25632 Peptide #27, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAW25625 ck: 2296 len: 7 ! Aaw25625 Peptide #20, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{7}
1: RRRRRRR

AAW25629 ck: 5412 len: 11 ! Aaw25629 Peptide #24, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{11}
1: RRRRRRRRRRR

AAW25630 ck: 6396 len: 12 ! Aaw25630 Peptide #25, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{12}
1: RRRRRRRRRRRR

AAW25627 ck: 3690 len: 9 ! Aaw25627 Peptide #22, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAW25628 ck: 4510 len: 10 ! Aaw25628 Peptide #23, inhibits HIV replicat

1 <G{0,8}R{5,20}>
R{10}
1: RRRRRRRRRR

AAW19834 ck: 2952 len: 8 ! Aaw19834 Chimeric adenovirus coat protein u

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAW46337 ck: 1230 len: 5 ! Aaw46337 Binding domain of chimeric adenovi

1 <G{0,8}R{5,20}>
R{5}
1: RRRRR

AAW57994 ck: 6396 len: 12 ! Aaw57994 TAR binding transactivation defici

1 <G{0,8}R{5,20}>
R{12}
1: RRRRRRRRRRRR

AAW66581 ck: 1722 len: 6 ! Aaw66581 Peptide component of NMDA channel

1 <G{0,8}R{5,20}>
R{6}
1: RRRRRR

AAW67311 ck: 3690 len: 9 ! Aaw67311 Peptide which inhibits CAT express

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAW67313 ck: 1230 len: 5 ! Aaw67313 Control peptide #2. 12/1998

1 <G{0,8}R{5,20}>
R{5}
1: RRRRR

AAY83996 ck: 1230 len: 5 ! Aay83996 Arginine isomer #1 for channel-spe

1 <G{0,8}R{5,20}>
R{5}
1: RRRRR

AAM52229 ck: 2952 len: 8 ! Aam52229 Peptide SEQ ID NO 11. 2/2002

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAU00807 ck: 3690 len: 9 ! Aau00807 Arginine oligomer, R9, for use as

1 <G{0,8}R{5,20}>
R{9}
1: RRRRRRRRR

AAU00806 ck: 2952 len: 8 ! Aau00806 Arginine oligomer, R8, for use as

1 <G{0,8}R{5,20}>
R{8}
1: RRRRRRRR

AAU00804 ck: 1722 len: 6 ! Aau00804 Arginine oligomer, R6, for use as

1 <G{0,8}R{5,20}>
R{6}
1: RRRRRR

AAU00805 ck: 2296 len: 7 ! Aau00805 Arginine oligomer, R7, for use as

1 <G{0,8}R{5,20}>
R{7}
1: RRRRRRR

```
AAU00803  ck: 1230  len: 5     ! Aau00803 Arginine oligomer, R5, for use as
1                 <G{0,8}R{5,20}>
                       R{5}
  1:                   RRRRR

AAG79076  ck: 9840  len: 15    ! Aag79076 Peptide which inhibits vascular en
1                 <G{0,8}R{5,20}>
                       R{15}
  1:              RRRRRRRRRRRRRRR

AAG79065  ck: 1722  len: 6     ! Aag79065 Peptide which inhibits vascular en
1                 <G{0,8}R{5,20}>
                       R{6}
  1:                 RRRRRR

AAG79077  ck: 6396  len: 12    ! Aag79077 Peptide which inhibits vascular en
1                 <G{0,8}R{5,20}>
                       R{12}
  1:               RRRRRRRRRRRR

AAE28375  ck: 7220  len: 20    ! Aae28375 Peptide #1 used in the invention.
1                 <G{0,8}R{5,20}>
                       R{20}
  1:             RRRRRRRRRRRRRRRRRRRR

ABP54103  ck: 5580  len: 19    ! Abp54103 Transport moiety cellular uptake p
1                 <G{0,8}R{5,20}>
                       R{19}
  1:             RRRRRRRRRRRRRRRRRRR

ABP54105  ck: 2296  len: 7     ! Abp54105 Spaced arginine transport moiety p
1                 <G{0,8}R{5,20}>
                       R{7}
  1:                 RRRRRRR

ABP54102  ck: 7462  len: 13    ! Abp54102 Transport moiety cellular uptake p
1                 <G{0,8}R{5,20}>
                       R{13}
  1:              RRRRRRRRRRRRR

AAO19055  ck: 1230  len: 5     ! Aao19055 Mutation detection method tag pept
1                 <G{0,8}R{5,20}>
                       R{5}
  1:                   RRRRR

AAO19057  ck: 1230  len: 5     ! Aao19057 Mutation detection method tag pept
1                 <G{0,8}R{5,20}>
                       R{5}
  1:                   RRRRR

AAU78931  ck: 4499  len: 10    ! Aau78931 9 Arginine peptide. 6/2002
1                 <G{0,8}R{5,20}>
                       GR{9}
  1:             GRRRRRRRRR

AAE22208  ck: 5412  len: 11    ! Aae22208 Cationic peptide. 7/2002
1                 <G{0,8}R{5,20}>
                       R{11}
  1:            RRRRRRRRRRR

ABP54749  ck: 1230  len: 5     ! Abp54749 Arginine oligomer d-R5. 12/2002
1                 <G{0,8}R{5,20}>
                       R{5}
  1:                   RRRRR

ABP54748  ck: 3690  len: 9     ! Abp54748 Arginine oligomer R9. 12/2002
1                 <G{0,8}R{5,20}>
                       R{9}
  1:               RRRRRRRRR

ABP54750  ck: 1722  len: 6     ! Abp54750 Arginine oligomer d-R6. 12/2002
1                 <G{0,8}R{5,20}>
                       R{6}
  1:                 RRRRRR

ABP54752  ck: 2952  len: 8     ! Abp54752 Arginine oligomer d-R8. 12/2002
1                 <G{0,8}R{5,20}>
                       R{8}
  1:               RRRRRRRR

ABP54746  ck: 2296  len: 7     ! Abp54746 Arginine oligomer R7. 12/2002
1                 <G{0,8}R{5,20}>
                       R{7}
  1:                 RRRRRRR

ABP54751  ck: 2296  len: 7     ! Abp54751 Arginine oligomer d-R7. 12/2002
1                 <G{0,8}R{5,20}>
                       R{7}
  1:                 RRRRRRR

ABP54747  ck: 2952  len: 8     ! Abp54747 Arginine oligomer R8. 12/2002
1                 <G{0,8}R{5,20}>
                       R{8}
  1:               RRRRRRRR

ABP54745  ck: 1722  len: 6     ! Abp54745 Arginine oligomer R6. 12/2002
1                 <G{0,8}R{5,20}>
                       R{6}
  1:                 RRRRRR

ABP54744  ck: 1230  len: 5     ! Abp54744 Arginine oligomer R5. 12/2002
1                 <G{0,8}R{5,20}>
                       R{5}
  1:                   RRRRR
```

ABP54753 ck: 3690 len: 9 ! Abp54753 Arginine oligomer d-R9. 12/2002

```
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR
```

AAM48646 ck: 1722 len: 6 ! Aam48646 Anti-inflammatory peptide SEQ ID N

```
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR
```

AAM48648 ck: 2952 len: 8 ! Aam48648 Anti-inflammatory peptide SEQ ID N

```
1                <G{0,8}R{5,20}>
                      R{8}
 1:                RRRRRRRR
```

AAM48649 ck: 3690 len: 9 ! Aam48649 Anti-inflammatory peptide SEQ ID N

```
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR
```

AAM48651 ck: 5412 len: 11 ! Aam48651 Anti-inflammatory peptide SEQ ID N

```
1                <G{0,8}R{5,20}>
                      R{11}
 1:              RRRRRRRRRRR
```

AAM48647 ck: 2296 len: 7 ! Aam48647 Anti-inflammatory peptide SEQ ID N

```
1                <G{0,8}R{5,20}>
                      R{7}
 1:                 RRRRRRR
```

AAM48650 ck: 4510 len: 10 ! Aam48650 Anti-inflammatory peptide SEQ ID N

```
1                <G{0,8}R{5,20}>
                      R{10}
 1:              RRRRRRRRRR
```

AAO14614 ck: 4444 len: 10 ! Aao14614 Positively charged branching group

```
1                <G{0,8}R{5,20}>
                    G{3}R{7}
 1:               GGGRRRRRRR
```

AAO14612 ck: 2941 len: 8 ! Aao14612 Positively charged branching group

```
1                <G{0,8}R{5,20}>
                      GR{7}
 1:                GRRRRRRR
```

AAE16152 ck: 3690 len: 9 ! Aae16152 Arginine oligomer for synthesising

```
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR
```

ABR57041 ck: 1722 len: 6 ! Abr57041 Furin-recognition peptide sequence

```
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR
```

ABR55458 ck: 1711 len: 6 ! Abr55458 Amino acid sequence of a zinc-bind

```
1                <G{0,8}R{5,20}>
                      GR{5}
 1:                GRRRRR
```

ABR55454 ck: 2919 len: 8 ! Abr55454 Amino acid sequence of a zinc-bind

```
1                <G{0,8}R{5,20}>
                    G{2}R{6}
 1:                GGRRRRRR
```

ABR55459 ck: 2886 len: 8 ! Abr55459 Amino acid sequence of a zinc-bind

```
1                <G{0,8}R{5,20}>
                    G{3}R{5}
 1:                GGGRRRRR
```

ABR55455 ck: 2263 len: 7 ! Abr55455 Amino acid sequence of a zinc-bind

```
1                <G{0,8}R{5,20}>
                    G{2}R{5}
 1:                 GGRRRRR
```

ABP96993 ck: 1230 len: 5 ! Abp96993 Anti-inflammatory polybasic peptid

```
1                <G{0,8}R{5,20}>
                      R{5}
 1:                 RRRRR
```

ABP96995 ck: 2296 len: 7 ! Abp96995 Anti-inflammatory polybasic peptid

```
1                <G{0,8}R{5,20}>
                      R{7}
 1:                 RRRRRRR
```

ABP96994 ck: 1722 len: 6 ! Abp96994 Anti-inflammatory polybasic peptid

```
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR
```

ABP96996 ck: 2952 len: 8 ! Abp96996 Anti-inflammatory polybasic peptid

```
1                <G{0,8}R{5,20}>
                      R{8}
 1:                RRRRRRRR
```

ABP96999 ck: 5412 len: 11 ! Abp96999 Anti-inflammatory polybasic peptid

```
1                <G{0,8}R{5,20}>
                      R{11}
 1:              RRRRRRRRRRR
```

ABP97000 ck: 6396 len: 12 ! Abp97000 Anti-inflammatory polybasic peptid

```
1                <G{0,8}R{5,20}>
                      R{12}
 1:             RRRRRRRRRRRR
```

```
ABP96997  ck: 3690  len: 9     ! Abp96997 Anti-inflammatory polybasic peptid
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR

ABP96998  ck: 4510  len: 10    ! Abp96998 Anti-inflammatory polybasic peptid
1                <G{0,8}R{5,20}>
                      R{10}
 1:               RRRRRRRRRR

AAO16669  ck: 3690  len: 9     ! Aao16669 Cell-permeable peptide #2. 5/2003
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR

ABP70231  ck: 2296  len: 7     ! Abp70231 Membrane translocating peptide frc
1                <G{0,8}R{5,20}>
                      R{7}
 1:                 RRRRRRR

ABR44173  ck: 3690  len: 9     ! Abr44173 Self cell-penetrating tat peptide.
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR

ABB82929  ck: 1722  len: 6     ! Abb82929 R6 peptide fragment. 3/2003
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR

ABR61935  ck: 3690  len: 9     ! Abr61935 Amino acid sequence of a carrier m
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR

ABR61954  ck: 3690  len: 9     ! Abr61954 Amino acid sequence of a carrier m
1                <G{0,8}R{5,20}>
                      R{9}
 1:                RRRRRRRRR

ADA61949  ck: 5412  len: 11    ! Ada61949 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{11}
 1:               RRRRRRRRRRR

ADA61942  ck: 2952  len: 8     ! Ada61942 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{8}
 1:                RRRRRRRR

ADA61943  ck: 2952  len: 8     ! Ada61943 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{8}
 1:                RRRRRRRR

ADA61941  ck: 2296  len: 7     ! Ada61941 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{7}
 1:                 RRRRRRR

ADA61947  ck: 2952  len: 8     ! Ada61947 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{8}
 1:                RRRRRRRR

ADA61946  ck: 2296  len: 7     ! Ada61946 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{7}
 1:                 RRRRRRR

ADA61940  ck: 1722  len: 6     ! Ada61940 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR

ADA61944  ck: 5412  len: 11    ! Ada61944 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{11}
 1:               RRRRRRRRRRR

ADA61948  ck: 4510  len: 10    ! Ada61948 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{10}
 1:               RRRRRRRRRR

ADA61945  ck: 1722  len: 6     ! Ada61945 NFkB essential modulator (NEMO) bi
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR

ADA45193  ck: 5412  len: 11    ! Ada45193 Protein transduction domain peptid
1                <G{0,8}R{5,20}>
                      R{11}
 1:               RRRRRRRRRRR

ADA88908  ck: 1722  len: 6     ! Ada88908 Internalised peptide SEQ ID NO:88.
1                <G{0,8}R{5,20}>
                      R{6}
 1:                 RRRRRR

ADA88909  ck: 2952  len: 8     ! Ada88909 Internalised peptide SEQ ID NO:89.
1                <G{0,8}R{5,20}>
                      R{8}
```

```
 1:          RRRRRRRR

ADA88910  ck: 4510  len: 10    ! Ada88910 Internalised peptide SEQ ID NO:90.
1          <G{0,8}R{5,20}>
                R{10}
 1:          RRRRRRRRRR

ADA88911  ck: 6396  len: 12    ! Ada88911 Internalised peptide SEQ ID NO:91.
1          <G{0,8}R{5,20}>
                R{12}
 1:         RRRRRRRRRRRR

AAR38688  ck: 3690  len: 9     ! Aae38688 R9 peptide with cellular uptake si
1          <G{0,8}R{5,20}>
                R{9}
 1:          RRRRRRRRR

ADC19907  ck: 7462  len: 13    ! Adc19907 Homo-D arginine transport peptide
1          <G{0,8}R{5,20}>
                R{13}
 1:         RRRRRRRRRRRRR

ADC19908  ck: 5580  len: 19    ! Adc19908 Homo-D arginine transport peptide
1          <G{0,8}R{5,20}>
                R{19}
 1:        RRRRRRRRRRRRRRRRRRR

ADC42899  ck: 3690  len: 9     ! Adc42899 Cellular uptake peptide #SEQ ID 13
1          <G{0,8}R{5,20}>
                R{9}
 1:          RRRRRRRRR

ADC38642  ck: 3690  len: 9     ! Adc38642 L-arginine oligomer (LR9). 12/2003
1          <G{0,8}R{5,20}>
                R{9}
 1:          RRRRRRRRR

ADD21429  ck: 5412  len: 11    ! Add21429 Protein transport domain related t
1          <G{0,8}R{5,20}>
                R{11}
 1:         RRRRRRRRRRR

ADE11604  ck: 4510  len: 10    ! Ade11604 Trojan protein inhibitor fragment
1          <G{0,8}R{5,20}>
                R{10}
 1:          RRRRRRRRRR

ADE11603  ck: 2952  len: 8     ! Ade11603 Trojan protein inhibitor fragment
1          <G{0,8}R{5,20}>
                R{8}
 1:           RRRRRRRR

ADE11602  ck: 1722  len: 6     ! Ade11602 Trojan protein inhibitor fragment
1          <G{0,8}R{5,20}>
                R{6}
 1:            RRRRRR

ADE11605  ck: 6396  len: 12    ! Ade11605 Trojan protein inhibitor fragment
1          <G{0,8}R{5,20}>
                R{12}
 1:         RRRRRRRRRRRR

ADE11606  ck: 1152  len: 16    ! Ade11606 Trojan protein inhibitor fragment
1          <G{0,8}R{5,20}>
                R{16}
 1:        RRRRRRRRRRRRRRRR

ADE01160  ck: 3690  len: 9     ! Ade01160 Human type-I collagen DP 182-246 r
1          <G{0,8}R{5,20}>
                R{9}
 1:          RRRRRRRRR

ADF50730  ck: 1230  len: 5     ! Adf50730 Penta-L-arginine furin peptide inh
1          <G{0,8}R{5,20}>
                R{5}
 1:           RRRRR

ADF50718  ck: 1722  len: 6     ! Adf50718 Hexa-L-arginine furin peptide inhi
1          <G{0,8}R{5,20}>
                R{6}
 1:            RRRRRR

ADF50731  ck: 2296  len: 7     ! Adf50731 Hepta-L-arginine furin peptide inh
1          <G{0,8}R{5,20}>
                R{7}
 1:           RRRRRRR

ADF50732  ck: 2952  len: 8     ! Adf50732 Octa-L-arginine furin peptide inhi
1          <G{0,8}R{5,20}>
                R{8}
 1:          RRRRRRRR

ADF50717  ck: 3690  len: 9     ! Adf50717 Nona-L-arginine furin peptide inhi
1          <G{0,8}R{5,20}>
                R{9}
 1:          RRRRRRRRR

ADG28006  ck: 2296  len: 7     ! Adg28006 Synthetic R7 protein transduction
1          <G{0,8}R{5,20}>
                R{7}
 1:           RRRRRRR

ADH44249  ck: 7220  len: 20    ! Adh44249 Cationic amino acid string #2. 3/2
1          <G{0,8}R{5,20}>
```

```
                R{20}
  1:        RRRRRRRRRRRRRRRRRRR

 ADL88644 ck: 2296  len: 7     ! Adl88644 R7 protein transduction domain (PT
1               <G{0,8}R{5,20}>
                R{7}
  1:            RRRRRRR

 ADN60211 ck: 1722  len: 6     ! Adn60211 Simian virus 40 modified NLS pepti
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADD32104 ck: 2952  len: 8     ! Add32104 (Arg)8 #SEQ ID 10. 1/2004
1               <G{0,8}R{5,20}>
                R{8}
  1:           RRRRRRRR

 ADF12139 ck: 7220  len: 20    ! Adf12139 Transfection enhancement associate
1               <G{0,8}R{5,20}>
                R{20}
  1:        RRRRRRRRRRRRRRRRRRR

 ADH31291 ck: 3690  len: 9     ! Adh31291 Silicon-based composite material f
1               <G{0,8}R{5,20}>
                R{9}
  1:           RRRRRRRRR

 ADH76872 ck: 5580  len: 19    ! Adh76872 Peptide with net positive charge,
1               <G{0,8}R{5,20}>
                R{19}
  1:        RRRRRRRRRRRRRRRRRRR

 ADH89694 ck: 3690  len: 9     ! Adh89694 Cell penetrating peptide (CPP) ide
1               <G{0,8}R{5,20}>
                R{9}
  1:           RRRRRRRRR

 ADM68208 ck: 3690  len: 9     ! Adm68208 Inositol sensor transit , R9. 6/20
1               <G{0,8}R{5,20}>
                R{9}
  1:           RRRRRRRRR

 ADM68207 ck: 2296  len: 7     ! Adm68207 Inositol sensor transit , R7. 6/20
1               <G{0,8}R{5,20}>
                R{7}
  1:            RRRRRRR

 ADL99099 ck: 2952  len: 8     ! Adl99099 CFTR internalising transduction do
1               <G{0,8}R{5,20}>
                R{8}
  1:           RRRRRRRR

 ADL99101 ck: 6396  len: 12    ! Adl99101 CFTR internalising transduction do
1               <G{0,8}R{5,20}>
                R{12}
  1:          RRRRRRRRRRRR

 ADL99100 ck: 4510  len: 10    ! Adl99100 CFTR internalising transduction do
1               <G{0,8}R{5,20}>
                R{10}
  1:           RRRRRRRRRR

 ADL99098 ck: 1722  len: 6     ! Adl99098 CFTR internalising transduction do
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADM06873 ck: 3690  len: 9     ! Adm06873 Polyarginine peptide for transmemb
1               <G{0,8}R{5,20}>
                R{9}
  1:           RRRRRRRRR

 ADN48982 ck: 2952  len: 8     ! Adn48982 Leader sequence #2 useful for fusi
1               <G{0,8}R{5,20}>
                R{8}
  1:           RRRRRRRR

 ADO26623 ck: 1722  len: 6     ! Ado26623 Synthetic leader sequence SEQ ID NO
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADO26629 ck: 1722  len: 6     ! Ado26629 Synthetic leader sequence SEQ ID NO
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADO26621 ck: 1722  len: 6     ! Ado26621 Synthetic leader sequence SEQ ID NO
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADO26619 ck: 1722  len: 6     ! Ado26619 Synthetic leader sequence SEQ ID NO
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADO26625 ck: 1722  len: 6     ! Ado26625 Synthetic leader sequence SEQ ID NO
1               <G{0,8}R{5,20}>
                R{6}
  1:            RRRRRR

 ADO26627 ck: 1722  len: 6     ! Ado26627 Synthetic leader sequence SEQ ID NO
```

```
1                   <G{0,8}R{5,20}>
                        R{6}
             1:          RRRRRR

            ADQ26227 ck: 3690  len: 9     ! Adq26227 Transport polypeptide BMIP-145 for

1                   <G{0,8}R{5,20}>
                        R{9}
             1:        RRRRRRRRR

            ADR21204 ck: 2296  len: 7     ! Adr21204 Novel cellular drug delivery metho

1                   <G{0,8}R{5,20}>
                        R{7}
             1:          RRRRRRR

            ADR21206 ck: 5412  len: 11    ! Adr21206 Novel cellular drug delivery metho

1                   <G{0,8}R{5,20}>
                        R{11}
             1:       RRRRRRRRRRR

            ADR21205 ck: 3690  len: 9     ! Adr21205 Novel cellular drug delivery metho

1                   <G{0,8}R{5,20}>
                        R{9}
             1:        RRRRRRRRR

            ADR50666 ck: 3690  len: 9     ! Adr50666 Membrane permeant poly-Arg peptide

1                   <G{0,8}R{5,20}>
                        R{9}
             1:        RRRRRRRRR

            ADR31966 ck: 3690  len: 9     ! Adr31966 Heat shock protein 20-derived pept

1                   <G{0,8}R{5,20}>
                        R{9}
             1:        RRRRRRRRR

            ADR82243 ck: 3690  len: 9     ! Adr82243 Cell permeation peptide amphiphili

1                   <G{0,8}R{5,20}>
                        R{9}
             1:        RRRRRRRRR

            ADS13896 ck: 2952  len: 8     ! Ads13896 Synthetic peptide 1 which shows af

1                   <G{0,8}R{5,20}>
                        R{8}
             1:        RRRRRRRR

 Databases searched:
       EMBL, Release 26.0, Released on 16Dec2004, Formatted on 7Jan2005

    Total finds:          154
   Total length:  386,760,381
Total sequences:    2,105,692
       CPU time:        05:23.90
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!!AA_SEQUENCE 1.0
ID   AAR27776 standard; protein; 12 AA.
XX
AC   AAR27776;
XX
DT   25-MAR-2003  (revised)
DT   17-NOV-1992  (first entry)
XX
DE   Transactivation-deficient, HIV TAR-binding compound 8.
XX
KW   tat; transactivator response element; TAR.
XX
OS   Synthetic.
XX
PN   WO9207871-A1.
XX
PD   14-MAY-1992.
XX
PF   23-OCT-1991;   91WO-CA000378.
XX
PR   24-OCT-1990;   90US-00602953.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sumner-Smith M,  Barnett RW,  Reid LS,  Sonenberg N;
XX
DR   WPI; 1992-183624/22.
XX
PT   Trans activation-deficient, HIV TAR-binding oligopeptide(s) - inhibit TAT
PT   -mediated trans activation of HIV gene expression, for treating HIV
PT   infection.
XX
PS   Claim 13; Page 32; 44pp; English.
XX
CC   The sequences given in AAR24009 - AAR24015 and AAR27776 - AAR27779 are
CC   oligopeptides which are useful to inhibit HIV replication in virally
CC   infected individuals. The peptides compete with endogenous tat, an HIV
CC   accelerated viral replication mediating protein, for binding to the
CC   transactivator response element (TAR), an RNA hairpin structure. These
CC   peptides bind to TAR with a selectivity similar to that exhibited by tat.
CC   These peptides are useful in a pharmaceutical compsn. for treating HIV-
CC   infected individuals and they inhibit HIV replication in such
CC   individuals. (Updated on 25-MAR-2003 to correct PN field.) (Updated on 25
CC   -MAR-2003 to correct PA field.)
XX
SQ   Sequence 12 AA;

AAR27776  Length: 12  September 7, 2005 16:24  Type: P  Check: 6396  ..

       1  RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID   AAR24012 standard; protein; 9 AA.
XX
AC   AAR24012;
XX
DT   25-MAR-2003  (revised)
DT   17-NOV-1992  (first entry)
XX
DE   Transactivation-deficient, HIV TAR-binding compound 4.
XX
KW   tat; transactivator response element; TAR.
XX
OS   Synthetic.
XX
PN   WO9207871-A1.
XX
PD   14-MAY-1992.
XX
PF   23-OCT-1991;   91WO-CA000378.
XX
PR   24-OCT-1990;   90US-00602953.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sumner-Smith M,  Barnett RW,  Reid LS,  Sonenberg N;
XX
DR   WPI; 1992-183624/22.
XX
PT   Trans activation-deficient, HIV TAR-binding oligopeptide(s) - inhibit TAT
PT   -mediated trans activation of HIV gene expression, for treating HIV
PT   infection.
XX
PS   Claim 18; Page 32; 44pp; English.
XX
CC   The sequences given in AAR24009 - AAR24015 and AAR27776 - AAR27779 are
CC   oligopeptides which are useful to inhibit HIV replication in virally
CC   infected individuals. The peptides compete with endogenous tat, an HIV
CC   accelerated viral replication mediating protein, for binding to the
CC   transactivator response element (TAR), an RNA hairpin structure. These
CC   peptides bind to TAR with a selectivity similar to that exhibited by tat.
CC   These peptides are useful in a pharmaceutical compsn. for treating HIV-
CC   infected individuals and they inhibit HIV replication in such
CC   individuals. (Updated on 25-MAR-2003 to correct PN field.) (Updated on 25
CC   -MAR-2003 to correct PA field.)
XX
SQ   Sequence 9 AA;

 AAR24012  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR44179 standard; peptide; 7 AA.
XX
AC   AAR44179;
XX
DT   25-MAR-2003  (revised)
DT   17-MAY-1994  (first entry)
XX
DE   Anti-herpetic peptide.
XX
KW   Treatment; herpes virus infection; antiherpetic.
XX
OS   Synthetic.
XX
PN   WO9321941-A1.
XX
PD   11-NOV-1993.
XX
PF   21-APR-1993;   93WO-CA000166.
XX
PR   23-APR-1992;   92US-00872398.
XX
PA   (KIRW/) KIRWOOD S D.
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Barnett RW,  Summer-Smith M;
XX
DR   WPI; 1993-368410/46.
XX
PT   Compsns. for treatment of herpes virus infections - contg.
PT   oligopeptide(s), esp. nona:D-arginine peptide, as active agent.
XX
PS   Disclosure; Page 10; 36pp; English.
XX
CC   The peptide may be used in a compsn. for the treatment of herpes virus
CC   infection in humans or animals, this may be administered topically or
CC   systemically. The peptide is prepd. by conventional methods, e.g., by
CC   solid phase synthesis methods. (Updated on 25-MAR-2003 to correct PN
CC   field.) (Updated on 25-MAR-2003 to correct PA field.)
XX
SQ   Sequence 7 AA;

 AAR44179  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..
```

use accession # to match citation to sequence alignment

```
      1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR44180 standard; peptide; 8 AA.
XX
AC   AAR44180;
XX
DT   25-MAR-2003  (revised)
DT   17-MAY-1994  (first entry)
XX
DE   Anti-herpetic peptide.
XX
KW   Treatment; herpes virus infection; antiherpetic.
XX
OS   Synthetic.
XX
PN   WO9321941-A1.
XX
PD   11-NOV-1993.
XX
PF   21-APR-1993;   93WO-CA000166.
XX
PR   23-APR-1992;   92US-00872398.
XX
PA   (KIRW/) KIRWOOD S D.
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Barnett RW,  Summer-Smith M;
XX
DR   WPI; 1993-368410/46.
XX
PT   Compsns. for treatment of herpes virus infections - contg.
PT   oligopeptide(s), esp. nona:D-arginine peptide, as active agent.
XX
PS   Disclosure; Page 10; 36pp; English.
XX
CC   The peptide may be used in a compsn. for the treatment of herpes virus
CC   infection in humans or animals, this may be administered topically or
CC   systemically. The peptide is prepd. by conventional methods, e.g., by
CC   solid phase synthesis methods. (Updated on 25-MAR-2003 to correct PN
CC   field.) (Updated on 25-MAR-2003 to correct PA field.)
XX
SQ   Sequence 8 AA;

 AAR44180  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

      1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR44182 standard; peptide; 10 AA.
XX
AC   AAR44182;
XX
DT   25-MAR-2003  (revised)
DT   17-MAY-1994  (first entry)
XX
DE   Anti-herpetic peptide.
XX
KW   Treatment; herpes virus infection; antiherpetic.
XX
OS   Synthetic.
XX
PN   WO9321941-A1.
XX
PD   11-NOV-1993.
XX
PF   21-APR-1993;   93WO-CA000166.
XX
PR   23-APR-1992;   92US-00872398.
XX
PA   (KIRW/) KIRWOOD S D.
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Barnett RW,  Summer-Smith M;
XX
DR   WPI; 1993-368410/46.
XX
PT   Compsns. for treatment of herpes virus infections - contg.
PT   oligopeptide(s), esp. nona:D-arginine peptide, as active agent.
XX
PS   Disclosure; Page 10; 36pp; English.
XX
CC   The peptide may be used in a compsn. for the treatment of herpes virus
CC   infection in humans or animals, this may be administered topically or
CC   systemically. The peptide is prepd. by conventional methods, e.g., by
CC   solid phase synthesis methods. (Updated on 25-MAR-2003 to correct PN
CC   field.) (Updated on 25-MAR-2003 to correct PA field.)
XX
SQ   Sequence 10 AA;

AAR44182  Length: 10  September 7, 2005 16:24  Type: P  Check: 4510  ..

      1  RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR44181 standard; peptide; 9 AA.
XX
AC   AAR44181;
XX
DT   25-MAR-2003  (revised)
DT   17-MAY-1994  (first entry)
XX
DE   Anti-herpetic peptide.
XX
KW   Treatment; herpes virus infection; antiherpetic.
XX
OS   Synthetic.
XX
PN   WO9321941-A1.
XX
PD   11-NOV-1993.
XX
PF   21-APR-1993;   93WO-CA000166.
XX
PR   23-APR-1992;   92US-00872398.
XX
PA   (KIRW/) KIRWOOD S D.
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Barnett RW,  Summer-Smith M;
XX
DR   WPI; 1993-368410/46.
XX
PT   Compsns. for treatment of herpes virus infections - contg.
PT   oligopeptide(s), esp. nona:D-arginine peptide, as active agent.
XX
PS   Disclosure; Page 10; 36pp; English.
XX
CC   The peptide may be used in a compsn. for the treatment of herpes virus
CC   infection in humans or animals, this may be administered topically or
CC   systemically. The peptide is prepd. by conventional methods, e.g., by
CC   solid phase synthesis methods. (Updated on 25-MAR-2003 to correct PN
CC   field.) (Updated on 25-MAR-2003 to correct PA field.)
XX
SQ   Sequence 9 AA;

 AAR44181  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

      1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR62109 standard; peptide; 6 AA.
XX
AC   AAR62109;
XX
DT   25-MAR-2003  (revised)
```

DT 27-APR-1995 (first entry)
XX
DE Hydrophilic, basic motif from nuclear protein antigens.
XX
KW Small ribonucleoprotein complex; U1 snRNP; 70K protein; epitope;
KW autoantibody; immunoinfective cluster virus; nuclear protein antigen;
KW systemic rheumatic disorder; human immunodeficiency virus; HIV-1;
KW centromere CENP-B; thyroglobulin-h; thyroid peroxidase; scleroderma;
KW systemic lupus erythematosus.
XX
OS Homo sapiens.
XX
PN WO9420141-A1.
XX
PD 15-SEP-1994.
XX
PF 10-MAR-1994; 94WO-US002631.
XX
PR 11-MAR-1993; 93US-00029850.
XX
PA (UYSC-) UNIV SOUTHERN CALIFORNIA.
XX
PI Douvas A, Takehana Y, Ehresmann G;
XX
DR WPI; 1994-302689/37.
XX
PT Methods for treating immunoinfective cluster virus infections - utilise
PT antibodies or fragments characteristic of auto antibodies produced by
PT patients with rheumatic disorders.
XX
PS Disclosure; Page 8; 106pp; English.
XX
CC This sequence is an example of an hydrophilic motif made up of basic
CC amino acids and possibly found in nuclear protein antigens. As well as
CC occurring in normal human proteins, the motif is found in similar form in
CC immunoinfective cluster viruses. The motif serves as an epitope for anti-
CC viral antibodies and also for autoantibodies which occur in high titre in
CC patients suffering from systemic rheumatic disorders. Sera from such
CC patients could be used for treatment of immunoinfective cluster virus
CC (e.g. HIV, EBV, rubella virus) infections. (Updated on 25-MAR-2003 to
CC correct PN field.)
XX
SQ Sequence 6 AA;

AAR62109 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID AAR57118 standard; peptide; 9 AA.
XX
AC AAR57118;
XX
DT 25-MAR-2003 (revised)
DT 21-FEB-1995 (first entry)
XX
DE Composition for treating viral infection.
XX
KW Anti-viral; synergistic; viral infection; Herpes virus; HIV.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Modified-site 1. .9
FT /note= "~D-form residues~"
FT Modified-site 1
FT /label= Acyl-Arg
FT Modified-site 9
FT /label= Arg-NH2
XX
PN WO9414464-A1.
XX
PD 07-JUL-1994.
XX
PF 22-DEC-1993; 93WO-CA000561.
XX
PR 22-DEC-1992; 92US-00995742.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Twist M;
XX
DR WPI; 1994-234346/28.
XX
PT Synergistic compsns. used to treat a viral infection - comprises an
PT antiviral nucleoside analogue and an antiviral oligopeptide.
XX
PS Claim 5; Page 28; 38pp; English.
XX
CC This sequence represents a peptide which may be used in the composition
CC of the invention for the treatment of viral infection. The composition
CC further comprises a nucleoside analogue which inhibits viral infection.
CC This peptide is an anti-viral oligopeptide which conforms to the generic
CC sequence: R1-[X]-R2, where X = an oligopeptide consisting of 6-12
CC residues substantially all of which are D-Arg resiues. R1 = H or an N-
CC terminal protecting group and R2 = OH or a C-terminal protecting group.
CC The synergistic composition is used to treat viral infection in mammals,
CC eg. herpes virus or HIV infection. The compositions advantageously
CC comprises lower doses of the active anti-viral nucleoside analogue while
CC maintaining a level of anti-viral activity which is charcteristic of a
CC higher dose. As a result, the cytotoxicity, typically associated with
CC administration of an antiviral nucleoside analogue is minimised by the
CC use of the composition. (Updated on 25-MAR-2003 to correct PN field.)
XX
SQ Sequence 9 AA;

AAR57118 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAR70518 standard; peptide; 9 AA.
XX
AC AAR70518;
XX
DT 04-JAN-1996 (first entry)
XX
DE Anti-cytomegalovirus peptide acetyl-[D-Arg]9-NH2.
XX
KW Anti-cytomegalovirus; CMV; gancyclovir; foscarnet; AIDS; chemotherapy;
KW tissue rejection therapy; treatment; acetyl-[D-Arg]9-NH2.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .9
FT /note= "D-form residues"
FT Misc-difference 1
FT /note= "acetylated"
FT Misc-difference 9
FT /note= "amidated"
XX
PN WO9511038-A1.
XX
PD 27-APR-1995.
XX
PF 21-OCT-1994; 94WO-CA000590.
XX
PR 22-OCT-1993; 93US-00139757.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Twist M, Sumner-Smith M;
XX
DR WPI; 1995-170038/22.
XX

```
PT   Use of peptide(s) for prepn. of anti-Cytomegalovirus compsn. - e.g.
PT   acetyl-[D-Arg]9-NH2.
XX
PS   Claim 6; Page 32; 41pp; English.
XX
CC   AAR70494-R70518 are anti-cytomegalovirus (CMV) peptides, they can be used
CC   to treat CMV infections, pref. in combination with other agents, e.g.
CC   gancyclovir and foscarnet. They are esp. effective in the treatment of
CC   immunocompromised patients, i.e. AIDS patients and patients undergoing
CC   chemo- and tissue rejection therapy
XX
SQ   Sequence 9 AA;

 AAR70518  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR70512 standard; peptide; 6 AA.
XX
AC   AAR70512;
XX
DT   04-JAN-1996  (first entry)
XX
DE   Anti-cytomegalovirus peptide.
XX
KW   Anti-cytomegalovirus; CMV; gancyclovir; foscarnet; AIDS; chemotherapy;
KW   tissue rejection therapy; treatment.
XX
OS   Synthetic.
XX
PN   WO9511038-A1.
XX
PD   27-APR-1995.
XX
PF   21-OCT-1994;   94WO-CA000590.
XX
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1995-170038/22.
XX
PT   Use of peptide(s) for prepn. of anti-Cytomegalovirus compsn. - e.g.
PT   acetyl-[D-Arg]9-NH2.
XX
PS   Disclosure; Page 9; 41pp; English.
XX
CC   AAR70494-R70518 are anti-cytomegalovirus (CMV) peptides, they can be used
CC   to treat CMV infections, pref. in combination with other agents, e.g.
CC   gancyclovir and foscarnet. They are esp. effective in the treatment of
CC   immunocompromised patients, i.e. AIDS patients and patients undergoing
CC   chemo- and tissue rejection therapy
XX
SQ   Sequence 6 AA;

 AAR70512  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   AAR70515 standard; peptide; 9 AA.
XX
AC   AAR70515;
XX
DT   04-JAN-1996  (first entry)
XX
DE   Anti-cytomegalovirus peptide.
XX
KW   Anti-cytomegalovirus; CMV; gancyclovir; foscarnet; AIDS; chemotherapy;
KW   tissue rejection therapy; treatment.
XX
OS   Synthetic.
XX
PN   WO9511038-A1.
XX
PD   27-APR-1995.
XX
PF   21-OCT-1994;   94WO-CA000590.
XX
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1995-170038/22.
XX
PT   Use of peptide(s) for prepn. of anti-Cytomegalovirus compsn. - e.g.
PT   acetyl-[D-Arg]9-NH2.
XX
PS   Disclosure; Page 9; 41pp; English.
XX
CC   AAR70494-R70518 are anti-cytomegalovirus (CMV) peptides, they can be used
CC   to treat CMV infections, pref. in combination with other agents, e.g.
CC   gancyclovir and foscarnet. They are esp. effective in the treatment of
CC   immunocompromised patients, i.e. AIDS patients and patients undergoing
CC   chemo- and tissue rejection therapy
XX
SQ   Sequence 9 AA;

 AAR70515  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAR70516 standard; peptide; 10 AA.
XX
AC   AAR70516;
XX
DT   04-JAN-1996  (first entry)
XX
DE   Anti-cytomegalovirus peptide.
XX
KW   Anti-cytomegalovirus; CMV; gancyclovir; foscarnet; AIDS; chemotherapy;
KW   tissue rejection therapy; treatment.
XX
OS   Synthetic.
XX
PN   WO9511038-A1.
XX
PD   27-APR-1995.
XX
PF   21-OCT-1994;   94WO-CA000590.
XX
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1995-170038/22.
XX
PT   Use of peptide(s) for prepn. of anti-Cytomegalovirus compsn. - e.g.
PT   acetyl-[D-Arg]9-NH2.
XX
PS   Disclosure; Page 9; 41pp; English.
XX
CC   AAR70494-R70518 are anti-cytomegalovirus (CMV) peptides, they can be used
CC   to treat CMV infections, pref. in combination with other agents, e.g.
CC   gancyclovir and foscarnet. They are esp. effective in the treatment of
CC   immunocompromised patients, i.e. AIDS patients and patients undergoing
CC   chemo- and tissue rejection therapy
XX
```

SQ Sequence 10 AA;

AAR70516 Length: 10 September 7, 2005 16:24 Type: P Check: 4510 ..

1 RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAR70514 standard; peptide; 8 AA.
XX
AC AAR70514;
XX
DT 04-JAN-1996 (first entry)
XX
DE Anti-cytomegalovirus peptide.
XX
KW Anti-cytomegalovirus; CMV; gancyclovir; foscarnet; AIDS; chemotherapy;
KW tissue rejection therapy; treatment.
XX
OS Synthetic.
XX
PN WO9511038-A1.
XX
PD 27-APR-1995.
XX
PF 21-OCT-1994; 94WO-CA000590.
XX
PR 22-OCT-1993; 93US-00139757.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Twist M, Sumner-Smith M;
XX
DR WPI; 1995-170038/22.
XX
PT Use of peptide(s) for prepn. of anti-Cytomegalovirus compsn. - e.g.
PT acetyl-[D-Arg]9-NH2.
XX
PS Disclosure; Page 9; 41pp; English.
XX
CC AAR70494-R70518 are anti-cytomegalovirus (CMV) peptides, they can be used
CC to treat CMV infections, pref. in combination with other agents, e.g.
CC gancyclovir and foscarnet. They are esp. effective in the treatment of
CC immunocompromised patients, i.e. AIDS patients and patients undergoing
CC chemo- and tissue rejection therapy
XX
SQ Sequence 8 AA;

AAR70514 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID AAR70513 standard; peptide; 7 AA.
XX
AC AAR70513;
XX
DT 04-JAN-1996 (first entry)
XX
DE Anti-cytomegalovirus peptide.
XX
KW Anti-cytomegalovirus; CMV; gancyclovir; foscarnet; AIDS; chemotherapy;
KW tissue rejection therapy; treatment.
XX
OS Synthetic.
XX
PN WO9511038-A1.
XX
PD 27-APR-1995.
XX
PF 21-OCT-1994; 94WO-CA000590.
XX
PR 22-OCT-1993; 93US-00139757.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Twist M, Sumner-Smith M;
XX
DR WPI; 1995-170038/22.
XX
PT Use of peptide(s) for prepn. of anti-Cytomegalovirus compsn. - e.g.
PT acetyl-[D-Arg]9-NH2.
XX
PS Disclosure; Page 9; 41pp; English.
XX
CC AAR70494-R70518 are anti-cytomegalovirus (CMV) peptides, they can be used
CC to treat CMV infections, pref. in combination with other agents, e.g.
CC gancyclovir and foscarnet. They are esp. effective in the treatment of
CC immunocompromised patients, i.e. AIDS patients and patients undergoing
CC chemo- and tissue rejection therapy
XX
SQ Sequence 7 AA;

AAR70513 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID AAW24824 standard; peptide; 10 AA.
XX
AC AAW24824;
XX
DT 25-MAR-2003 (revised)
DT 09-OCT-1997 (first entry)
XX
DE Anti-cytomegalovirus peptide #23.
XX
KW Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW acquired immunodeficiency syndrome.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .10
FT /note= "D-form residues; the N-terminal residue is
FT preferably acylated and the C-terminal residue is
FT preferably amidated"
XX
PN US5633230-A.
XX
PD 27-MAY-1997.
XX
PF 31-OCT-1994; 94US-00332518.
XX
PR 24-OCT-1990; 90US-00602953.
PR 23-OCT-1991; 91US-00779735.
PR 23-APR-1992; 92US-00872398.
PR 22-DEC-1992; 92US-00995742.
PR 22-OCT-1993; 93US-00139757.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Twist M, Sumner-Smith M;
XX
DR WPI; 1997-309327/28.
XX
PT New cationic peptide rich in D-arginine residues - useful for treating
PT cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS Disclosure; Col 25; 20pp; English.
XX
CC Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC OH or a C-terminal protecting group, especially an amide group; and X is
CC an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC residues with a maximum of 3 other D-residue. The peptides are used for

```
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 10 AA;

AAW24824  Length: 10  September 7, 2005 16:24  Type: P  Check: 4510  ..

       1  RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW24821 standard; peptide; 7 AA.
XX
AC   AAW24821;
XX
DT   25-MAR-2003  (revised)
DT   09-OCT-1997  (first entry)
XX
DE   Anti-cytomegalovirus peptide #20.
XX
KW   Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW   acquired immunodeficiency syndrome.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .7
FT                   /note= "D-form residues; the N-terminal residue is
FT                   preferably acylated and the C-terminal residue is
FT                   preferably amidated"
XX
PN   US5633230-A.
XX
PD   27-MAY-1997.
XX
PF   31-OCT-1994;   94US-00332518.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
PR   23-APR-1992;   92US-00872398.
PR   22-DEC-1992;   92US-00995742.
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1997-309327/28.
XX
PT   New cationic peptide rich in D-arginine residues - useful for treating
PT   cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS   Disclosure; Col 23; 20pp; English.
XX
CC   Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC   R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC   OH or a C-terminal protecting group, especially an amide group; and X is
CC   an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC   preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC   residues with a maximum of 3 other D-residue. The peptides are used for
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 7 AA;

 AAW24821  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

       1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW24822 standard; peptide; 8 AA.
XX
AC   AAW24822;
XX
DT   25-MAR-2003  (revised)
DT   09-OCT-1997  (first entry)
XX
DE   Anti-cytomegalovirus peptide #21.
XX
KW   Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW   acquired immunodeficiency syndrome.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .8
FT                   /note= "D-form residues; the N-terminal residue is
FT                   preferably acylated and the C-terminal residue is
FT                   preferably amidated"
XX
PN   US5633230-A.
XX
PD   27-MAY-1997.
XX
PF   31-OCT-1994;   94US-00332518.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
PR   23-APR-1992;   92US-00872398.
PR   22-DEC-1992;   92US-00995742.
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1997-309327/28.
XX
PT   New cationic peptide rich in D-arginine residues - useful for treating
PT   cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS   Disclosure; Col 23; 20pp; English.
XX
CC   Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC   R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC   OH or a C-terminal protecting group, especially an amide group; and X is
CC   an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC   preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC   residues with a maximum of 3 other D-residue. The peptides are used for
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 8 AA;

 AAW24822  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

       1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW24820 standard; peptide; 6 AA.
XX
AC   AAW24820;
XX
DT   25-MAR-2003  (revised)
DT   09-OCT-1997  (first entry)
XX
DE   Anti-cytomegalovirus peptide #19.
XX
KW   Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW   acquired immunodeficiency syndrome.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .6
FT                   /note= "D-form residues; the N-terminal residue is
FT                   preferably acylated and the C-terminal residue is
```

```
FT                  preferably amidated"
XX
PN   US5633230-A.
XX
PD   27-MAY-1997.
XX
PF   31-OCT-1994;   94US-00332518.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
PR   23-APR-1992;   92US-00872398.
PR   22-DEC-1992;   92US-00995742.
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1997-309327/28.
XX
PT   New cationic peptide rich in D-arginine residues - useful for treating
PT   cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS   Disclosure; Col 23; 20pp; English.
XX
CC   Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC   R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC   OH or a C-terminal protecting group, especially an amide group; and X is
CC   an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC   preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC   residues with a maximum of 3 other D-residue. The peptides are used for
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 6 AA;

 AAW24820  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

      1  RRRRRR

!!AA_SEQUENCE 1.0
ID   AAW24825 standard; peptide; 11 AA.
XX
AC   AAW24825;
XX
DT   25-MAR-2003  (revised)
DT   09-OCT-1997  (first entry)
XX
DE   Anti-cytomegalovirus peptide #24.
XX
KW   Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW   acquired immunodeficiency syndrome.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .11
FT                   /note= "D-form residues; the N-terminal residue is
FT                   preferably acylated and the C-terminal residue is
FT                   preferably amidated"
XX
PN   US5633230-A.
XX
PD   27-MAY-1997.
XX
PF   31-OCT-1994;   94US-00332518.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
PR   23-APR-1992;   92US-00872398.
PR   22-DEC-1992;   92US-00995742.
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1997-309327/28.
XX
PT   New cationic peptide rich in D-arginine residues - useful for treating
PT   cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS   Disclosure; Col 25; 20pp; English.
XX
CC   Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC   R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC   OH or a C-terminal protecting group, especially an amide group; and X is
CC   an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC   preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC   residues with a maximum of 3 other D-residue. The peptides are used for
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 11 AA;

AAW24825  Length: 11  September 7, 2005 16:24  Type: P  Check: 5412  ..

      1  RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID   AAW24823 standard; peptide; 9 AA.
XX
AC   AAW24823;
XX
DT   25-MAR-2003  (revised)
DT   09-OCT-1997  (first entry)
XX
DE   Anti-cytomegalovirus peptide #22.
XX
KW   Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW   acquired immunodeficiency syndrome.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .9
FT                   /note= "D-form residues; the N-terminal residue is
FT                   preferably acylated and the C-terminal residue is
FT                   preferably amidated"
XX
PN   US5633230-A.
XX
PD   27-MAY-1997.
XX
PF   31-OCT-1994;   94US-00332518.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
PR   23-APR-1992;   92US-00872398.
PR   22-DEC-1992;   92US-00995742.
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1997-309327/28.
XX
PT   New cationic peptide rich in D-arginine residues - useful for treating
PT   cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS   Disclosure; Col 25; 20pp; English.
XX
CC   Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC   R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC   OH or a C-terminal protecting group, especially an amide group; and X is
```

```
CC   an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC   preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC   residues with a maximum of 3 other D-residue. The peptides are used for
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 9 AA;

 AAW24823  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW24826 standard; peptide; 12 AA.
XX
AC   AAW24826;
XX
DT   25-MAR-2003  (revised)
DT   09-OCT-1997  (first entry)
XX
DE   Anti-cytomegalovirus peptide #25.
XX
KW   Cytomegalovirus; infection; immunocompromised patient; AIDS;
KW   acquired immunodeficiency syndrome.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .12
FT                   /note= "D-form residues; the N-terminal residue is
FT                   preferably acylated and the C-terminal residue is
FT                   preferably amidated"
XX
PN   US5633230-A.
XX
PD   27-MAY-1997.
XX
PF   31-OCT-1994;   94US-00332518.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
PR   23-APR-1992;   92US-00872398.
PR   22-DEC-1992;   92US-00995742.
PR   22-OCT-1993;   93US-00139757.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Twist M,  Sumner-Smith M;
XX
DR   WPI; 1997-309327/28.
XX
PT   New cationic peptide rich in D-arginine residues - useful for treating
PT   cytomegalovirus infections, e.g. in immuno-compromised AIDS patients.
XX
PS   Disclosure; Col 25; 20pp; English.
XX
CC   Peptides AAW24802-26 are examples of peptides of formula: R1-X-R2, where
CC   R1 = H or a N-terminal protecting group, especially an acyl group; R2 =
CC   OH or a C-terminal protecting group, especially an amide group; and X is
CC   an oligopeptide chain of 'n' D-amino acid residues. The oligopeptide
CC   preferably has a net positive charge of n, n-1 or n-2. It comprises D-Arg
CC   residues with a maximum of 3 other D-residue. The peptides are used for
CC   treating cytomegalovirus infections in immunocompromised patients,
CC   especially AIDS patients. (Updated on 25-MAR-2003 to correct PF field.)
XX
SQ   Sequence 12 AA;

AAW24826  Length: 12  September 7, 2005 16:24  Type: P  Check: 6396  ..

       1  RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID   AAW25626 standard; peptide; 8 AA.
XX
AC   AAW25626;
XX
DT   25-MAR-2003  (revised)
DT   03-NOV-1997  (first entry)
XX
DE   Peptide #21, inhibits HIV replication.
XX
KW   Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .8
FT                   /note= "Opt. D-form residues"
XX
PN   US5646120-A.
XX
PD   08-JUL-1997.
XX
PF   14-DEC-1994;   94US-00357056.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sonenberg N,  Reid LS,  Barnett RW,  Sumner-Smith M;
XX
DR   WPI; 1997-362969/33.
XX
PT   New D-arginine oligomers - useful as antiviral agents, especially against
PT   HIV.
XX
PS   Disclosure; Col 6; 14pp; English.
XX
CC   The sequences given in AAW25606-33 represent peptides which can be used
CC   in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC   a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC   alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC   or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC   residues. The compounds are useful as antiviral agents, especially for
CC   inhibiting HIV replication. They are administered in intravenous doses of
CC   1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC   to correct PF field.)
XX
SQ   Sequence 8 AA;

 AAW25626  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

       1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW25606 standard; peptide; 9 AA.
XX
AC   AAW25606;
XX
DT   25-MAR-2003  (revised)
DT   03-NOV-1997  (first entry)
XX
DE   Peptide #1, inhibits HIV replication.
XX
KW   Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .9
FT                   /note= "D-form residues"
FT   Modified-site   1
FT                   /note= "Acetyl-D-Arg"
FT   Modified-site   9
FT                   /note= "Amidated C-terminal"
```

XX
PN US5646120-A.
XX
PD 08-JUL-1997.
XX
PF 14-DEC-1994; 94US-00357056.
XX
PR 24-OCT-1990; 90US-00602953.
PR 23-OCT-1991; 91US-00779735.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Sonenberg N, Reid LS, Barnett RW, Sumner-Smith M;
XX
DR WPI; 1997-362969/33.
XX
PT New D-arginine oligomers - useful as antiviral agents, especially against
PT HIV.
XX
PS Claim 5; Col 22; 14pp; English.
XX
CC The sequences given in AAW25606-33 represent peptides which can be used
CC in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC residues. The compounds are useful as antiviral agents, especially for
CC inhibiting HIV replication. They are administered in intravenous doses of
CC 1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC to correct PF field.)
XX
SQ Sequence 9 AA;

AAW25606 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAW25632 standard; peptide; 9 AA.
XX
AC AAW25632;
XX
DT 25-MAR-2003 (revised)
DT 03-NOV-1997 (first entry)
XX
DE Peptide #27, inhibits HIV replication.
XX
KW Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .9
FT /note= "D-form residues"
XX
PN US5646120-A.
XX
PD 08-JUL-1997.
XX
PF 14-DEC-1994; 94US-00357056.
XX
PR 24-OCT-1990; 90US-00602953.
PR 23-OCT-1991; 91US-00779735.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Sonenberg N, Reid LS, Barnett RW, Sumner-Smith M;
XX
DR WPI; 1997-362969/33.
XX
PT New D-arginine oligomers - useful as antiviral agents, especially against
PT HIV.
XX
PS Disclosure; Col 6; 14pp; English.
XX
CC The sequences given in AAW25606-33 represent peptides which can be used
CC in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC residues. The compounds are useful as antiviral agents, especially for
CC inhibiting HIV replication. They are administered in intravenous doses of
CC 1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC to correct PF field.)
XX
SQ Sequence 9 AA;

AAW25632 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAW25625 standard; peptide; 7 AA.
XX
AC AAW25625;
XX
DT 25-MAR-2003 (revised)
DT 03-NOV-1997 (first entry)
XX
DE Peptide #20, inhibits HIV replication.
XX
KW Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .7
FT /note= "Opt. D-form residues"
XX
PN US5646120-A.
XX
PD 08-JUL-1997.
XX
PF 14-DEC-1994; 94US-00357056.
XX
PR 24-OCT-1990; 90US-00602953.
PR 23-OCT-1991; 91US-00779735.
XX
PA (ALLX) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI Sonenberg N, Reid LS, Barnett RW, Sumner-Smith M;
XX
DR WPI; 1997-362969/33.
XX
PT New D-arginine oligomers - useful as antiviral agents, especially against
PT HIV.
XX
PS Disclosure; Col 6; 14pp; English.
XX
CC The sequences given in AAW25606-33 represent peptides which can be used
CC in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC residues. The compounds are useful as antiviral agents, especially for
CC inhibiting HIV replication. They are administered in intravenous doses of
CC 1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC to correct PF field.)
XX
SQ Sequence 7 AA;

AAW25625 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0

```
ID   AAW25629 standard; peptide; 11 AA.
XX
AC   AAW25629;
XX
DT   25-MAR-2003  (revised)
DT   03-NOV-1997  (first entry)
XX
DE   Peptide #24, inhibits HIV replication.
XX
KW   Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .11
FT                   /note= "Opt. D-form residues"
XX
PN   US5646120-A.
XX
PD   08-JUL-1997.
XX
PF   14-DEC-1994;   94US-00357056.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sonenberg N,  Reid LS,  Barnett RW,  Sumner-Smith M;
XX
DR   WPI; 1997-362969/33.
XX
PT   New D-arginine oligomers - useful as antiviral agents, especially against
PT   HIV.
XX
PS   Disclosure; Col 6; 14pp; English.
XX
CC   The sequences given in AAW25606-33 represent peptides which can be used
CC   in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC   a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC   alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC   or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC   residues. The compounds are useful as antiviral agents, especially for
CC   inhibiting HIV replication. They are administered in intravenous doses of
CC   1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC   to correct PF field.)
XX
SQ   Sequence 11 AA;

AAW25629  Length: 11  September 7, 2005 16:24  Type: P  Check: 5412  ..

       1  RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID   AAW25630 standard; peptide; 12 AA.
XX
AC   AAW25630;
XX
DT   25-MAR-2003  (revised)
DT   03-NOV-1997  (first entry)
XX
DE   Peptide #25, inhibits HIV replication.
XX
KW   Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .12
FT                   /note= "Opt. D-form residues"
XX
PN   US5646120-A.
XX
PD   08-JUL-1997.
XX
PF   14-DEC-1994;   94US-00357056.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sonenberg N,  Reid LS,  Barnett RW,  Sumner-Smith M;
XX
DR   WPI; 1997-362969/33.
XX
PT   New D-arginine oligomers - useful as antiviral agents, especially against
PT   HIV.
XX
PS   Disclosure; Col 6; 14pp; English.
XX
CC   The sequences given in AAW25606-33 represent peptides which can be used
CC   in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC   a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC   alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC   or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC   residues. The compounds are useful as antiviral agents, especially for
CC   inhibiting HIV replication. They are administered in intravenous doses of
CC   1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC   to correct PF field.)
XX
SQ   Sequence 12 AA;

AAW25630  Length: 12  September 7, 2005 16:24  Type: P  Check: 6396  ..

       1  RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID   AAW25627 standard; peptide; 9 AA.
XX
AC   AAW25627;
XX
DT   25-MAR-2003  (revised)
DT   03-NOV-1997  (first entry)
XX
DE   Peptide #22, inhibits HIV replication.
XX
KW   Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .9
FT                   /note= "Opt. D-form residues"
XX
PN   US5646120-A.
XX
PD   08-JUL-1997.
XX
PF   14-DEC-1994;   94US-00357056.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sonenberg N,  Reid LS,  Barnett RW,  Sumner-Smith M;
XX
DR   WPI; 1997-362969/33.
XX
PT   New D-arginine oligomers - useful as antiviral agents, especially against
PT   HIV.
XX
PS   Disclosure; Col 6; 14pp; English.
XX
CC   The sequences given in AAW25606-33 represent peptides which can be used
```

```
CC   in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC   a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC   alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC   or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC   residues. The compounds are useful as antiviral agents, especially for
CC   inhibiting HIV replication. They are administered in intravenous doses of
CC   1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC   to correct PF field.)
XX
SQ   Sequence 9 AA;

 AAW25627  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW25628 standard; peptide; 10 AA.
XX
AC   AAW25628;
XX
DT   25-MAR-2003  (revised)
DT   03-NOV-1997  (first entry)
XX
DE   Peptide #23, inhibits HIV replication.
XX
KW   Inhibition; HIV; human immunodeficiency virus; replication.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .10
FT                   /note= "Opt. D-form residues"
XX
PN   US5646120-A.
XX
PD   08-JUL-1997.
XX
PF   14-DEC-1994;   94US-00357056.
XX
PR   24-OCT-1990;   90US-00602953.
PR   23-OCT-1991;   91US-00779735.
XX
PA   (ALLX ) ALLELIX BIOPHARMACEUTICALS INC.
XX
PI   Sonenberg N,  Reid LS,  Barnett RW,  Sumner-Smith M;
XX
DR   WPI; 1997-362969/33.
XX
PT   New D-arginine oligomers - useful as antiviral agents, especially against
PT   HIV.
XX
PS   Disclosure; Col 6; 14pp; English.
XX
CC   The sequences given in AAW25606-33 represent peptides which can be used
CC   in D-Arginine oligomers of formula: R1-X-R2 (I). R1 = H, lower alkanoyl,
CC   a deaminated amino acid or a N-terminal protecting group; R2 = OH, lower
CC   alkyl, amino, mono- or di(lower alkyl)amino, a decarboxylated amino acid
CC   or a C-terminal protecting group; X = a chain of 7-12 D-arginine
CC   residues. The compounds are useful as antiviral agents, especially for
CC   inhibiting HIV replication. They are administered in intravenous doses of
CC   1 microg/kg to 10 mg/kg, especially 0.1-5 mg/kg. (Updated on 25-MAR-2003
CC   to correct PF field.)
XX
SQ   Sequence 10 AA;

AAW25628  Length: 10  September 7, 2005 16:24  Type: P  Check: 4510  ..

       1  RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW19834 standard; peptide; 8 AA.
XX
AC   AAW19834;
XX
DT   26-JAN-1998  (first entry)
XX
DE   Chimeric adenovirus coat protein universal transfer vector peptide.
XX
KW   Adenovirus; vector; coat protein; gene therapy; gene transfer; human;
KW   cancer; autoimmune disease; heart disease; infection.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 4. .8
FT                   /note= "1, 2, 3, 4 or 5 residues of the sequence may be
FT                   deleted from the C-terminus"
XX
PN   WO9720051-A2.
XX
PD   05-JUN-1997.
XX
PF   27-NOV-1996;   96WO-US019150.
XX
PR   28-NOV-1995;   95US-00563368.
PR   21-AUG-1996;   96US-00700846.
PR   21-AUG-1996;   96US-00701124.
XX
PA   (GENV-) GENVEC INC.
XX
PI   Wickham TJ,  Kovesdi I,  Brough DE;
XX
DR   WPI; 1997-310606/28.
XX
PT   Adenoviral vectors containing chimeric coat protein - bind and enter
PT   cells more efficiently, useful for gene therapy of e.g. cancer,
PT   auto:immune diseases, etc.
XX
PS   Claim 7; Page 17; 121pp; English.
XX
CC   This peptide is used as a universal transfer vector (UTV) sequence or as
CC   a spacer sequence in novel chimeric adenovirus coat proteins (CP),
CC   especially chimeric fibre proteins. Claimed UTVs/spacers are given in
CC   AAW19810-11, AAW19813-25, AAW19827, AAW19829, AAW19831-32 and AAW19834-
CC   43). Claimed chimeric CPs differ from the wild-type CP by the
CC   introduction of the UTV and/or spacer at or near the C-terminus or in an
CC   exposed loop. This imparts on the chimeric CP the ability to bind to and
CC   enter cells by means of a novel cell surface binding site. Recombinant
CC   vectors comprising the chimeric CP are able to enter cells more
CC   efficiently than vectors comprising wild-type CP, especially at lower
CC   m.o.i. They are especially useful for gene therapy of e.g. cancers,
CC   genetic disorders, pathogenic infections, heart disease or autoimmune
CC   diseases
XX
SQ   Sequence 8 AA;

 AAW19834  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

       1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW46337 standard; peptide; 5 AA.
XX
AC   AAW46337;
XX
DT   08-MAY-1998  (first entry)
XX
DE   Binding domain of chimeric adenovirus penton base protein.
XX
KW   Integrin; cell surface receptor; penton base protein; adenovirus;
KW   binding site; binding domain; cell surface binding site; gene therapy;
KW   bispecific molecule; antibody; adenoviral transfer vector; pAT.
XX
OS   Synthetic.
XX
PN   US5712136-A.
```

XX
PD 27-JAN-1998.
XX
PF 17-APR-1996; 96US-00634060.
XX
PR 08-SEP-1994; 94US-00303162.
XX
PA (GENV-) GENVEC INC.
XX
PI Bruder JT, Mcvey DL, Wickham TJ, Roelvink PW, Kovesdi I;
PI Brough DE;
XX
DR WPI; 1998-119984/11.
XX
PT Methods for introducing adenovirus into cells - used for genetic
PT engineering and gene therapy.
XX
PS Claim 27; Col 12; 56pp; English.
XX
CC The present sequence represents a binding domain of a chimeric adenovirus
CC penton base protein, which is recognised by integrins. The penton base
CC protein of adenoviruses binds to integrins, which also mediate cellular
CC adhesion to the extracellular matrix molecules. The specification
CC describes a method of introducing an adenovirus into a cell in vitro
CC having a particular cell surface binding site. The adenovirus is
CC contacted with a bispecific molecule (e.g. bispecific antibody)
CC comprising a component that selectively binds a binding domain of the
CC penton base protein of the adenovirus and a second component that
CC selectively binds the cell surface binding site. A complex of the
CC adenovirus and the bispecific molecule is formed, and the cell is
CC contacted with it to allow entry of the adenovirus into the cell. The
CC methods can be used for research and the vectors can be used for gene
CC therapy
XX
SQ Sequence 5 AA;

AAW46337 Length: 5 September 7, 2005 16:24 Type: P Check: 1230 ..

1 RRRRR

!!AA_SEQUENCE 1.0
ID AAW57994 standard; peptide; 12 AA.
XX
AC AAW57994;
XX
DT 02-OCT-1998 (first entry)
XX
DE TAR binding transactivation deficient peptide.
XX
KW TAR binding peptide; HIV infection; tat basic domain; therapy;
KW transactivation deficient.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 8. .12
FT /note= "optionally deleted"
XX
PN US5789531-A.
XX
PD 04-AUG-1998.
XX
PF 07-JUN-1995; 95US-00475583.
XX
PR 24-OCT-1990; 90US-00602953.
PR 23-OCT-1991; 91US-00779735.
PR 14-DEC-1994; 94US-00357056.
XX
PA (ALLE-) ALLEX BIOPHARMACEUTICALS INC.
XX
PI Sonenberg N, Reid LS, Barnett RW, Sumner-Smith M;
XX
DR WPI; 1998-446180/38.
XX
PT Treatment of HIV infection - with TAR-binding, transactivation-deficient
PT peptides.
XX
PS Claim 19; Col 25-26; 15pp; English.
XX
CC This sequence represents a TAR-binding, transactivation-deficient peptide
CC of the invention. It is an analogue of the HIV tat basic domain. The
CC peptides can be used for treating HIV infections, preferably before
CC clinical AIDS has developed
XX
SQ Sequence 12 AA;

AAW57994 Length: 12 September 7, 2005 16:24 Type: P Check: 6396 ..

1 RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID AAW66581 standard; peptide; 6 AA.
XX
AC AAW66581;
XX
DT 27-NOV-1998 (first entry)
XX
DE Peptide component of NMDA channel blocker.
XX
KW NMDA channel blocker; diazolidio-(1,2-b)-dihydroimidazole; memantine;
KW N-methyl-D-aspartate receptor; NMDA receptor; Parkinson's disease.
XX
OS Synthetic.
XX
PN WO9841223-A1.
XX
PD 24-SEP-1998.
XX
PF 20-MAR-1998; 98WO-US005800.
XX
PR 20-MAR-1997; 97US-0042703P.
XX
PA (REGC) UNIV CALIFORNIA.
XX
PI Montal M, Ferrermontiel A, Merino J, Blondell S, Houghten R;
XX
DR WPI; 1998-520953/44.
XX
PT NMDA channel blocker with selective activity - useful for treating
PT excitotoxic neuronal death.
XX
PS Claim 9; Page 29; 40pp; English.
XX
CC The invention relates to an NMDA channel blocker selected from an
CC oligopeptide of formula Xa-X1-X2-X3X4-X5-X6 and a diazolidio-(1,2-b) -
CC dihydroimidazole compound. The channel blocker exhibits selective NMDA
CC channel blocking activity. X1, X6 = natural or artificial amino acid; X2,
CC X5 = natural or artificial amino acid or direct bond; provided that at
CC least one of X1-X6 is an aromatic amino acid if at least two of X2-X5 =
CC natural or artificial amino acids; and at least one of X1-X6 =
CC guanidinium-containing amino acid; Xa = H or acyl; R1 = alkyl, alkenyl or
CC hydroxy alkyl, aminoalkyl, or alkoxy-alkyl; and R2, R3 = natural or
CC artificial amino acid side chain. The NMDA channel blockers provide
CC neuroprotection e.g. protection of neuronal cells from injury or death
CC resulting from pathological events such as excessive Ca2+ influx. Open
CC channel blockers of the NMDA receptor, which act preferentially on
CC overactivated receptors, have proved to be valuable in preventing
CC neuronal cell death after excitotoxic insults, e.g. memantine is
CC prescribed for the treatment of Parkinson's disease. The channel blockers
CC are useful for treating excitotoxic neuronal death. They act as an open
CC channel blockers and as neuroprotectants at concentrations that compare
CC favourably with those used clinically for memantine therapy.
CC Advantageously, they are relatively small, simple molecules which are
CC easy to manufacture and are less immunogenic than known neuroprotectant
CC drugs. The present sequence represents a specifically claimed peptide
XX

```
SQ   Sequence 6 AA;

 AAW66581  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

      1  RRRRRR

!!AA_SEQUENCE 1.0
ID   AAW67311 standard; peptide; 9 AA.
XX
AC   AAW67311;
XX
DT   23-DEC-1998  (first entry)
XX
DE   Peptide which inhibits CAT expression.
XX
KW   Tat protein; TAR RNA; biotin; HIV; human immunodeficiency virus; AIDS.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .9
FT                   /note= "D-form residues"
FT   Modified-site   1
FT                   /note= "N-acetyl-D-Arg"
FT   Modified-site   9
FT                   /note= "C-terminal amide"
XX
PN   WO9847913-A2.
XX
PD   29-OCT-1998.
XX
PF   16-APR-1998;   98WO-US007533.
XX
PR   18-APR-1997;   97US-00844448.
XX
PA   (UYNE-) UNIV NEW JERSEY.
XX
PI   Wang J,  Stein S,  Leibowitz MJ,  Rabson AB;
XX
DR   WPI; 1998-583600/49.
XX
PT   New peptides able to bind TAR RNA of HIV - act as competitive inhibitors
PT   of tat gene-induced expression and HIV replication, used for treating
PT   AIDS.
XX
PS   Example 3; Page 28; 50pp; English.
XX
CC   The invention relates to peptides which contain a sequence from the basic
CC   domain of the Tat protein that interacts specifically with TAR RNA of
CC   human immune deficiency virus HIV), binding this RNA with high affinity
CC   and specificity, and competitively inhibiting tat gene-induced
CC   expression. This competition inhibits HIV replication, so the peptides
CC   are useful for treating acquired immune deficiency syndrome. The peptides
CC   may also be used to study cellular and molecular regulation of biotin
CC   uptake. The biotin component increases cellular uptake of the peptides.
CC   The present sequence represents a peptide disclosed in the specification
XX
SQ   Sequence 9 AA;

 AAW67311  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

      1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAW67313 standard; peptide; 5 AA.
XX
AC   AAW67313;
XX
DT   23-DEC-1998  (first entry)
XX
DE   Control peptide #2.
XX
KW   Tat protein; TAR RNA; biotin; HIV; human immunodeficiency virus; AIDS.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "N-terminal acetyl"
FT   Modified-site   5
FT                   /note= "C-terminal amide"
XX
PN   WO9847913-A2.
XX
PD   29-OCT-1998.
XX
PF   16-APR-1998;   98WO-US007533.
XX
PR   18-APR-1997;   97US-00844448.
XX
PA   (UYNE-) UNIV NEW JERSEY.
XX
PI   Wang J,  Stein S,  Leibowitz MJ,  Rabson AB;
XX
DR   WPI; 1998-583600/49.
XX
PT   New peptides able to bind TAR RNA of HIV - act as competitive inhibitors
PT   of tat gene-induced expression and HIV replication, used for treating
PT   AIDS.
XX
PS   Example 2; Page 25; 50pp; English.
XX
CC   The invention relates to peptides which contain a sequence from the basic
CC   domain of the Tat protein that interacts specifically with TAR RNA of
CC   human immune deficiency virus HIV), binding this RNA with high affinity
CC   and specificity, and competitively inhibiting tat gene-induced
CC   expression. This competition inhibits HIV replication, so the peptides
CC   are useful for treating acquired immune deficiency syndrome. The peptides
CC   may also be used to study cellular and molecular regulation of biotin
CC   uptake. The biotin component increases cellular uptake of the peptides.
CC   The present sequence represents a control peptide
XX
SQ   Sequence 5 AA;

 AAW67313  Length: 5  September 7, 2005 16:24  Type: P  Check: 1230  ..

      1  RRRRR

!!AA_SEQUENCE 1.0
ID   AAY83996 standard; peptide; 5 AA.
XX
AC   AAY83996;
XX
DT   25-OCT-2000  (first entry)
XX
DE   Arginine isomer #1 for channel-specific ligand blocking activity.
XX
KW   Neuroprotective; analgesic; calcium channel blocker; human; polyamine;
KW   neuron; excitotoxic damage; blood-brain barrier; central nervous system;
KW   guanidine; cerebral hypoxia; neuropathic pain.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1
FT                   /note= "optionally D-form residue"
FT   Misc-difference 3
FT                   /note= "optionally D-form residue"
FT   Modified-site   5
FT                   /note= "C-terminal amide; optionally D-form residue"
XX
PN   US6063819-A.
XX
PD   16-MAY-2000.
XX
PF   18-FEB-1998;   98US-00026415.
```

XX
PR 21-FEB-1997; 97US-00804213.
XX
PA (CYPR-) CYPROS PHARM CORP.
XX
PI Danks AM, Sragovicz M, Makings LR, Marangos PJ, Sullivan BW;
PI Wiemann T;
XX
DR WPI; 2000-375534/32.
XX
PT Treating a human patient to protect neurons against excitotoxic damage
PT comprises administration of a neuroprotective polyamine which penetrates
PT blood-brain barrier.
XX
PS Example 11; Col 31; 24pp; English.
XX
CC The invention relates to a new method of treating a human patient to
CC protect neurons against excitotoxic damage comprises administration of a
CC neuroprotective polyamine which can penetrate a mammalian blood-brain
CC barrier and suppress entry of calcium ions into central nervous system
CC neurons through both N-type calcium channels and P/Q type calcium
CC channels. The polyamine comprises: (1) a molecule having a central
CC component selected from a N or C atom, stable aromatic rings, stable
CC cycloalkyl or heterocyclic compounds and stable bicyclic ring structures;
CC and (2) at least 3 branching components bonded to the central component
CC and extending outwardly from the central component, each branching
CC component comprising an Arg residue with a guanidino group, Arg residue
CC being bonded to the polyamine in a manner that allows the guanidino group
CC to interact with N-type and P/Q-type neuronal calcium channels in a
CC manner which suppresses calcium ion entry into central nervous system
CC neurons through the calcium channels. The method is useful for reducing
CC excitotoxic brain damage under conditions of cerebral hypoxia and for
CC treating neuropathic pain. The peptides AAY83996-Y83999 represent
CC examples of Arg containing peptides used in the method of the invention.
CC The peptides were generated with either all or some residues being D-form
CC Arg residues which were used to compare the channel blocking activity of
CC each type of polyamine (L- or D-form residues containing peptides) on N
CC or P/Q type calcium channels
XX
SQ Sequence 5 AA;

AAY83996 Length: 5 September 7, 2005 16:24 Type: P Check: 1230 ..

1 RRRRR

!!AA_SEQUENCE 1.0
ID AAM52229 standard; peptide; 8 AA.
XX
AC AAM52229;
XX
DT 12-FEB-2002 (first entry)
XX
DE Peptide SEQ ID NO 11.
XX
KW Cell-permeable carrier peptide.
XX
OS Unidentified.
XX
PN JP2001199997-A.
XX
PD 24-JUL-2001.
XX
PF 21-JAN-2000; 2000JP-00013504.
XX
PR 21-JAN-2000; 2000JP-00013504.
XX
PA (KANS-) KANSAI TLO KK.
XX
DR WPI; 2001-613544/71.
XX
PT A cell-permeable carrier peptide for introducing exotic polypeptides, DNA
PT or sugars into a cell.
XX
PS Claim 1; Page 8; 10pp; Japanese.
XX
CC The invention relates to a cell-permeable carrier peptide (AAM52219-
CC AAM52235), a carrier peptide conjugate prepared by connecting the cell-
CC permeable carrier peptide with one selected from the group consisting of
CC an exotic polypeptide, a DNA and a sugar, if required, through a
CC crosslinker and the use of the above cell-permeable carrier peptide for
CC introducing one selected from the group conisting of an exotic
CC polypeptide, a DNA and a sugar to a cell
XX
SQ Sequence 8 AA;

AAM52229 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID AAU00807 standard; peptide; 9 AA.
XX
AC AAU00807;
XX
DT 23-MAY-2001 (first entry)
XX
DE Arginine oligomer, R9, for use as a delivery-enhancing transporter.
XX
KW Arginine oligomer; R9; delivery-enhancing transporter; glucocorticoid;
KW ascomycin; Crohn's disease; ulcerative colitis; skin cancer;
KW gastrointestinal ulcer; peptic ulcer disease; asthma;
KW abnormal proliferative disease; cystic fibrosis; allergic rhinitis;
KW chronic obstructive pulmonary disease; COPD; ischaemia; cancer;
KW Parkinson's disease; schizophrenia; Acquired immunodeficiency disease;
KW AIDS; central nervous system infection; epilepsy; multiple sclerosis;
KW neurodegenerative disease; trauma; depression; Alzheimer's disease;
KW migraine; pain; seizure disorder; psoriasis; eczema; alopecia areata.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .9
FT /note= "Optionally a D-form residue"
FT Modified-site 1
FT /note= "Linked to a Fluorescein molecule via an amino
FT hexanoic acid spacer"
XX
PN WO200113957-A2.
XX
PD 01-MAR-2001.
XX
PF 24-AUG-2000; 2000WO-US023440.
XX
PR 24-AUG-1999; 99US-0150510P.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2001-234984/24.
XX
PT Enhancing delivery of compound into and across epithelial or endothelial
PT tissue layers of an animal, involves contacting the tissue with a
PT conjugate that comprises the compound and delivery-enhancing transporter.
XX
PS Example 13; Page 10; 116pp; English.
XX
CC The sequence represents an Arginine oligomer, R9. The peptides of the
CC invention are used as a delivery-enhancing transporter in a conjugate
CC (together with a compound) for enhancing delivery of the compound
CC into/across one or more layers of an animal epithelial or endothelial
CC tissue. The delivery-enhancing transporter comprises 5-25 arginine
CC residues (or sufficient guanidino/amidino side chains) and a releasable
CC linker which releases the compound (e.g. a glucocorticoid or ascomycin)
CC in a biologically active form. The compound is a therapeutic for Crohn's
CC disease, ulcerative colitis, gastrointestinal ulcers, peptic ulcer

CC disease, abnormal proliferative disease, cystic fibrosis, asthma,
CC allergic rhinitis, Chronic obstructive pulmonary disease (COPD), skin
CC cancer, ischaemia, Parkinson's disease, schizophrenia, cancer, Acquired
CC immunodeficiency syndrome (AIDS), infections of central nervous system,
CC epilepsy, multiple sclerosis, neurodegenerative disease, trauma,
CC depression, Alzheimer's disease, migraine, pain and seizure disorder. The
CC conjugate is useful for treating skin inflammatory condition such as
CC psoriasis, eczema and alopecia areata, by contacting the affected skin
CC with a conjugate containing a glucocorticoid such as hydrocortisone or
CC ascomycin such as cyclosporin and FK506 and the delivery-enhancing
CC transporter. The rate and amount of delivery of the compound into and
CC across epithelial and endothelial tissue is increased at a level
CC significantly, preferably 2-6 fold, greater than that of the compound
CC conjugated to the basic HIV tat peptide consisting of residues 49-57
XX
SQ Sequence 9 AA;

AAU00807 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAU00806 standard; peptide; 8 AA.
XX
AC AAU00806;
XX
DT 23-MAY-2001 (first entry)
XX
DE Arginine oligomer, R8, for use as a delivery-enhancing transporter.
XX
KW Arginine oligomer; R8; delivery-enhancing transporter; glucocorticoid;
KW ascomycin; Crohn's disease; ulcerative colitis; skin cancer;
KW gastrointestinal ulcer; peptic ulcer disease; asthma;
KW abnormal proliferative disease; cystic fibrosis; allergic rhinitis;
KW chronic obstructive pulmonary disease; COPD; ischaemia; cancer;
KW Parkinson's disease; schizophrenia; Acquired immunodeficiency disease;
KW AIDS; central nervous system infection; epilepsy; multiple sclerosis;
KW neurodegenerative disease; trauma; depression; Alzheimer's disease;
KW migraine; pain; seizure disorder; psoriasis; eczema; alopecia areata.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .8
FT /note= "Optionally a D-form residue"
FT Modified-site 1
FT /note= "Linked to a Fluorescein molecule via an amino
FT hexanoic acid spacer"
XX
PN WO200113957-A2.
XX
PD 01-MAR-2001.
XX
PF 24-AUG-2000; 2000WO-US023440.
XX
PR 24-AUG-1999; 99US-0150510P.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2001-234984/24.
XX
PT Enhancing delivery of compound into and across epithelial or endothelial
PT tissue layers of an animal, involves contacting the tissue with a
PT conjugate that comprises the compound and delivery-enhancing transporter.
XX
PS Example 13; Page 10; 116pp; English.
XX
CC The sequence represents an Arginine oligomer, R8. The peptides of the
CC invention are used as a delivery-enhancing transporter in a conjugate
CC (together with a compound) for enhancing delivery of the compound
CC into/across one or more layers of an animal epithelial or endothelial
CC tissue. The delivery-enhancing transporter comprises 5-25 arginine
CC residues (or sufficient guanidino/amidino side chains) and a releasable
CC linker which releases the compound (e.g. a glucocorticoid or ascomycin)
CC in a biologically active form. The compound is a therapeutic for Crohn's
CC disease, ulcerative colitis, gastrointestinal ulcers, peptic ulcer
CC disease, abnormal proliferative disease, cystic fibrosis, asthma,
CC allergic rhinitis, Chronic obstructive pulmonary disease (COPD), skin
CC cancer, ischaemia, Parkinson's disease, schizophrenia, cancer, Acquired
CC immunodeficiency syndrome (AIDS), infections of central nervous system,
CC epilepsy, multiple sclerosis, neurodegenerative disease, trauma,
CC depression, Alzheimer's disease, migraine, pain and seizure disorder. The
CC conjugate is useful for treating skin inflammatory condition such as
CC psoriasis, eczema and alopecia areata, by contacting the affected skin
CC with a conjugate containing a glucocorticoid such as hydrocortisone or
CC ascomycin such as cyclosporin and FK506 and the delivery-enhancing
CC transporter. The rate and amount of delivery of the compound into and
CC across epithelial and endothelial tissue is increased at a level
CC significantly, preferably 2-6 fold, greater than that of the compound
CC conjugated to the basic HIV tat peptide consisting of residues 49-57
XX
SQ Sequence 8 AA;

AAU00806 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID AAU00804 standard; peptide; 6 AA.
XX
AC AAU00804;
XX
DT 23-MAY-2001 (first entry)
XX
DE Arginine oligomer, R6, for use as a delivery-enhancing transporter.
XX
KW Arginine oligomer; R6; delivery-enhancing transporter; glucocorticoid;
KW ascomycin; Crohn's disease; ulcerative colitis; skin cancer;
KW gastrointestinal ulcer; peptic ulcer disease; asthma;
KW abnormal proliferative disease; cystic fibrosis; allergic rhinitis;
KW chronic obstructive pulmonary disease; COPD; ischaemia; cancer;
KW Parkinson's disease; schizophrenia; Acquired immunodeficiency disease;
KW AIDS; central nervous system infection; epilepsy; multiple sclerosis;
KW neurodegenerative disease; trauma; depression; Alzheimer's disease;
KW migraine; pain; seizure disorder; psoriasis; eczema; alopecia areata.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .6
FT /note= "Optionally a D-form residue"
FT Modified-site 1
FT /note= "Linked to a Fluorescein molecule via an amino
FT hexanoic acid spacer"
XX
PN WO200113957-A2.
XX
PD 01-MAR-2001.
XX
PF 24-AUG-2000; 2000WO-US023440.
XX
PR 24-AUG-1999; 99US-0150510P.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2001-234984/24.
XX
PT Enhancing delivery of compound into and across epithelial or endothelial
PT tissue layers of an animal, involves contacting the tissue with a
PT conjugate that comprises the compound and delivery-enhancing transporter.
XX
PS Example 13; Page 10; 116pp; English.

```
XX
CC   The sequence represents an Arginine oligomer, R6. The peptides of the
CC   invention are used as a delivery-enhancing transporter in a conjugate
CC   (together with a compound) for enhancing delivery of the compound
CC   into/across one or more layers of an animal epithelial or endothelial
CC   tissue. The delivery-enhancing transporter comprises 5-25 arginine
CC   residues (or sufficient guanidino/amidino side chains) and a releasable
CC   linker which releases the compound (e.g. a glucocorticoid or ascomycin)
CC   in a biologically active form. The compound is a therapeutic for Crohn's
CC   disease, ulcerative colitis, gastrointestinal ulcers, peptic ulcer
CC   disease, abnormal proliferative disease, cystic fibrosis, asthma,
CC   allergic rhinitis, Chronic obstructive pulmonary disease (COPD), skin
CC   cancer, ischaemia, Parkinson's disease, schizophrenia, cancer, Acquired
CC   immunodeficiency syndrome (AIDS), infections of central nervous system,
CC   epilepsy, multiple sclerosis, neurodegenerative disease, trauma,
CC   depression, Alzheimer's disease, migraine, pain and seizure disorder. The
CC   conjugate is useful for treating skin inflammatory condition such as
CC   psoriasis, eczema and alopecia areata, by contacting the affected skin
CC   with a conjugate containing a glucocorticoid such as hydrocortisone or
CC   ascomycin such as cyclosporin and FK506 and the delivery-enhancing
CC   transporter. The rate and amount of delivery of the compound into and
CC   across epithelial and endothelial tissue is increased at a level
CC   significantly, preferably 2-6 fold, greater than that of the compound
CC   conjugated to the basic HIV tat peptide consisting of residues 49-57
XX
SQ   Sequence 6 AA;

 AAU00804  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   AAU00805 standard; peptide; 7 AA.
XX
AC   AAU00805;
XX
DT   23-MAY-2001  (first entry)
XX
DE   Arginine oligomer, R7, for use as a delivery-enhancing transporter.
XX
KW   Arginine oligomer; R7; delivery-enhancing transporter; glucocorticoid;
KW   ascomycin; Crohn's disease; ulcerative colitis; skin cancer;
KW   gastrointestinal ulcer; peptic ulcer disease; asthma;
KW   abnormal proliferative disease; cystic fibrosis; allergic rhinitis;
KW   chronic obstructive pulmonary disease; COPD; ischaemia; cancer;
KW   Parkinson's disease; schizophrenia; Acquired immunodeficiency disease;
KW   AIDS; central nervous system infection; epilepsy; multiple sclerosis;
KW   neurodegenerative disease; trauma; depression; Alzheimer's disease;
KW   migraine; pain; seizure disorder; psoriasis; eczema; alopecia areata.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .7
FT                   /note= "Optionally a D-form residue"
FT   Modified-site   1
FT                   /note= "Linked to a Fluorescein molecule via an amino
FT                   hexanoic acid spacer"
XX
PN   WO200113957-A2.
XX
PD   01-MAR-2001.
XX
PF   24-AUG-2000; 2000WO-US023440.
XX
PR   24-AUG-1999;   99US-0150510P.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2001-234984/24.
XX
PT   Enhancing delivery of compound into and across epithelial or endothelial
PT   tissue layers of an animal, involves contacting the tissue with a
PT   conjugate that comprises the compound and delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 116pp; English.
XX
CC   The sequence represents an Arginine oligomer, R7. The peptides of the
CC   invention are used as a delivery-enhancing transporter in a conjugate
CC   (together with a compound) for enhancing delivery of the compound
CC   into/across one or more layers of an animal epithelial or endothelial
CC   tissue. The delivery-enhancing transporter comprises 5-25 arginine
CC   residues (or sufficient guanidino/amidino side chains) and a releasable
CC   linker which releases the compound (e.g. a glucocorticoid or ascomycin)
CC   in a biologically active form. The compound is a therapeutic for Crohn's
CC   disease, ulcerative colitis, gastrointestinal ulcers, peptic ulcer
CC   disease, abnormal proliferative disease, cystic fibrosis, asthma,
CC   allergic rhinitis, Chronic obstructive pulmonary disease (COPD), skin
CC   cancer, ischaemia, Parkinson's disease, schizophrenia, cancer, Acquired
CC   immunodeficiency syndrome (AIDS), infections of central nervous system,
CC   epilepsy, multiple sclerosis, neurodegenerative disease, trauma,
CC   depression, Alzheimer's disease, migraine, pain and seizure disorder. The
CC   conjugate is useful for treating skin inflammatory condition such as
CC   psoriasis, eczema and alopecia areata, by contacting the affected skin
CC   with a conjugate containing a glucocorticoid such as hydrocortisone or
CC   ascomycin such as cyclosporin and FK506 and the delivery-enhancing
CC   transporter. The rate and amount of delivery of the compound into and
CC   across epithelial and endothelial tissue is increased at a level
CC   significantly, preferably 2-6 fold, greater than that of the compound
CC   conjugated to the basic HIV tat peptide consisting of residues 49-57
XX
SQ   Sequence 7 AA;

 AAU00805  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

       1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   AAU00803 standard; peptide; 5 AA.
XX
AC   AAU00803;
XX
DT   23-MAY-2001  (first entry)
XX
DE   Arginine oligomer, R5, for use as a delivery-enhancing transporter.
XX
KW   Arginine oligomer; R5; delivery-enhancing transporter; glucocorticoid;
KW   ascomycin; Crohn's disease; ulcerative colitis; skin cancer;
KW   gastrointestinal ulcer; peptic ulcer disease; asthma;
KW   abnormal proliferative disease; cystic fibrosis; allergic rhinitis;
KW   chronic obstructive pulmonary disease; COPD; ischaemia; cancer;
KW   Parkinson's disease; schizophrenia; Acquired immunodeficiency disease;
KW   AIDS; central nervous system infection; epilepsy; multiple sclerosis;
KW   neurodegenerative disease; trauma; depression; Alzheimer's disease;
KW   migraine; pain; seizure disorder; psoriasis; eczema; alopecia areata.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .5
FT                   /note= "Optionally a D-form residue"
FT   Modified-site   1
FT                   /note= "Linked to a Fluorescein molecule via an amino
FT                   hexanoic acid spacer"
XX
PN   WO200113957-A2.
XX
PD   01-MAR-2001.
XX
PF   24-AUG-2000; 2000WO-US023440.
XX
PR   24-AUG-1999;   99US-0150510P.
XX
PA   (CELL-) CELLGATE INC.
```

XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2001-234984/24.
XX
PT Enhancing delivery of compound into and across epithelial or endothelial
PT tissue layers of an animal, involves contacting the tissue with a
PT conjugate that comprises the compound and delivery-enhancing transporter.
XX
PS Example 13; Page 10; 116pp; English.
XX
CC The sequence represents an Arginine oligomer, R5. The peptides of the
CC invention are used as a delivery-enhancing transporter in a conjugate
CC (together with a compound) for enhancing delivery of the compound
CC into/across one or more layers of an animal epithelial or endothelial
CC tissue. The delivery-enhancing transporter comprises 5-25 arginine
CC residues (or sufficient guanidino/amidino side chains) and a releasable
CC linker which releases the compound (e.g. a glucocorticoid or ascomycin)
CC in a biologically active form. The compound is a therapeutic for Crohn's
CC disease, ulcerative colitis, gastrointestinal ulcers, peptic ulcer
CC disease, abnormal proliferative disease, cystic fibrosis, asthma,
CC allergic rhinitis, Chronic obstructive pulmonary disease (COPD), skin
CC cancer, ischaemia, Parkinson's disease, schizophrenia, cancer, Acquired
CC immunodeficiency syndrome (AIDS), infections of central nervous system,
CC epilepsy, multiple sclerosis, neurodegenerative disease, trauma,
CC depression, Alzheimer's disease, migraine, pain and seizure disorder. The
CC conjugate is useful for treating skin inflammatory condition such as
CC psoriasis, eczema and alopecia areata, by contacting the affected skin
CC with a conjugate containing a glucocorticoid such as hydrocortisone or
CC ascomycin such as cyclosporin and FK506 and the delivery-enhancing
CC transporter. The rate and amount of delivery of the compound into and
CC across epithelial and endothelial tissue is increased at a level
CC significantly, preferably 2-6 fold, greater than that of the compound
CC conjugated to the basic HIV tat peptide consisting of residues 49-57
XX
SQ Sequence 5 AA;

AAU00803 Length: 5 September 7, 2005 16:24 Type: P Check: 1230 ..

1 RRRRR

!!AA_SEQUENCE 1.0
ID AAG79076 standard; peptide; 15 AA.
XX
AC AAG79076;
XX
DT 10-DEC-2001 (first entry)
XX
DE Peptide which inhibits vascular endothelial growth factor (VEGF).
XX
KW Vascular endothelial growth factor; VEGF; VEGF inhibitor; cancer;
KW angiogenesis-related disease; diabetic retinopathy; rheumatoid arthritis.
XX
OS Synthetic.
XX
PN WO200166127-A1.
XX
PD 13-SEP-2001.
XX
PF 21-DEC-1999; 99WO-KR000796.
XX
PR 21-DEC-1999; 99WO-KR000796.
XX
PA (GREC) KOREA GREEN CROSS CORP.
PA (POST-) POSTECH FOUND.
XX
PI Chae CB, Bae DG, Yoon WH;
XX
DR WPI; 2001-602600/68.
XX
PT New arginine-rich peptides, useful as vascular endothelial growth factor
PT inhibitors for treating cancers and other angiogenesis-related diseases
PT such as rheumatoid arthritis and diabetic retinopathy.
XX
PS Disclosure; Page 11; 65pp; English.
XX
CC The present sequence represents a peptide from a synthetic peptide
CC library, which was tested for its ability to inhibit the activity of
CC vascular endothelial growth factor (VEGF). Peptides of the invention
CC which inhibit VEGF comprise six amino acid residues with arginine at the
CC first, the fourth and the sixth positions from the amino end, one
CC selected from arginine, lysine, and histidine at the second position, and
CC one selected from arginine and lysine at the third and the fifth
CC positions. The peptides inhibit the binding of VEGF to its receptors. The
CC peptides inhibit the growth of host normal cells (vascular endothelial
CC cells), but not cancer cells themselves, and thus overcome the problems
CC of conventional therapies for cancer, which are due to the versatility
CC and resistance of cancer cells. The VEGF-inhibiting peptides are used for
CC treating cancer and angiogenesis-related diseases. They are also used for
CC inhibiting the growth and metastasis of cancer cells. Angiogenesis
CC related diseases include diabetic retinopathy and rheumatoid arthritis
XX
SQ Sequence 15 AA;

AAG79076 Length: 15 September 7, 2005 16:24 Type: P Check: 9840 ..

1 RRRRRRRRRR RRRRR

!!AA_SEQUENCE 1.0
ID AAG79065 standard; peptide; 6 AA.
XX
AC AAG79065;
XX
DT 10-DEC-2001 (first entry)
XX
DE Peptide which inhibits vascular endothelial growth factor (VEGF).
XX
KW Vascular endothelial growth factor; VEGF; VEGF inhibitor; cancer;
KW angiogenesis-related disease; diabetic retinopathy; rheumatoid arthritis.
XX
OS Synthetic.
XX
PN WO200166127-A1.
XX
PD 13-SEP-2001.
XX
PF 21-DEC-1999; 99WO-KR000796.
XX
PR 21-DEC-1999; 99WO-KR000796.
XX
PA (GREC) KOREA GREEN CROSS CORP.
PA (POST-) POSTECH FOUND.
XX
PI Chae CB, Bae DG, Yoon WH;
XX
DR WPI; 2001-602600/68.
XX
PT New arginine-rich peptides, useful as vascular endothelial growth factor
PT inhibitors for treating cancers and other angiogenesis-related diseases
PT such as rheumatoid arthritis and diabetic retinopathy.
XX
PS Claim 4; Page 12; 65pp; English.
XX
CC The present sequence represents a peptide which inhibits the activity of
CC vascular endothelial growth factor (VEGF). Peptides of the invention
CC which inhibit VEGF comprise six amino acid residues with arginine at the
CC first, the fourth and the sixth positions from the amino end, one
CC selected from arginine, lysine, and histidine at the second position, and
CC one selected from arginine and lysine at the third and the fifth
CC positions. The peptides inhibit the binding of VEGF to its receptors. The
CC peptides inhibit the growth of host normal cells (vascular endothelial
CC cells), but not cancer cells themselves, and thus overcome the problems
CC of conventional therapies for cancer, which are due to the versatility
CC and resistance of cancer cells. The VEGF-inhibiting peptides are used for
CC treating cancer and angiogenesis-related diseases. They are also used for
CC inhibiting the growth and metastasis of cancer cells. Angiogenesis

CC related diseases include diabetic retinopathy and rheumatoid arthritis
XX
SQ Sequence 6 AA;

AAG79065 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID AAG79077 standard; peptide; 12 AA.
XX
AC AAG79077;
XX
DT 10-DEC-2001 (first entry)
XX
DE Peptide which inhibits vascular endothelial growth factor (VEGF).
XX
KW Vascular endothelial growth factor; VEGF; VEGF inhibitor; cancer;
KW angiogenesis-related disease; diabetic retinopathy; rheumatoid arthritis.
XX
OS Synthetic.
XX
PN WO200166127-A1.
XX
PD 13-SEP-2001.
XX
PF 21-DEC-1999; 99WO-KR000796.
XX
PR 21-DEC-1999; 99WO-KR000796.
XX
PA (GREC) KOREA GREEN CROSS CORP.
PA (POST-) POSTECH FOUND.
XX
PI Chae CB, Bae DG, Yoon WH;
XX
DR WPI; 2001-602600/68.
XX
PT New arginine-rich peptides, useful as vascular endothelial growth factor
PT inhibitors for treating cancers and other angiogenesis-related diseases
PT such as rheumatoid arthritis and diabetic retinopathy.
XX
PS Disclosure; Page 12; 65pp; English.
XX
CC The present sequence represents a peptide from a synthetic peptide
CC library, which was tested for its ability to inhibit the activity of
CC vascular endothelial growth factor (VEGF). Peptides of the invention
CC which inhibit VEGF comprise six amino acid residues with arginine at the
CC first, the fourth and the sixth positions from the amino end, one
CC selected from arginine, lysine, and histidine at the second position, and
CC one selected from arginine and lysine at the third and the fifth
CC positions. The peptides inhibit the binding of VEGF to its receptors. The
CC peptides inhibit the growth of host normal cells (vascular endothelial
CC cells), but not cancer cells themselves, and thus overcome the problems
CC of conventional therapies for cancer, which are due to the versatility
CC and resistance of cancer cells. The VEGF-inhibiting peptides are used for
CC treating cancer and angiogenesis-related diseases. They are also used for
CC inhibiting the growth and metastasis of cancer cells. Angiogenesis
CC related diseases include diabetic retinopathy and rheumatoid arthritis
XX
SQ Sequence 12 AA;

AAG79077 Length: 12 September 7, 2005 16:24 Type: P Check: 6396 ..

1 RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID AAE28375 standard; peptide; 20 AA.
XX
AC AAE28375;
XX
DT 27-DEC-2002 (first entry)
XX
DE Peptide #1 used in the invention.
XX
KW Tat region; nucleic acid-binding group; cell transfection system;
KW gene therapy; cancer.
XX
OS Unidentified.
XX
PN US6376248-B1.
XX
PD 23-APR-2002.
XX
PF 16-MAR-1998; 98US-00039780.
XX
PR 14-MAR-1997; 97US-00818200.
XX
PA (LIFE-) LIFE TECHNOLOGIES INC.
XX
PI Hawley-Nelson P, Lan J, Shih P, Jessee JA, Schifferli KP;
PI Gebeyehu G, Ciccarone VC, Evans KL;
XX
DR WPI; 2002-680647/73.
XX
PT New peptide comprising Tat sequence linked to nucleic acid-binding group,
PT useful, e.g. in gene therapy, for improving cell-transfection efficiency.
XX
PS Disclosure; Col 55-56; 108pp; English.
XX
CC The invention relates to a peptide comprising Tat sequence linked to
CC nucleic acid-binding group. Peptides of the invention are used as
CC components of a cell transfection system particularly for gene therapy
CC (especially of cancer). The present sequence is a peptide used in the
CC invention
XX
SQ Sequence 20 AA;

AAE28375 Length: 20 September 7, 2005 16:24 Type: P Check: 7220 ..

1 RRRRRRRRRR RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID ABP54103 standard; peptide; 19 AA.
XX
AC ABP54103;
XX
DT 15-JAN-2003 (first entry)
XX
DE Transport moiety cellular uptake peptide #27.
XX
KW Transporter; Spaced arginine moiety; vasotropic; neuroleptic; analgesic;
KW antiparkinsonian; biologically active compound; biological membrane;
KW epithelial tissue; endothelial tissue; ischaemia; neurotransmitter;
KW schizophrenia; Parkinson's disease; pain; transport moiety.
XX
OS Synthetic.
XX
PN WO200265986-A2.
XX
PD 29-AUG-2002.
XX
PF 14-FEB-2002; 2002WO-US004491.
XX
PR 16-FEB-2001; 2001US-00269627.
XX
PA (CELL-) CELLGATE INC.
XX
PI Wender PA, Rothbard JB, Wright L, Kreider EL, Vandeusen CL;
XX
DR WPI; 2002-740700/80.
XX
PT Composition, useful for increasing the transport of a biologically active
PT compound across a biological membrane, comprises a biologically active
PT compound and a transport moiety.
XX
PS Example 1; Page 24; 58pp; English.

XX
CC The present invention describes a composition (C) comprising a
CC biologically active compound (A) and a transport moiety (B) of formula:
CC (ZYZ)nZ (I), (ZY)nZ (II), (ZYY)nZ (III) or (ZYYY)nZ (IV), where Z = L-
CC arginine or D-arginine; Y = amino acid (not comprising amidino or
CC guanidino moiety); and n = 2-10. Also described is a method for
CC increasing the transport of a biologically active compound across a
CC biological membrane involving administering (C). (C) has vasotropic,
CC neuroleptic, antiparkinsonian and analgesic activities. (C) is used for
CC increasing the transport of a biologically active compound across a
CC biological membrane and across and into animal epithelial or endothelial
CC tissues. (C) can be used for treating ischaemia and delivering
CC neurotransmitters and other agents for treating schizophrenia,
CC Parkinson's disease and pain. The transport of the biologically active
CC compound across the biological membrane is increased relative to the
CC transport of the biologically active compound in the absence of the
CC transport moiety. The present sequence represents a transport moiety
CC cellular uptake peptide, which is used in an example from the present
CC invention
XX
SQ Sequence 19 AA;

ABP54103 Length: 19 September 7, 2005 16:24 Type: P Check: 5580 ..

1 RRRRRRRRRR RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ABP54105 standard; peptide; 7 AA.
XX
AC ABP54105;
XX
DT 15-JAN-2003 (first entry)
XX
DE Spaced arginine transport moiety peptide #1.
XX
KW Transporter; Spaced arginine moiety; vasotropic; neuroleptic; analgesic;
KW antiparkinsonian; biologically active compound; biological membrane;
KW epithelial tissue; endothelial tissue; ischaemia; neurotransmitter;
KW schizophrenia; Parkinson's disease; pain; transport moiety.
XX
OS Synthetic.
XX
PN WO200265986-A2.
XX
PD 29-AUG-2002.
XX
PF 14-FEB-2002; 2002WO-US004491.
XX
PR 16-FEB-2001; 2001US-00269627.
XX
PA (CELL-) CELLGATE INC.
XX
PI Wender PA, Rothbard JB, Wright L, Kreider EL, Vandeusen CL;
XX
DR WPI; 2002-740700/80.
XX
PT Composition, useful for increasing the transport of a biologically active
PT compound across a biological membrane, comprises a biologically active
PT compound and a transport moiety.
XX
PS Example 3; Fig 7; 58pp; English.
XX
CC The present invention describes a composition (C) comprising a
CC biologically active compound (A) and a transport moiety (B) of formula:
CC (ZYZ)nZ (I), (ZY)nZ (II), (ZYY)nZ (III) or (ZYYY)nZ (IV), where Z = L-
CC arginine or D-arginine; Y = amino acid (not comprising amidino or
CC guanidino moiety); and n = 2-10. Also described is a method for
CC increasing the transport of a biologically active compound across a
CC biological membrane involving administering (C). (C) has vasotropic,
CC neuroleptic, antiparkinsonian and analgesic activities. (C) is used for
CC increasing the transport of a biologically active compound across a
CC biological membrane and across and into animal epithelial or endothelial
CC tissues. (C) can be used for treating ischaemia and delivering
CC neurotransmitters and other agents for treating schizophrenia,
CC Parkinson's disease and pain. The transport of the biologically active
CC compound across the biological membrane is increased relative to the
CC transport of the biologically active compound in the absence of the
CC transport moiety. The present sequence represents a spaced arginine
CC transport moiety peptide, which is used in an example from the present
CC invention
XX
SQ Sequence 7 AA;

ABP54105 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ABP54102 standard; peptide; 13 AA.
XX
AC ABP54102;
XX
DT 15-JAN-2003 (first entry)
XX
DE Transport moiety cellular uptake peptide #26.
XX
KW Transporter; Spaced arginine moiety; vasotropic; neuroleptic; analgesic;
KW antiparkinsonian; biologically active compound; biological membrane;
KW epithelial tissue; endothelial tissue; ischaemia; neurotransmitter;
KW schizophrenia; Parkinson's disease; pain; transport moiety.
XX
OS Synthetic.
XX
PN WO200265986-A2.
XX
PD 29-AUG-2002.
XX
PF 14-FEB-2002; 2002WO-US004491.
XX
PR 16-FEB-2001; 2001US-00269627.
XX
PA (CELL-) CELLGATE INC.
XX
PI Wender PA, Rothbard JB, Wright L, Kreider EL, Vandeusen CL;
XX
DR WPI; 2002-740700/80.
XX
PT Composition, useful for increasing the transport of a biologically active
PT compound across a biological membrane, comprises a biologically active
PT compound and a transport moiety.
XX
PS Example 1; Page 24; 58pp; English.
XX
CC The present invention describes a composition (C) comprising a
CC biologically active compound (A) and a transport moiety (B) of formula:
CC (ZYZ)nZ (I), (ZY)nZ (II), (ZYY)nZ (III) or (ZYYY)nZ (IV), where Z = L-
CC arginine or D-arginine; Y = amino acid (not comprising amidino or
CC guanidino moiety); and n = 2-10. Also described is a method for
CC increasing the transport of a biologically active compound across a
CC biological membrane involving administering (C). (C) has vasotropic,
CC neuroleptic, antiparkinsonian and analgesic activities. (C) is used for
CC increasing the transport of a biologically active compound across a
CC biological membrane and across and into animal epithelial or endothelial
CC tissues. (C) can be used for treating ischaemia and delivering
CC neurotransmitters and other agents for treating schizophrenia,
CC Parkinson's disease and pain. The transport of the biologically active
CC compound across the biological membrane is increased relative to the
CC transport of the biologically active compound in the absence of the
CC transport moiety. The present sequence represents a transport moiety
CC cellular uptake peptide, which is used in an example from the present
CC invention
XX
SQ Sequence 13 AA;

ABP54102 Length: 13 September 7, 2005 16:24 Type: P Check: 7462 ..

```
      1  RRRRRRRRRR RRR

!!AA_SEQUENCE 1.0
ID   AAO19055 standard; peptide; 5 AA.
XX
AC   AAO19055;
XX
DT   14-NOV-2002  (first entry)
XX
DE   Mutation detection method tag peptide SEQ ID NO: 24.
XX
KW   Mutation detection; primer; mutant; tag; tumour suppressor gene;
KW   protein production; cancer.
XX
OS   Synthetic.
XX
PN   WO200266675-A2.
XX
PD   29-AUG-2002.
XX
PF   15-FEB-2002; 2002WO-EP001651.
XX
PR   16-FEB-2001; 2001DE-01007317.
XX
PA   (PLAC ) MAX PLANCK GES FOERDERUNG WISSENSCHAFTEN.
XX
PI   Kahmann S,  Mueller O;
XX
DR   WPI; 2002-674959/72.
DR   N-PSDB; AAL49454.
XX
PT   Detecting mutations in nucleic acid, useful for diagnosis and
PT   characterization of tumors, by amplification, in vitro transcription and
PT   translation, then protein detection.
XX
PS   Disclosure; Fig 5; 62pp; German.
XX
CC   The present invention relates to a method of detecting mutations in a
CC   nucleic acid by amplifying the nucleic acid to produce a double-stranded
CC   amplicon, in vitro transcription and translation of this amplicon, and
CC   detection of the translated protein. The primers used for amplification
CC   are designed to produce an amplicon that is translatable and allows
CC   differentiation between translation products of wild-type and mutated
CC   nucleic acids. The method is used to detect mutations in tumour
CC   suppressor genes, for (early) diagnosis, monitoring and characterisation
CC   of tumours (especially of bladder and intestines) and in the germ line
CC   (using nucleic acids from embryos or blood cells). A new multi-tag vector
CC   is used to detect or verify the reading frame of a nucleic acid cloned in
CC   it, and to determine the suitability of detectable peptides for analysis
CC   and/or purification of a recombinant protein, expressed from a sequence
CC   cloned in the vector. The present sequence is a tag peptide which was
CC   used in the invention
XX
SQ   Sequence 5 AA;

 AAO19055  Length: 5  September 7, 2005 16:24  Type: P  Check: 1230  ..

      1  RRRRR

!!AA_SEQUENCE 1.0
ID   AAO19057 standard; peptide; 5 AA.
XX
AC   AAO19057;
XX
DT   14-NOV-2002  (first entry)
XX
DE   Mutation detection method tag peptide SEQ ID NO: 26.
XX
KW   Mutation detection; primer; mutant; tag; tumour suppressor gene;
KW   protein production; cancer.
XX
OS   Synthetic.
XX
PN   WO200266675-A2.
XX
PD   29-AUG-2002.
XX
PF   15-FEB-2002; 2002WO-EP001651.
XX
PR   16-FEB-2001; 2001DE-01007317.
XX
PA   (PLAC ) MAX PLANCK GES FOERDERUNG WISSENSCHAFTEN.
XX
PI   Kahmann S,  Mueller O;
XX
DR   WPI; 2002-674959/72.
DR   N-PSDB; AAL49456.
XX
PT   Detecting mutations in nucleic acid, useful for diagnosis and
PT   characterization of tumors, by amplification, in vitro transcription and
PT   translation, then protein detection.
XX
PS   Disclosure; Fig 5; 62pp; German.
XX
CC   The present invention relates to a method of detecting mutations in a
CC   nucleic acid by amplifying the nucleic acid to produce a double-stranded
CC   amplicon, in vitro transcription and translation of this amplicon, and
CC   detection of the translated protein. The primers used for amplification
CC   are designed to produce an amplicon that is translatable and allows
CC   differentiation between translation products of wild-type and mutated
CC   nucleic acids. The method is used to detect mutations in tumour
CC   suppressor genes, for (early) diagnosis, monitoring and characterisation
CC   of tumours (especially of bladder and intestines) and in the germ line
CC   (using nucleic acids from embryos or blood cells). A new multi-tag vector
CC   is used to detect or verify the reading frame of a nucleic acid cloned in
CC   it, and to determine the suitability of detectable peptides for analysis
CC   and/or purification of a recombinant protein, expressed from a sequence
CC   cloned in the vector. The present sequence is a tag peptide which was
CC   used in the invention
XX
SQ   Sequence 5 AA;

 AAO19057  Length: 5  September 7, 2005 16:24  Type: P  Check: 1230  ..

      1  RRRRR

!!AA_SEQUENCE 1.0
ID   AAU78931 standard; peptide; 10 AA.
XX
AC   AAU78931;
XX
DT   18-JUN-2002  (first entry)
XX
DE   9 Arginine peptide.
XX
KW   Nuclear localisation signal; NLS; protein delivery; fusion protein;
KW   membrane penetrating peptide.
XX
OS   Synthetic.
XX
PN   WO200218572-A2.
XX
PD   07-MAR-2002.
XX
PF   23-AUG-2001; 2001WO-US026421.
XX
PR   25-AUG-2000; 2000US-0227647P.
PR   07-FEB-2001; 2001GB-00003110.
XX
PA   (AVET ) AVENTIS PHARM INC.
XX
PI   Guo Y,  Morse CC,  Yao Z,  Keesler GA;
XX
DR   WPI; 2002-304256/34.
XX
PT   New fusion proteins comprising membrane penetrating peptides, useful as
```

PT in vivo, ex vivo or in vitro intracellular carriers or delivery devices
PT for a compound of interest (e.g. peptide, protein, chemical entity,
PT nucleic acid).
XX
PS Example 2; Page 27; 45pp; English.
XX
CC This invention relates to a novel fusion protein, which comprises a
CC membrane penetrating peptide attached to a compound of interest. The
CC membrane penetrating peptide of the fusion protein is derived from a
CC nuclear localisation signal and may be the nuclear localisation signal
CC from human period protein hPER1. The fusion protein is useful for
CC delivery of a compound of interest into a cell. The fusion protein is
CC useful as in vivo, ex vivo or in vitro intracellular delivery devices for
CC a compound of interest (e.g. peptide, protein, chemical entity, nucleic
CC acid). In particular, the polypeptides are useful as protein carriers for
CC delivery of compounds to cells. The present sequence represents the 9
CC Arginine synthetic peptide used in an assay to analyse the ability of
CC different peptides to penetrate cellular membranes in the examples of the
CC invention
XX
SQ Sequence 10 AA;

AAU78931 Length: 10 September 7, 2005 16:24 Type: P Check: 4499 ..

1 GRRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAE22208 standard; peptide; 11 AA.
XX
AC AAE22208;
XX
DT 25-JUL-2002 (first entry)
XX
DE Cationic peptide.
XX
KW Site-specific DNA recombinase; DR1; membrane translocation sequence; MTS;
KW cell-permeable recombinase; nuclear localisation signal; NLS; excretion;
KW trafficking; blood-brain barrier; cationic peptide.
XX
OS Unidentified.
XX
PN WO200220737-A2.
XX
PD 14-MAR-2002.
XX
PF 07-SEP-2001; 2001WO-US028209.
XX
PR 07-SEP-2000; 2000US-0230690P.
XX
PA (UYVA-) UNIV VANDERBILT.
XX
PI Ruley HE, Jo D;
XX
DR WPI; 2002-362248/39.
XX
PT New isolated polypeptide comprising a cell-permeable site-specific DNA
PT recombinase and membrane translocation sequence for stimulating site-
PT specific DNA recombination in a cell.
XX
PS Disclosure; Page 25; 70pp; English.
XX
CC The invention relates to a polypeptide comprising a site-specific DNA
CC recombinase (DR1) and a membrane translocation sequence (MTS), and
CC nucleic acids that encode such cell-permeable recombinases. The sequences
CC of the invention are useful for stimulating site-specific DNA
CC recombination in a cell and for determining the efficiency of protein
CC transduction into a population of cells. The polypeptide of the invention
CC is further useful for detecting whether site-specific DNA recombination
CC has occurred within a cell and for identifying a compound that modulates
CC nuclear metabolism in a cell. It is used for identifying a peptide that
CC behaves as a membrane translocation or nuclear localisation signal (NLS)
CC and is also useful for identifying a compound preferably an amino acid
CC sequence that modulates the delivery of a polypeptide to a cell or the
CC activity of a polypeptide in a cell, where the compound modulates
CC trafficking, uptake, excretion or other activity of a specific
CC therapeutic protein, by enhancing protein delivery across the blood-brain
CC barrier. The present sequence is cationic peptide, which is a membrane
CC translocation sequence
XX
SQ Sequence 11 AA;

AAE22208 Length: 11 September 7, 2005 16:24 Type: P Check: 5412 ..

1 RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID ABP54749 standard; peptide; 5 AA.
XX
AC ABP54749;
XX
DT 30-DEC-2002 (first entry)
XX
DE Arginine oligomer d-R5.
XX
KW Drug delivery; cellular uptake; laxative; immunosuppressive;
KW corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .5
FT /note= "D-form residues"
FT Modified-site 1
FT /note= "N-terminal fluorescein attached via an
FT aminohexanoic acid spacer"
XX
PN WO200269930-A1.
XX
PD 12-SEP-2002.
XX
PF 25-FEB-2002; 2002WO-US005829.
XX
PR 23-FEB-2001; 2001US-00792480.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2002-740747/80.
XX
PT Targeting a compound to a gastrointestinal epithelium of an animal useful
PT for treating e.g. inflammatory bowel disease, involves administering a
PT conjugate containing a compound and a delivery-enhancing transporter.
XX
PS Example 13; Page 10; 148pp; English.
XX
CC The present invention relates to methods for enhancing drug delivery
CC across epithelial tissues, including the gastrointestinal tract, skin and
CC pulmonary epithelium, and also across endothelial tissues, including the
CC blood-brain barrier. A delivery enhancing agent that has sufficient
CC guanidino or amidino sidechain moieties is used to enhance delivery of a
CC compound across one or more layers of tissue. The compound is preferably
CC a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC water absorption (all claimed). Delivery enhancing agents include poly-
CC arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC of 5-9 residues, including the present d-R5 peptide, were synthesised
CC using solid-phase Fmoc chemistry, and a fluorescein moiety was attached
CC to its N-terminus via an aminohexanoic acid spacer. The ability of the
CC Arg oligomers to enter Jurkat cells was analysed by fluorescent activated
CC cell sorting. The results showed that fluorescein internalisation
CC increased with increasing oligomer length, and that oligomers containing
CC 7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC Tat49-57 (see ABP54727). Cellular uptake is further improved using d-
CC arginine oligomers
XX

```
SQ   Sequence 5 AA;

 ABP54749  Length: 5  September 7, 2005 16:24  Type: P  Check: 1230  ..

       1  RRRRR

!!AA_SEQUENCE 1.0
ID   ABP54748 standard; peptide; 9 AA.
XX
AC   ABP54748;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer R9.
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
PD   12-SEP-2002.
XX
PF   25-FEB-2002; 2002WO-US005829.
XX
PR   23-FEB-2001; 2001US-00792480.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2002-740747/80.
XX
PT   Targeting a compound to a gastrointestinal epithelium of an animal useful
PT   for treating e.g. inflammatory bowel disease, involves administering a
PT   conjugate containing a compound and a delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 148pp; English.
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present R9 peptide, were synthesised using
CC   solid-phase Fmoc chemistry, and a fluorescein moiety was attached to its
CC   N-terminus via an aminohexanoic acid spacer. The ability of the Arg
CC   oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
CC   increased with increasing oligomer length, and that oligomers containing
CC   7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC   Tat49-57 (see ABP54727). R9 entered cells at a rate approximately 20-fold
CC   faster than Tat47-59
XX
SQ   Sequence 9 AA;

 ABP54748  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ABP54750 standard; peptide; 6 AA.
XX
AC   ABP54750;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer d-R6.
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .6
FT                   /note= "D-form residues"
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
PD   12-SEP-2002.
XX
PF   25-FEB-2002; 2002WO-US005829.
XX
PR   23-FEB-2001; 2001US-00792480.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2002-740747/80.
XX
PT   Targeting a compound to a gastrointestinal epithelium of an animal useful
PT   for treating e.g. inflammatory bowel disease, involves administering a
PT   conjugate containing a compound and a delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 148pp; English.
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present d-R6 peptide, were synthesised
CC   using solid-phase Fmoc chemistry, and a fluorescein moiety was attached
CC   to its N-terminus via an aminohexanoic acid spacer. The ability of the
CC   Arg oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
CC   increased with increasing oligomer length, and that oligomers containing
CC   7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC   Tat49-57 (see ABP54727). Cellular uptake is further improved using d-
CC   arginine oligomers
XX
SQ   Sequence 6 AA;

 ABP54750  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ABP54752 standard; peptide; 8 AA.
XX
AC   ABP54752;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer d-R8.
```

```
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .8
FT                   /note= "D-form residues"
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
PD   12-SEP-2002.
XX
PF   25-FEB-2002; 2002WO-US005829.
XX
PR   23-FEB-2001; 2001US-00792480.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2002-740747/80.
XX
PT   Targeting a compound to a gastrointestinal epithelium of an animal useful
PT   for treating e.g. inflammatory bowel disease, involves administering a
PT   conjugate containing a compound and a delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 148pp; English.
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present d-R8 peptide, were synthesised
CC   using solid-phase Fmoc chemistry, and a fluorescein moiety was attached
CC   to its N-terminus via an aminohexanoic acid spacer. The ability of the
CC   Arg oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
CC   increased with increasing oligomer length, and that oligomers containing
CC   7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC   Tat49-57 (see ABP54727). Cellular uptake is further improved using d-
CC   arginine oligomers
XX
SQ   Sequence 8 AA;

 ABP54752  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

       1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   ABP54746 standard; peptide; 7 AA.
XX
AC   ABP54746;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer R7.
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
PD   12-SEP-2002.
XX
PF   25-FEB-2002; 2002WO-US005829.
XX
PR   23-FEB-2001; 2001US-00792480.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2002-740747/80.
XX
PT   Targeting a compound to a gastrointestinal epithelium of an animal useful
PT   for treating e.g. inflammatory bowel disease, involves administering a
PT   conjugate containing a compound and a delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 148pp; English.
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present R7 peptide, were synthesised using
CC   solid-phase Fmoc chemistry, and a fluorescein moiety was attached to its
CC   N-terminus via an aminohexanoic acid spacer. The ability of the Arg
CC   oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
CC   increased with increasing oligomer length, and that oligomers containing
CC   7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC   Tat49-57 (see ABP54727)
XX
SQ   Sequence 7 AA;

 ABP54746  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

       1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   ABP54751 standard; peptide; 7 AA.
XX
AC   ABP54751;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer d-R7.
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .7
FT                   /note= "D-form residues"
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
```

PD 12-SEP-2002.
XX
PF 25-FEB-2002; 2002WO-US005829.
XX
PR 23-FEB-2001; 2001US-00792480.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2002-740747/80.
XX
PT Targeting a compound to a gastrointestinal epithelium of an animal useful
PT for treating e.g. inflammatory bowel disease, involves administering a
PT conjugate containing a compound and a delivery-enhancing transporter.
XX
PS Example 13; Page 10; 148pp; English.
XX
CC The present invention relates to methods for enhancing drug delivery
CC across epithelial tissues, including the gastrointestinal tract, skin and
CC pulmonary epithelium, and also across endothelial tissues, including the
CC blood-brain barrier. A delivery enhancing agent that has sufficient
CC guanidino or amidino sidechain moieties is used to enhance delivery of a
CC compound across one or more layers of tissue. The compound is preferably
CC a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC water absorption (all claimed). Delivery enhancing agents include poly-
CC arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC of 5-9 residues, including the present d-R7 peptide, were synthesised
CC using solid-phase Fmoc chemistry, and a fluorescein moiety was attached
CC to its N-terminus via an aminohexanoic acid spacer. The ability of the
CC Arg oligomers to enter Jurkat cells was analysed by fluorescent activated
CC cell sorting. The results showed that fluorescein internalisation
CC increased with increasing oligomer length, and that oligomers containing
CC 7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC Tat49-57 (see ABP54727). Cellular uptake is further improved using d-
CC arginine oligomers
XX
SQ Sequence 7 AA;

ABP54751 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ABP54747 standard; peptide; 8 AA.
XX
AC ABP54747;
XX
DT 30-DEC-2002 (first entry)
XX
DE Arginine oligomer R8.
XX
KW Drug delivery; cellular uptake; laxative; immunosuppressive;
KW corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Modified-site 1
FT /note= "N-terminal fluorescein attached via an
FT aminohexanoic acid spacer"
XX
PN WO200269930-A1.
XX
PD 12-SEP-2002.
XX
PF 25-FEB-2002; 2002WO-US005829.
XX
PR 23-FEB-2001; 2001US-00792480.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2002-740747/80.
XX
PT Targeting a compound to a gastrointestinal epithelium of an animal useful
PT for treating e.g. inflammatory bowel disease, involves administering a
PT conjugate containing a compound and a delivery-enhancing transporter.
XX
PS Example 13; Page 10; 148pp; English.
XX
CC The present invention relates to methods for enhancing drug delivery
CC across epithelial tissues, including the gastrointestinal tract, skin and
CC pulmonary epithelium, and also across endothelial tissues, including the
CC blood-brain barrier. A delivery enhancing agent that has sufficient
CC guanidino or amidino sidechain moieties is used to enhance delivery of a
CC compound across one or more layers of tissue. The compound is preferably
CC a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC water absorption (all claimed). Delivery enhancing agents include poly-
CC arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC of 5-9 residues, including the present R8 peptide, were synthesised using
CC solid-phase Fmoc chemistry, and a fluorescein moiety was attached to its
CC N-terminus via an aminohexanoic acid spacer. The ability of the Arg
CC oligomers to enter Jurkat cells was analysed by fluorescent activated
CC cell sorting. The results showed that fluorescein internalisation
CC increased with increasing oligomer length, and that oligomers containing
CC 7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC Tat49-57 (see ABP54727)
XX
SQ Sequence 8 AA;

ABP54747 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ABP54745 standard; peptide; 6 AA.
XX
AC ABP54745;
XX
DT 30-DEC-2002 (first entry)
XX
DE Arginine oligomer R6.
XX
KW Drug delivery; cellular uptake; laxative; immunosuppressive;
KW corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Modified-site 1
FT /note= "N-terminal fluorescein attached via an
FT aminohexanoic acid spacer"
XX
PN WO200269930-A1.
XX
PD 12-SEP-2002.
XX
PF 25-FEB-2002; 2002WO-US005829.
XX
PR 23-FEB-2001; 2001US-00792480.
XX
PA (CELL-) CELLGATE INC.
XX
PI Rothbard JB, Wender PA, Mcgrane PL, Sista LVS, Kirschberg TA;
XX
DR WPI; 2002-740747/80.
XX
PT Targeting a compound to a gastrointestinal epithelium of an animal useful
PT for treating e.g. inflammatory bowel disease, involves administering a
PT conjugate containing a compound and a delivery-enhancing transporter.
XX
PS Example 13; Page 10; 148pp; English.

```
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present R6 peptide, were synthesised using
CC   solid-phase Fmoc chemistry, and a fluorescein moiety was attached to its
CC   N-terminus via an aminohexanoic acid spacer. The ability of the Arg
CC   oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
CC   increased with increasing oligomer length, and that oligomers containing
CC   7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC   Tat49-57 (see ABP54727)
XX
SQ   Sequence 6 AA;

 ABP54745  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ABP54744 standard; peptide; 5 AA.
XX
AC   ABP54744;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer R5.
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
PD   12-SEP-2002.
XX
PF   25-FEB-2002; 2002WO-US005829.
XX
PR   23-FEB-2001; 2001US-00792480.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2002-740747/80.
XX
PT   Targeting a compound to a gastrointestinal epithelium of an animal useful
PT   for treating e.g. inflammatory bowel disease, involves administering a
PT   conjugate containing a compound and a delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 148pp; English.
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present R5 peptide, were synthesised using
CC   solid-phase Fmoc chemistry, and a fluorescein moiety was attached to its
CC   N-terminus via an aminohexanoic acid spacer. The ability of the Arg
CC   oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
CC   increased with increasing oligomer length, and that oligomers containing
CC   7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC   Tat49-57 (see ABP54727)
XX
SQ   Sequence 5 AA;

 ABP54744  Length: 5  September 7, 2005 16:24  Type: P  Check: 1230  ..

       1  RRRRR

!!AA_SEQUENCE 1.0
ID   ABP54753 standard; peptide; 9 AA.
XX
AC   ABP54753;
XX
DT   30-DEC-2002  (first entry)
XX
DE   Arginine oligomer d-R9.
XX
KW   Drug delivery; cellular uptake; laxative; immunosuppressive;
KW   corticosteroid; antibiotic; cytostatic; antiulcer.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1. .8
FT                   /note= "D-form residues"
FT   Modified-site   1
FT                   /note= "N-terminal fluorescein attached via an
FT                   aminohexanoic acid spacer"
XX
PN   WO200269930-A1.
XX
PD   12-SEP-2002.
XX
PF   25-FEB-2002; 2002WO-US005829.
XX
PR   23-FEB-2001; 2001US-00792480.
XX
PA   (CELL-) CELLGATE INC.
XX
PI   Rothbard JB,  Wender PA,  Mcgrane PL,  Sista LVS,  Kirschberg TA;
XX
DR   WPI; 2002-740747/80.
XX
PT   Targeting a compound to a gastrointestinal epithelium of an animal useful
PT   for treating e.g. inflammatory bowel disease, involves administering a
PT   conjugate containing a compound and a delivery-enhancing transporter.
XX
PS   Example 13; Page 10; 148pp; English.
XX
CC   The present invention relates to methods for enhancing drug delivery
CC   across epithelial tissues, including the gastrointestinal tract, skin and
CC   pulmonary epithelium, and also across endothelial tissues, including the
CC   blood-brain barrier. A delivery enhancing agent that has sufficient
CC   guanidino or amidino sidechain moieties is used to enhance delivery of a
CC   compound across one or more layers of tissue. The compound is preferably
CC   a therapeutic for inflammatory bowel disease, colon cancer, ulcerative
CC   colitis, gastrointestinal ulcers, constipation and imbalance of salt and
CC   water absorption (all claimed). Delivery enhancing agents include poly-
CC   arginine molecules, preferably of 6-25 residue length. Arginine oligomers
CC   of 5-9 residues, including the present d-R9 peptide, were synthesised
CC   using solid-phase Fmoc chemistry, and a fluorescein moiety was attached
CC   to its N-terminus via an aminohexanoic acid spacer. The ability of the
CC   Arg oligomers to enter Jurkat cells was analysed by fluorescent activated
CC   cell sorting. The results showed that fluorescein internalisation
```

CC increased with increasing oligomer length, and that oligomers containing
CC 7-9 arginine residues exhibited better uptake than the HIV-1 Tat peptide
CC Tat49-57 (see ABP54727). Cellular uptake is further improved using d-
CC arginine oligomers. d-R9 entered cells at a rate approximately 100-fold
CC faster than Tat47-59
XX
SQ Sequence 9 AA;

ABP54753 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAM48646 standard; peptide; 6 AA.
XX
AC [redacted];
XX
DT 20-MAR-2002 (first entry)
XX
DE Anti-inflammatory peptide SEQ ID NO 149.
XX
KW Antiinflammatory; antiasthmatic; cytostatic; antipsoriatic; nootropic;
KW antirheumatic; antiarthritic; osteopathic; antibacterial; virucide;
KW immunosuppressive; dermatological; neuroprotective; antiatherosclerotic;
KW antiallergic; membrane translocation domain; NEMO binding domain; eczema;
KW cytokine; NFkappaB; IkappaB kinase beta; IKKbeta; cancer; psoriasis;
KW rheumatoid arthritis; osteoarthritis; inflammatory bowel disease;
KW autoimmune disorder; multiple sclerosis; transplant rejection;
KW osteoporosis; Alzheimer's disease; atherosclerosis; viral infection;
KW ataxia telangiectasia; allergy; anaphylaxis; arthritis.
XX
OS Synthetic.
XX
PN WO200183554-A2.
XX
PD 08-NOV-2001.
XX
PF 02-MAY-2001; 2001WO-US014346.
XX
PR 02-MAY-2000; 2000US-0201261P.
PR 22-AUG-2000; 2000US-00643260.
XX
PA (PRAE-) PRAECIS PHARM INC.
PA (UYYA) UNIV YALE.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K;
XX
DR WPI; 2002-121889/16.
XX
PT Novel antiinflammatory compound comprising membrane translocation domain
PT fused to NEMO binding sequence, useful for blocking nuclear factor kappaB
PT activation, and for treating asthma, lung inflammation, psoriasis.
XX
PS Claim 11; Page 62; 88pp; English.
XX
CC The invention relates to an antiinflammatory compound (especially
CC AAM48628-AAM48645), comprising a membrane translocation domain (AAM48620-
CC AMM48627 or AAM48646-AAM48651) which comprises from 6-15 amino acid
CC residues, fused to a NEMO binding sequence (AAM48525-AAM48619). The
CC antiinflammatory compounds have antiasthmatic, cytostatic, antipsoriatic,
CC antirheumatic, antiarthritic, osteopathic, antibacterial,
CC immunosuppressive, dermatological, neuroprotective, nootropic,
CC antiatherosclerotic, virucide and antiallergic activity. The compounds
CC act as selective inhibitors of cytokine-mediated NFkappaB activation by
CC blocking interaction of IkappaB kinase beta (IKKbeta) at the NEMO binding
CC domain that results in inhibition of IKKbeta kinase activation and
CC subsequent decreased phosphorylation of IkappaB. The compounds are useful
CC for treating inflammatory disorders, e.g. asthma, lung inflammation or
CC cancer, psoriasis, rheumatoid arthritis, osteoarthritis, inflammatory
CC bowel disease, sepsis, vasculitis, bursitis; autoimmune diseases such as
CC lupus, polymyalgia, scleroderma, granulomatosis, multiple sclerosis;
CC transplant rejection; osteoporosis; Alzheimer's disease; atherosclerosis;
CC viral infections; and ataxia telangiectasia. The compounds are also
CC useful for treating pro-inflammatory responses such as allergies,
CC urticaria, anaphylaxis, drug or food sensitivity, eczema, dermatitis,
CC sunburn, aging and arthritis
XX
SQ Sequence 6 AA;

AAM48646 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID AAM48648 standard; peptide; 8 AA.
XX
AC [redacted];
XX
DT 20-MAR-2002 (first entry)
XX
DE Anti-inflammatory peptide SEQ ID NO 151.
XX
KW Antiinflammatory; antiasthmatic; cytostatic; antipsoriatic; nootropic;
KW antirheumatic; antiarthritic; osteopathic; antibacterial; virucide;
KW immunosuppressive; dermatological; neuroprotective; antiatherosclerotic;
KW antiallergic; membrane translocation domain; NEMO binding domain; eczema;
KW cytokine; NFkappaB; IkappaB kinase beta; IKKbeta; cancer; psoriasis;
KW rheumatoid arthritis; osteoarthritis; inflammatory bowel disease;
KW autoimmune disorder; multiple sclerosis; transplant rejection;
KW osteoporosis; Alzheimer's disease; atherosclerosis; viral infection;
KW ataxia telangiectasia; allergy; anaphylaxis; arthritis.
XX
OS Synthetic.
XX
PN WO200183554-A2.
XX
PD 08-NOV-2001.
XX
PF 02-MAY-2001; 2001WO-US014346.
XX
PR 02-MAY-2000; 2000US-0201261P.
PR 22-AUG-2000; 2000US-00643260.
XX
PA (PRAE-) PRAECIS PHARM INC.
PA (UYYA) UNIV YALE.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K;
XX
DR WPI; 2002-121889/16.
XX
PT Novel antiinflammatory compound comprising membrane translocation domain
PT fused to NEMO binding sequence, useful for blocking nuclear factor kappaB
PT activation, and for treating asthma, lung inflammation, psoriasis.
XX
PS Claim 11; Page 62; 88pp; English.
XX
CC The invention relates to an antiinflammatory compound (especially
CC AAM48628-AAM48645), comprising a membrane translocation domain (AAM48620-
CC AMM48627 or AAM48646-AAM48651) which comprises from 6-15 amino acid
CC residues, fused to a NEMO binding sequence (AAM48525-AAM48619). The
CC antiinflammatory compounds have antiasthmatic, cytostatic, antipsoriatic,
CC antirheumatic, antiarthritic, osteopathic, antibacterial,
CC immunosuppressive, dermatological, neuroprotective, nootropic,
CC antiatherosclerotic, virucide and antiallergic activity. The compounds
CC act as selective inhibitors of cytokine-mediated NFkappaB activation by
CC blocking interaction of IkappaB kinase beta (IKKbeta) at the NEMO binding
CC domain that results in inhibition of IKKbeta kinase activation and
CC subsequent decreased phosphorylation of IkappaB. The compounds are useful
CC for treating inflammatory disorders, e.g. asthma, lung inflammation or
CC cancer, psoriasis, rheumatoid arthritis, osteoarthritis, inflammatory
CC bowel disease, sepsis, vasculitis, bursitis; autoimmune diseases such as
CC lupus, polymyalgia, scleroderma, granulomatosis, multiple sclerosis;
CC transplant rejection; osteoporosis; Alzheimer's disease; atherosclerosis;
CC viral infections; and ataxia telangiectasia. The compounds are also
CC useful for treating pro-inflammatory responses such as allergies,
CC urticaria, anaphylaxis, drug or food sensitivity, eczema, dermatitis,

CC sunburn, aging and arthritis
XX
SQ Sequence 8 AA;

AAM48648 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID AAM48649 standard; peptide; 9 AA.
XX
AC AAM48649;
XX
DT 20-MAR-2002 (first entry)
XX
DE Anti-inflammatory peptide SEQ ID NO 152.
XX
KW Antiinflammatory; antiasthmatic; cytostatic; antipsoriatic; nootropic;
KW antirheumatic; antiarthritic; osteopathic; antibacterial; virucide;
KW immunosuppressive; dermatological; neuroprotective; antiatherosclerotic;
KW antiallergic; membrane translocation domain; NEMO binding domain; eczema;
KW cytokine; NFkappaB; IkappaB kinase beta; IKKbeta; cancer; psoriasis;
KW rheumatoid arthritis; osteoarthritis; inflammatory bowel disease;
KW autoimmune disorder; multiple sclerosis; transplant rejection;
KW osteoporosis; Alzheimer's disease; atherosclerosis; viral infection;
KW ataxia telangiectasia; allergy; anaphylaxis; arthritis.
XX
OS Synthetic.
XX
PN WO200183554-A2.
XX
PD 08-NOV-2001.
XX
PF 02-MAY-2001; 2001WO-US014346.
XX
PR 02-MAY-2000; 2000US-0201261P.
PR 22-AUG-2000; 2000US-00643260.
XX
PA (PRAE-) PRAECIS PHARM INC.
PA (UYYA) UNIV YALE.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K;
XX
DR WPI; 2002-121889/16.
XX
PT Novel antiinflammatory compound comprising membrane translocation domain
PT fused to NEMO binding sequence, useful for blocking nuclear factor kappaB
PT activation, and for treating asthma, lung inflammation, psoriasis.
XX
PS Claim 11; Page 62; 88pp; English.
XX
CC The invention relates to an antiinflammatory compound (especially
CC AAM48628-AAM48645), comprising a membrane translocation domain (AAM48620-
CC AMM48627 or AAM48646-AAM48651) which comprises from 6-15 amino acid
CC residues, fused to a NEMO binding sequence (AAM48525-AAM48619). The
CC antiinflammatory compounds have antiasthmatic, cytostatic, antipsoriatic,
CC antirheumatic, antiarthritic, osteopathic, antibacterial,
CC immunosuppressive, dermatological, neuroprotective, nootropic,
CC antiatherosclerotic, virucide and antiallergic activity. The compounds
CC act as selective inhibitors of cytokine-mediated NFkappaB activation by
CC blocking interaction of IkappaB kinase beta (IKKbeta) at the NEMO binding
CC domain that results in inhibition of IKKbeta kinase activation and
CC subsequent decreased phosphorylation of IkappaB. The compounds are useful
CC for treating inflammatory disorders, e.g. asthma, lung inflammation or
CC cancer, psoriasis, rheumatoid arthritis, osteoarthritis, inflammatory
CC bowel disease, sepsis, vasculitis, bursitis; autoimmune diseases such as
CC lupus, polymyalgia, scleroderma, granulomatosis, multiple sclerosis;
CC transplant rejection; osteoporosis; Alzheimer's disease; atherosclerosis;
CC viral infections; and ataxia telangiectasia. The compounds are also
CC useful for treating pro-inflammatory responses such as allergies,
CC urticaria, anaphylaxis, drug or food sensitivity, eczema, dermatitis,
CC sunburn, aging and arthritis
XX
SQ Sequence 9 AA;

AAM48649 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAM48651 standard; peptide; 11 AA.
XX
AC AAM48651;
XX
DT 20-MAR-2002 (first entry)
XX
DE Anti-inflammatory peptide SEQ ID NO 154.
XX
KW Antiinflammatory; antiasthmatic; cytostatic; antipsoriatic; nootropic;
KW antirheumatic; antiarthritic; osteopathic; antibacterial; virucide;
KW immunosuppressive; dermatological; neuroprotective; antiatherosclerotic;
KW antiallergic; membrane translocation domain; NEMO binding domain; eczema;
KW cytokine; NFkappaB; IkappaB kinase beta; IKKbeta; cancer; psoriasis;
KW rheumatoid arthritis; osteoarthritis; inflammatory bowel disease;
KW autoimmune disorder; multiple sclerosis; transplant rejection;
KW osteoporosis; Alzheimer's disease; atherosclerosis; viral infection;
KW ataxia telangiectasia; allergy; anaphylaxis; arthritis.
XX
OS Synthetic.
XX
PN WO200183554-A2.
XX
PD 08-NOV-2001.
XX
PF 02-MAY-2001; 2001WO-US014346.
XX
PR 02-MAY-2000; 2000US-0201261P.
PR 22-AUG-2000; 2000US-00643260.
XX
PA (PRAE-) PRAECIS PHARM INC.
PA (UYYA) UNIV YALE.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K;
XX
DR WPI; 2002-121889/16.
XX
PT Novel antiinflammatory compound comprising membrane translocation domain
PT fused to NEMO binding sequence, useful for blocking nuclear factor kappaB
PT activation, and for treating asthma, lung inflammation, psoriasis.
XX
PS Claim 11; Page 62; 88pp; English.
XX
CC The invention relates to an antiinflammatory compound (especially
CC AAM48628-AAM48645), comprising a membrane translocation domain (AAM48620-
CC AMM48627 or AAM48646-AAM48651) which comprises from 6-15 amino acid
CC residues, fused to a NEMO binding sequence (AAM48525-AAM48619). The
CC antiinflammatory compounds have antiasthmatic, cytostatic, antipsoriatic,
CC antirheumatic, antiarthritic, osteopathic, antibacterial,
CC immunosuppressive, dermatological, neuroprotective, nootropic,
CC antiatherosclerotic, virucide and antiallergic activity. The compounds
CC act as selective inhibitors of cytokine-mediated NFkappaB activation by
CC blocking interaction of IkappaB kinase beta (IKKbeta) at the NEMO binding
CC domain that results in inhibition of IKKbeta kinase activation and
CC subsequent decreased phosphorylation of IkappaB. The compounds are useful
CC for treating inflammatory disorders, e.g. asthma, lung inflammation or
CC cancer, psoriasis, rheumatoid arthritis, osteoarthritis, inflammatory
CC bowel disease, sepsis, vasculitis, bursitis; autoimmune diseases such as
CC lupus, polymyalgia, scleroderma, granulomatosis, multiple sclerosis;
CC transplant rejection; osteoporosis; Alzheimer's disease; atherosclerosis;
CC viral infections; and ataxia telangiectasia. The compounds are also
CC useful for treating pro-inflammatory responses such as allergies,
CC urticaria, anaphylaxis, drug or food sensitivity, eczema, dermatitis,
CC sunburn, aging and arthritis
XX
SQ Sequence 11 AA;

AAM48651 Length: 11 September 7, 2005 16:24 Type: P Check: 5412 ..

1 RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID AAM48647 standard; peptide; 7 AA.
XX
AC AAM48647;
XX
DT 20-MAR-2002 (first entry)
XX
DE Anti-inflammatory peptide SEQ ID NO 150.
XX
KW Antiinflammatory; antiasthmatic; cytostatic; antipsoriatic; nootropic;
KW antirheumatic; antiarthritic; osteopathic; antibacterial; virucide;
KW immunosuppressive; dermatological; neuroprotective; antiatherosclerotic;
KW antiallergic; membrane translocation domain; NEMO binding domain; eczema;
KW cytokine; NFkappaB; IkappaB kinase beta; IKKbeta; cancer; psoriasis;
KW rheumatoid arthritis; osteoarthritis; inflammatory bowel disease;
KW autoimmune disorder; multiple sclerosis; transplant rejection;
KW osteoporosis; Alzheimer's disease; atherosclerosis; viral infection;
KW ataxia telangiectasia; allergy; anaphylaxis; arthritis.
XX
OS Synthetic.
XX
PN WO200183554-A2.
XX
PD 08-NOV-2001.
XX
PF 02-MAY-2001; 2001WO-US014346.
XX
PR 02-MAY-2000; 2000US-0201261P.
PR 22-AUG-2000; 2000US-00643260.
XX
PA (PRAE-) PRAECIS PHARM INC.
PA (UYYA) UNIV YALE.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K;
XX
DR WPI; 2002-121889/16.
XX
PT Novel antiinflammatory compound comprising membrane translocation domain
PT fused to NEMO binding sequence, useful for blocking nuclear factor kappaB
PT activation, and for treating asthma, lung inflammation, psoriasis.
XX
PS Claim 11; Page 62; 88pp; English.
XX
CC The invention relates to an antiinflammatory compound (especially
CC AAM48628-AAM48645), comprising a membrane translocation domain (AAM48620-
CC AMM48627 or AAM48646-AAM48651) which comprises from 6-15 amino acid
CC residues, fused to a NEMO binding sequence (AAM48525-AAM48619). The
CC antiinflammatory compounds have antiasthmatic, cytostatic, antipsoriatic,
CC antirheumatic, antiarthritic, osteopathic, antibacterial,
CC immunosuppressive, dermatological, neuroprotective, nootropic,
CC antiatherosclerotic, virucide and antiallergic activity. The compounds
CC act as selective inhibitors of cytokine-mediated NFkappaB activation by
CC blocking interaction of IkappaB kinase beta (IKKbeta) at the NEMO binding
CC domain that results in inhibition of IKKbeta kinase activation and
CC subsequent decreased phosphorylation of IkappaB. The compounds are useful
CC for treating inflammatory disorders, e.g. asthma, lung inflammation or
CC cancer, psoriasis, rheumatoid arthritis, osteoarthritis, inflammatory
CC bowel disease, sepsis, vasculitis, bursitis; autoimmune diseases such as
CC lupus, polymyalgia, scleroderma, granulomatosis, multiple sclerosis;
CC transplant rejection; osteoporosis; Alzheimer's disease; atherosclerosis;
CC viral infections; and ataxia telangiectasia. The compounds are also
CC useful for treating pro-inflammatory responses such as allergies,
CC urticaria, anaphylaxis, drug or food sensitivity, eczema, dermatitis,
CC sunburn, aging and arthritis
XX
SQ Sequence 7 AA;

AAM48647 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID AAM48650 standard; peptide; 10 AA.
XX
AC AAM48650;
XX
DT 20-MAR-2002 (first entry)
XX
DE Anti-inflammatory peptide SEQ ID NO 153.
XX
KW Antiinflammatory; antiasthmatic; cytostatic; antipsoriatic; nootropic;
KW antirheumatic; antiarthritic; osteopathic; antibacterial; virucide;
KW immunosuppressive; dermatological; neuroprotective; antiatherosclerotic;
KW antiallergic; membrane translocation domain; NEMO binding domain; eczema;
KW cytokine; NFkappaB; IkappaB kinase beta; IKKbeta; cancer; psoriasis;
KW rheumatoid arthritis; osteoarthritis; inflammatory bowel disease;
KW autoimmune disorder; multiple sclerosis; transplant rejection;
KW osteoporosis; Alzheimer's disease; atherosclerosis; viral infection;
KW ataxia telangiectasia; allergy; anaphylaxis; arthritis.
XX
OS Synthetic.
XX
PN WO200183554-A2.
XX
PD 08-NOV-2001.
XX
PF 02-MAY-2001; 2001WO-US014346.
XX
PR 02-MAY-2000; 2000US-0201261P.
PR 22-AUG-2000; 2000US-00643260.
XX
PA (PRAE-) PRAECIS PHARM INC.
PA (UYYA) UNIV YALE.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K;
XX
DR WPI; 2002-121889/16.
XX
PT Novel antiinflammatory compound comprising membrane translocation domain
PT fused to NEMO binding sequence, useful for blocking nuclear factor kappaB
PT activation, and for treating asthma, lung inflammation, psoriasis.
XX
PS Claim 11; Page 62; 88pp; English.
XX
CC The invention relates to an antiinflammatory compound (especially
CC AAM48628-AAM48645), comprising a membrane translocation domain (AAM48620-
CC AMM48627 or AAM48646-AAM48651) which comprises from 6-15 amino acid
CC residues, fused to a NEMO binding sequence (AAM48525-AAM48619). The
CC antiinflammatory compounds have antiasthmatic, cytostatic, antipsoriatic,
CC antirheumatic, antiarthritic, osteopathic, antibacterial,
CC immunosuppressive, dermatological, neuroprotective, nootropic,
CC antiatherosclerotic, virucide and antiallergic activity. The compounds
CC act as selective inhibitors of cytokine-mediated NFkappaB activation by
CC blocking interaction of IkappaB kinase beta (IKKbeta) at the NEMO binding
CC domain that results in inhibition of IKKbeta kinase activation and
CC subsequent decreased phosphorylation of IkappaB. The compounds are useful
CC for treating inflammatory disorders, e.g. asthma, lung inflammation or
CC cancer, psoriasis, rheumatoid arthritis, osteoarthritis, inflammatory
CC bowel disease, sepsis, vasculitis, bursitis; autoimmune diseases such as
CC lupus, polymyalgia, scleroderma, granulomatosis, multiple sclerosis;
CC transplant rejection; osteoporosis; Alzheimer's disease; atherosclerosis;
CC viral infections; and ataxia telangiectasia. The compounds are also
CC useful for treating pro-inflammatory responses such as allergies,
CC urticaria, anaphylaxis, drug or food sensitivity, eczema, dermatitis,
CC sunburn, aging and arthritis
XX
SQ Sequence 10 AA;

AAM48650 Length: 10 September 7, 2005 16:24 Type: P Check: 4510 ..

1 RRRRRRRRRR

```
!!AA_SEQUENCE 1.0
ID   AAO14614 standard; peptide; 10 AA.
XX
AC   AAO14614;
XX
DT   27-MAY-2002  (first entry)
XX
DE   Positively charged branching group peptide 2.
XX
KW   Non-covalent association complex; positively-charged backbone;
KW   negatively-charged backbone; positively charged branching group;
KW   biological agent delivery; therapeutic agent;
KW   vascular endothelial growth factor; VEGF; botulinum toxin; VEGF blocker;
KW   insulin; cosmeceutical agent; epidermal growth factor; transgene.
XX
OS   Synthetic.
XX
PN   WO200207773-A2.
XX
PD   31-JAN-2002.
XX
PF   20-JUL-2001; 2001WO-US023072.
XX
PR   21-JUL-2000; 2000US-0220244P.
XX
PA   (ESSE-) ESSENTIA BIOSYSTEMS INC.
XX
PI   Waugh J,  Dake M;
XX
DR   WPI; 2002-241553/29.
XX
PT   Composition for delivering biological agents including therapeutic agents
PT   into cells, has a complex of positively charged backbone and negatively
PT   charged backbone having imaging, targeting or biological agents.
XX
PS   Claim 18; Page 39; 56pp; English.
XX
CC   The invention comprises a non-covalent association complex of a
CC   positively-charged backbone, and at least two members chosen from: a
CC   negatively-charged backbone having several attached imaging, targeting or
CC   biological agents; a member chosen from DNA, RNA, ribozymes, modified
CC   oligonucleotides, and cDNA encoding a selected transgene; and DNA
CC   encoding a persistence factor. The positively charged backbone component
CC   of the non-covalent association complex is preferably a polymer having
CC   attached positively charged branching groups. The non-covalent
CC   association complex is useful for delivering a biological agent to a cell
CC   surface in a subject. The biological agent may be selected from: a
CC   therapeutic agent (e.g. vascular endothelial growth factor VEGF,
CC   botulinum toxin, a blocker of VEGF, and insulin); a cosmeceutical agent
CC   (e.g. epidermal growth factor); an oligonucleotide or a cDNA encoding a
CC   selected transgene; or a negatively charged backbone having imaging
CC   agents. The present sequence represents a positively charged branching
CC   group peptide used in the non-covalent association complex of the
CC   invention
XX
SQ   Sequence 10 AA;

AAO14614  Length: 10  September 7, 2005 16:24  Type: P  Check: 4444  ..

       1  GGGRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAO14612 standard; peptide; 8 AA.
XX
AC   AAO14612;
XX
DT   27-MAY-2002  (first entry)
XX
DE   Positively charged branching group peptide 1.
XX
KW   Non-covalent association complex; positively-charged backbone;
KW   negatively-charged backbone; positively charged branching group;
KW   biological agent delivery; therapeutic agent;
KW   vascular endothelial growth factor; VEGF; botulinum toxin; VEGF blocker;
KW   insulin; cosmeceutical agent; epidermal growth factor; transgene.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Misc-difference 1
FT                   /note= "Optionally 0-20 Gly residues at this position"
XX
PN   WO200207773-A2.
XX
PD   31-JAN-2002.
XX
PF   20-JUL-2001; 2001WO-US023072.
XX
PR   21-JUL-2000; 2000US-0220244P.
XX
PA   (ESSE-) ESSENTIA BIOSYSTEMS INC.
XX
PI   Waugh J,  Dake M;
XX
DR   WPI; 2002-241553/29.
XX
PT   Composition for delivering biological agents including therapeutic agents
PT   into cells, has a complex of positively charged backbone and negatively
PT   charged backbone having imaging, targeting or biological agents.
XX
PS   Claim 12; Page 38; 56pp; English.
XX
CC   The invention comprises a non-covalent association complex of a
CC   positively-charged backbone, and at least two members chosen from: a
CC   negatively-charged backbone having several attached imaging, targeting or
CC   biological agents; a member chosen from DNA, RNA, ribozymes, modified
CC   oligonucleotides, and cDNA encoding a selected transgene; and DNA
CC   encoding a persistence factor. The positively charged backbone component
CC   of the non-covalent association complex is preferably a polymer having
CC   attached positively charged branching groups. The non-covalent
CC   association complex is useful for delivering a biological agent to a cell
CC   surface in a subject. The biological agent may be selected from: a
CC   therapeutic agent (e.g. vascular endothelial growth factor VEGF,
CC   botulinum toxin, a blocker of VEGF, and insulin); a cosmeceutical agent
CC   (e.g. epidermal growth factor); an oligonucleotide or a cDNA encoding a
CC   selected transgene; or a negatively charged backbone having imaging
CC   agents. The present sequence represents a positively charged branching
CC   group peptide used in the non-covalent association complex of the
CC   invention
XX
SQ   Sequence 8 AA;

 AAO14612  Length: 8  September 7, 2005 16:24  Type: P  Check: 2941  ..

       1  GRRRRRRR

!!AA_SEQUENCE 1.0
ID   AAE16152 standard; peptide; 9 AA.
XX
AC   AAE16152;
XX
DT   26-MAR-2002  (first entry)
XX
DE   Arginine oligomer for synthesising prodrug compositions.
XX
KW   Prodrug; cytostatic; tumourigenic cancer; neoplastic condition; therapy;
KW   tumour.
XX
OS   Unidentified.
XX
PN   WO200191798-A2.
XX
PD   06-DEC-2001.
XX
PF   29-MAY-2001; 2001WO-EP006106.
XX
```

PR 01-JUN-2000; 2000US-0208996P.
PR 15-JUN-2000; 2000EP-00870130.
PR 18-DEC-2000; 2000EP-00870306.
XX
PA (UYLO-) UNIV CATHOLIQUE LOUVAIN.
XX
PI Trouet A, Dubois V, Oronsky A;
XX
DR WPI; 2002-089985/12.
XX
PT Prodrug composition comprises a biologically active entity and a linking
PT moiety useful for inhibiting the growth of tumors and for treating
PT neoplastic conditions.
XX
PS Claim 31; Page 58; 74pp; English.
XX
CC The invention relates to prodrug compositions comprising a biologically
CC active entity linked to a masking moiety via a linking moiety. The
CC prodrug compounds are selectively activated at or near target cells and
CC display lower toxicity and possibly a longer in vivo or serum half-life
CC than the corresponding naked biologically active entity. The prodrug
CC compositions are useful for inhibiting the growth of a malignant tumour
CC in vivo, ex vivo or in vitro by contacting the tumour with the prodrug.
CC The prodrug compositions are also useful for treating tumourigenic
CC cancers and neoplastic conditions. The present sequence is arginine
CC oligomer used for synthesising prodrug compositions
XX
SQ Sequence 9 AA;

AAE16152 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ABR57041 standard; peptide; 6 AA.
XX
AC [redacted];
XX
DT 23-OCT-2003 (revised)
DT 05-AUG-2003 (first entry)
XX
DE Furin-recognition peptide sequence #4.
XX
KW Human immunodeficiency virus; envelope glycoprotein trimeric complex;
KW HIV; anti-HIV; vaccine; immune response; HIV infection; gp120; gp41;
KW gp140; furin-recognition sequence.
XX
OS Human immunodeficiency virus 1.
XX
PN WO2003022869-A2.
XX
PD 20-MAR-2003.
XX
PF 06-SEP-2002; 2002WO-US028331.
XX
PR 06-SEP-2001; 2001US-0317764P.
PR 06-SEP-2001; 2001US-0317775P.
PR 06-SEP-2001; 2001US-0317909P.
PR 06-SEP-2001; 2001US-0317910P.
PR 05-APR-2002; 2002US-0370264P.
PR 05-APR-2002; 2002US-0370410P.
XX
PA (PROG-) PROGENICS PHARM INC.
PA (CORR) CORNELL RES FOUND INC.
XX
PI Moore JP, Binley JM, Lu M, Olson WC, Schulke N, Gardner J;
PI Maddon PJ, Sanders R;
XX
DR WPI; 2003-371744/35.
XX
PT Novel stable HIV-1 pre-fusion envelope glycoprotein trimeric complex in
PT which each monomeric unit of the complex comprises HIV-1 gp120 and HIV-1
PT gp41, useful for eliciting immune response in subject against HIV-1.
XX
PS Example; Page 191; 316pp; English.
XX
CC The present invention describes a stable HIV-1 pre-fusion envelope
CC glycoprotein trimeric complex (I), where (i) each monomeric unit of (I)
CC comprises HIV-1 gp120 and HIV-1 gp41, (ii) the gp41 has one or more
CC mutations in its N-terminal helix, and (iii) the gp120 and gp41 are bound
CC to each other by at least one disulfide bond between a cysteine residue
CC introduced into the gp120 and a cysteine residue introduced into the
CC gp41. ALso described: (1) a composition (II) comprising a particle and
CC (I) operably affixed to it; (2) a vaccine (III) which comprises a
CC therapeutically or prophylactically effective amount of (I) or (II); and
CC (3) producing (II) by contacting a particle with a stable HIV-1 pre-
CC fusion envelope glycoprotein trimeric complex under conditions permitting
CC the complex to become operable affixed to the particle, or by contacting
CC a particle having an agent which binds to a stable HIV-1 pre-fusion
CC envelope glycoprotein trimeric complex under conditions permitting the
CC complex to bind to the agent, and so permitting the complex to become
CC operably affixed to the particle. (I) has anti-HIV activity. (I) or (II)
CC can be used for eliciting an immune response in a subject against HIV-1
CC or an HIV-1 infected cell. The present sequence represents a furin-
CC recognition peptide sequence, which is used in an example from the
CC present invention. (Updated on 23-OCT-2003 to standardise OS field)
XX
SQ Sequence 6 AA;

ABR57041 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ABR55458 standard; peptide; 6 AA.
XX
AC [redacted];
XX
DT 29-JUL-2003 (first entry)
XX
DE Amino acid sequence of a zinc-binding ligand.
XX
KW Zinc-binding ligand; insulin; R-state; insulin hexamer; diabetes.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Modified-site 1
FT /note= "benzotriazol-5-ylcarbonyl attached"
FT Modified-site 6
FT /note= "NH2 atatched"
XX
PN WO2003027081-A2.
XX
PD 03-APR-2003.
XX
PF 13-SEP-2002; 2002WO-DK000595.
XX
PR 14-SEP-2001; 2001DK-00001337.
PR 21-SEP-2001; 2001US-0323925P.
PR 05-JUL-2002; 2002DK-00001066.
PR 10-JUL-2002; 2002US-0396051P.
XX
PA (NOVO) NOVO NORDISK AS.
XX
PI Olsen HB, Kaarsholm NC, Madsen P, Ostergaard S, Ludvigsen S;
PI Jakobsen P, Petersen AK, Steensgaard DB;
XX
DR WPI; 2003-441045/41.
XX
PT New zinc binding ligands useful in R-state insulin hexamer, in the
PT treatment of diabetes.
XX
PS Disclosure; Page 13; 342pp; English.
XX
CC The present sequence represents a zinc-binding ligand. The specification

```
CC   describes zinc binding ligands of a formula given in the specification.
CC   The ligand prolongs the action of an insulin preparation. The ligands are
CC   for the R-state insulin hexamer, and are useful for the treatment of
CC   diabetes
XX
SQ   Sequence 6 AA;

 ABR55458  Length: 6  September 7, 2005 16:24  Type: P  Check: 1711  ..

      1  GRRRRR

!!AA_SEQUENCE 1.0
ID   ABR55454 standard; peptide; 8 AA.
XX
AC   ABR55454;
XX
DT   29-JUL-2003  (first entry)
XX
DE   Amino acid sequence of a zinc-binding ligand.
XX
KW   Zinc-binding ligand; insulin; R-state; insulin hexamer; diabetes.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "benzotriazol-5-ylcarbonyl attached"
FT   Modified-site   8
FT                   /note= "NH2 atatched"
XX
PN   WO2003027081-A2.
XX
PD   03-APR-2003.
XX
PF   13-SEP-2002; 2002WO-DK000595.
XX
PR   14-SEP-2001; 2001DK-00001337.
PR   21-SEP-2001; 2001US-0323925P.
PR   05-JUL-2002; 2002DK-00001066.
PR   10-JUL-2002; 2002US-0396051P.
XX
PA   (NOVO ) NOVO NORDISK AS.
XX
PI   Olsen HB,  Kaarsholm NC,  Madsen P,  Ostergaard S,  Ludvigsen S;
PI   Jakobsen P,  Petersen AK,  Steensgaard DB;
XX
DR   WPI; 2003-441045/41.
XX
PT   New zinc binding ligands useful in R-state insulin hexamer, in the
PT   treatment of diabetes.
XX
PS   Disclosure; Page 13; 342pp; English.
XX
CC   The present sequence represents a zinc-binding ligand. The specification
CC   describes zinc binding ligands of a formula given in the specification.
CC   The ligand prolongs the action of an insulin preparation. The ligands are
CC   for the R-state insulin hexamer, and are useful for the treatment of
CC   diabetes
XX
SQ   Sequence 8 AA;

 ABR55454  Length: 8  September 7, 2005 16:24  Type: P  Check: 2919  ..

      1  GGRRRRRR

!!AA_SEQUENCE 1.0
ID   ABR55459 standard; peptide; 8 AA.
XX
AC   ABR55459;
XX
DT   29-JUL-2003  (first entry)
XX
DE   Amino acid sequence of a zinc-binding ligand.
XX
KW   Zinc-binding ligand; insulin; R-state; insulin hexamer; diabetes.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "benzotriazol-5-ylcarbonyl attached"
FT   Modified-site   8
FT                   /note= "NH2 atatched"
XX
PN   WO2003027081-A2.
XX
PD   03-APR-2003.
XX
PF   13-SEP-2002; 2002WO-DK000595.
XX
PR   14-SEP-2001; 2001DK-00001337.
PR   21-SEP-2001; 2001US-0323925P.
PR   05-JUL-2002; 2002DK-00001066.
PR   10-JUL-2002; 2002US-0396051P.
XX
PA   (NOVO ) NOVO NORDISK AS.
XX
PI   Olsen HB,  Kaarsholm NC,  Madsen P,  Ostergaard S,  Ludvigsen S;
PI   Jakobsen P,  Petersen AK,  Steensgaard DB;
XX
DR   WPI; 2003-441045/41.
XX
PT   New zinc binding ligands useful in R-state insulin hexamer, in the
PT   treatment of diabetes.
XX
PS   Disclosure; Page 13; 342pp; English.
XX
CC   The present sequence represents a zinc-binding ligand. The specification
CC   describes zinc binding ligands of a formula given in the specification.
CC   The ligand prolongs the action of an insulin preparation. The ligands are
CC   for the R-state insulin hexamer, and are useful for the treatment of
CC   diabetes
XX
SQ   Sequence 8 AA;

 ABR55459  Length: 8  September 7, 2005 16:24  Type: P  Check: 2886  ..

      1  GGGRRRRR

!!AA_SEQUENCE 1.0
ID   ABR55455 standard; peptide; 7 AA.
XX
AC   ABR55455;
XX
DT   29-JUL-2003  (first entry)
XX
DE   Amino acid sequence of a zinc-binding ligand.
XX
KW   Zinc-binding ligand; insulin; R-state; insulin hexamer; diabetes.
XX
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "benzotriazol-5-ylcarbonyl attached"
FT   Modified-site   7
FT                   /note= "NH2 atatched"
XX
PN   WO2003027081-A2.
XX
PD   03-APR-2003.
XX
PF   13-SEP-2002; 2002WO-DK000595.
XX
PR   14-SEP-2001; 2001DK-00001337.
PR   21-SEP-2001; 2001US-0323925P.
```

PR 05-JUL-2002; 2002DK-00001066.
PR 10-JUL-2002; 2002US-0396051P.
XX
PA (NOVO) NOVO NORDISK AS.
XX
PI Olsen HB, Kaarsholm NC, Madsen P, Ostergaard S, Ludvigsen S;
PI Jakobsen P, Petersen AK, Steensgaard DB;
XX
DR WPI; 2003-441045/41.
XX
PT New zinc binding ligands useful in R-state insulin hexamer, in the
PT treatment of diabetes.
XX
PS Disclosure; Page 13; 342pp; English.
XX
CC The present sequence represents a zinc-binding ligand. The specification
CC describes zinc binding ligands of a formula given in the specification.
CC The ligand prolongs the action of an insulin preparation. The ligands are
CC for the R-state insulin hexamer, and are useful for the treatment of
CC diabetes
XX
SQ Sequence 7 AA;

ABR55455 Length: 7 September 7, 2005 16:24 Type: P Check: 2263 ..

1 GGRRRRR

!!AA_SEQUENCE 1.0
ID ABP96993 standard; peptide; 5 AA.
XX
AC **ABP96993;**
XX
DT 17-JUN-2003 (first entry)
XX
DE Anti-inflammatory polybasic peptide SEQ ID NO:32.
XX
KW Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW gynaecological; ophthalmological; thrombolytic; protein therapy;
KW lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW orbital inflammatory disease; thrombotic disease.
XX
OS Synthetic.
XX
PN WO2003020213-A2.
XX
PD 13-MAR-2003.
XX
PF 27-AUG-2002; 2002WO-US027421.
XX
PR 30-AUG-2001; 2001US-0316328P.
XX
PA (PRAE-) PRAECIS PHARM INC.
XX
PI Lazarus D, Hannig G;
XX
DR WPI; 2003-354457/33.
XX
PT New polybasic peptide useful for treating inflammatory disorders, such as
PT asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS Claim 34; Page 24; 35pp; English.
XX
CC The present invention describes an anti-inflammatory compound comprising
CC a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC acid residues. Also described: (1) methods of treating an inflammatory
CC disorder in a subject; and (2) a method for modulating the secretion of
CC pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC thrombolytic activities, and can be used in protein therapy. The
CC composition and method are useful in treating inflammatory disorders,
CC such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC inflammatory disease, orbital inflammatory disease, thrombotic disease
CC and allergies. The present sequence represents a specifically claimed
CC anti-inflammatory polybasic peptide from the present invention
XX
SQ Sequence 5 AA;

ABP96993 Length: 5 September 7, 2005 16:24 Type: P Check: 1230 ..

1 RRRRR

!!AA_SEQUENCE 1.0
ID ABP96995 standard; peptide; 7 AA.
XX
AC **ABP96995;**
XX
DT 17-JUN-2003 (first entry)
XX
DE Anti-inflammatory polybasic peptide SEQ ID NO:34.
XX
KW Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW gynaecological; ophthalmological; thrombolytic; protein therapy;
KW lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW orbital inflammatory disease; thrombotic disease.
XX
OS Synthetic.
XX
PN WO2003020213-A2.
XX
PD 13-MAR-2003.
XX
PF 27-AUG-2002; 2002WO-US027421.
XX
PR 30-AUG-2001; 2001US-0316328P.
XX
PA (PRAE-) PRAECIS PHARM INC.
XX
PI Lazarus D, Hannig G;
XX
DR WPI; 2003-354457/33.
XX
PT New polybasic peptide useful for treating inflammatory disorders, such as
PT asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS Claim 34; Page 24; 35pp; English.
XX
CC The present invention describes an anti-inflammatory compound comprising
CC a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC acid residues. Also described: (1) methods of treating an inflammatory
CC disorder in a subject; and (2) a method for modulating the secretion of
CC pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC thrombolytic activities, and can be used in protein therapy. The

CC composition and method are useful in treating inflammatory disorders,
CC such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC inflammatory disease, orbital inflammatory disease, thrombotic disease
CC and allergies. The present sequence represents a specifically claimed
CC anti-inflammatory polybasic peptide from the present invention
XX
SQ Sequence 7 AA;

ABP96995 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ABP96994 standard; peptide; 6 AA.
XX
AC ABP96994;
XX
DT 17-JUN-2003 (first entry)
XX
DE Anti-inflammatory polybasic peptide SEQ ID NO:33.
XX
KW Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW gynaecological; ophthalmological; thrombolytic; protein therapy;
KW lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW orbital inflammatory disease; thrombotic disease.
XX
OS Synthetic.
XX
PN WO2003020213-A2.
XX
PD 13-MAR-2003.
XX
PF 27-AUG-2002; 2002WO-US027421.
XX
PR 30-AUG-2001; 2001US-0316328P.
XX
PA (PRAE-) PRAECIS PHARM INC.
XX
PI Lazarus D, Hannig G;
XX
DR WPI; 2003-354457/33.
XX
PT New polybasic peptide useful for treating inflammatory disorders, such as
PT asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS Claim 34; Page 24; 35pp; English.
XX
CC The present invention describes an anti-inflammatory compound comprising
CC a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC acid residues. Also described: (1) methods of treating an inflammatory
CC disorder in a subject; and (2) a method for modulating the secretion of
CC pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC thrombolytic activities, and can be used in protein therapy. The
CC composition and method are useful in treating inflammatory disorders,
CC such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC inflammatory disease, orbital inflammatory disease, thrombotic disease
CC and allergies. The present sequence represents a specifically claimed
CC anti-inflammatory polybasic peptide from the present invention
XX
SQ Sequence 6 AA;

ABP96994 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ABP96996 standard; peptide; 8 AA.
XX
AC ABP96996;
XX
DT 17-JUN-2003 (first entry)
XX
DE Anti-inflammatory polybasic peptide SEQ ID NO:35.
XX
KW Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW gynaecological; ophthalmological; thrombolytic; protein therapy;
KW lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW orbital inflammatory disease; thrombotic disease.
XX
OS Synthetic.
XX
PN WO2003020213-A2.
XX
PD 13-MAR-2003.
XX
PF 27-AUG-2002; 2002WO-US027421.
XX
PR 30-AUG-2001; 2001US-0316328P.
XX
PA (PRAE-) PRAECIS PHARM INC.
XX
PI Lazarus D, Hannig G;
XX
DR WPI; 2003-354457/33.
XX
PT New polybasic peptide useful for treating inflammatory disorders, such as
PT asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS Claim 34; Page 24; 35pp; English.
XX
CC The present invention describes an anti-inflammatory compound comprising
CC a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC acid residues. Also described: (1) methods of treating an inflammatory
CC disorder in a subject; and (2) a method for modulating the secretion of
CC pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC thrombolytic activities, and can be used in protein therapy. The
CC composition and method are useful in treating inflammatory disorders,
CC such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC inflammatory disease, orbital inflammatory disease, thrombotic disease
CC and allergies. The present sequence represents a specifically claimed
CC anti-inflammatory polybasic peptide from the present invention
XX
SQ Sequence 8 AA;

ABP96996 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

```
      1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   ABP96999 standard; peptide; 11 AA.
XX
AC   ABP96999;
XX
DT   17-JUN-2003  (first entry)
XX
DE   Anti-inflammatory polybasic peptide SEQ ID NO:38.
XX
KW   Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW   cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW   dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW   gynaecological; ophthalmological; thrombolytic; protein therapy;
KW   lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW   leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW   amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW   lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW   orbital inflammatory disease; thrombotic disease.
XX
OS   Synthetic.
XX
PN   WO2003020213-A2.
XX
PD   13-MAR-2003.
XX
PF   27-AUG-2002; 2002WO-US027421.
XX
PR   30-AUG-2001; 2001US-0316328P.
XX
PA   (PRAE-) PRAECIS PHARM INC.
XX
PI   Lazarus D,  Hannig G;
XX
DR   WPI; 2003-354457/33.
XX
PT   New polybasic peptide useful for treating inflammatory disorders, such as
PT   asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT   nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS   Claim 34; Page 24; 35pp; English.
XX
CC   The present invention describes an anti-inflammatory compound comprising
CC   a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC   X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC   acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC   acid residues. Also described: (1) methods of treating an inflammatory
CC   disorder in a subject; and (2) a method for modulating the secretion of
CC   pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC   antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC   antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC   antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC   thrombolytic activities, and can be used in protein therapy. The
CC   composition and method are useful in treating inflammatory disorders,
CC   such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC   (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC   amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC   bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC   inflammatory disease, orbital inflammatory disease, thrombotic disease
CC   and allergies. The present sequence represents a specifically claimed
CC   anti-inflammatory polybasic peptide from the present invention
XX
SQ   Sequence 11 AA;

ABP96999  Length: 11  September 7, 2005 16:24  Type: P  Check: 5412  ..

      1  RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID   ABP97000 standard; peptide; 12 AA.
XX
AC   ABP97000;
XX
DT   17-JUN-2003  (first entry)
XX
DE   Anti-inflammatory polybasic peptide SEQ ID NO:39.
XX
KW   Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW   cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW   dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW   gynaecological; ophthalmological; thrombolytic; protein therapy;
KW   lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW   leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW   amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW   lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW   orbital inflammatory disease; thrombotic disease.
XX
OS   Synthetic.
XX
PN   WO2003020213-A2.
XX
PD   13-MAR-2003.
XX
PF   27-AUG-2002; 2002WO-US027421.
XX
PR   30-AUG-2001; 2001US-0316328P.
XX
PA   (PRAE-) PRAECIS PHARM INC.
XX
PI   Lazarus D,  Hannig G;
XX
DR   WPI; 2003-354457/33.
XX
PT   New polybasic peptide useful for treating inflammatory disorders, such as
PT   asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT   nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS   Claim 34; Page 24; 35pp; English.
XX
CC   The present invention describes an anti-inflammatory compound comprising
CC   a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC   X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC   acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC   acid residues. Also described: (1) methods of treating an inflammatory
CC   disorder in a subject; and (2) a method for modulating the secretion of
CC   pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC   antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC   antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC   antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC   thrombolytic activities, and can be used in protein therapy. The
CC   composition and method are useful in treating inflammatory disorders,
CC   such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC   (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC   amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC   bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC   inflammatory disease, orbital inflammatory disease, thrombotic disease
CC   and allergies. The present sequence represents a specifically claimed
CC   anti-inflammatory polybasic peptide from the present invention
XX
SQ   Sequence 12 AA;

ABP97000  Length: 12  September 7, 2005 16:24  Type: P  Check: 6396  ..

      1  RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID   ABP96997 standard; peptide; 9 AA.
XX
AC   ABP96997;
XX
DT   17-JUN-2003  (first entry)
XX
DE   Anti-inflammatory polybasic peptide SEQ ID NO:36.
XX
```

KW Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW gynaecological; ophthalmological; thrombolytic; protein therapy;
KW lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW orbital inflammatory disease; thrombotic disease.
XX
OS Synthetic.
XX
PN WO2003020213-A2.
XX
PD 13-MAR-2003.
XX
PF 27-AUG-2002; 2002WO-US027421.
XX
PR 30-AUG-2001; 2001US-0316328P.
XX
PA (PRAE-) PRAECIS PHARM INC.
XX
PI Lazarus D, Hannig G;
XX
DR WPI; 2003-354457/33.
XX
PT New polybasic peptide useful for treating inflammatory disorders, such as
PT asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS Claim 34; Page 24; 35pp; English.
XX
CC The present invention describes an anti-inflammatory compound comprising
CC a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC acid residues. Also described: (1) methods of treating an inflammatory
CC disorder in a subject; and (2) a method for modulating the secretion of
CC pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC thrombolytic activities, and can be used in protein therapy. The
CC composition and method are useful in treating inflammatory disorders,
CC such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC inflammatory disease, orbital inflammatory disease, thrombotic disease
CC and allergies. The present sequence represents a specifically claimed
CC anti-inflammatory polybasic peptide from the present invention
XX
SQ Sequence 9 AA;

ABP96997 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ABP96998 standard; peptide; 10 AA.
XX
AC ABP96998;
XX
DT 17-JUN-2003 (first entry)
XX
DE Anti-inflammatory polybasic peptide SEQ ID NO:37.
XX
KW Anti-inflammatory; inflammatory disorder; polybasic; antiasthmatic;
KW cytostatic; tuberculostatic; nephrotropic; antirheumatic; antiarthritic;
KW dermatological; immunosuppressive; antiallergic; antipsoriatic; asthma;
KW gynaecological; ophthalmological; thrombolytic; protein therapy;
KW lung inflammation; cancer; chronic granulomatous disease; tuberculosis;
KW leprosy; sarcoidosis; silicosis; nephritis; rheumatoid arthritis;
KW amyloidosis; ankylosing spondylitis; chronic bronchitis; scleroderma;
KW lupus; appendicitis; psoriasis; pelvic inflammatory disease; allergy;
KW orbital inflammatory disease; thrombotic disease.
XX
OS Synthetic.
XX
PN WO2003020213-A2.
XX
PD 13-MAR-2003.
XX
PF 27-AUG-2002; 2002WO-US027421.
XX
PR 30-AUG-2001; 2001US-0316328P.
XX
PA (PRAE-) PRAECIS PHARM INC.
XX
PI Lazarus D, Hannig G;
XX
DR WPI; 2003-354457/33.
XX
PT New polybasic peptide useful for treating inflammatory disorders, such as
PT asthma, lung inflammation, cancer, chronic granulomatous diseases,
PT nephritis, amyloidosis, rheumatoid arthritis, scleroderma or allergies.
XX
PS Claim 34; Page 24; 35pp; English.
XX
CC The present invention describes an anti-inflammatory compound comprising
CC a polybasic peptide (I). (I) comprises the structure: B1-X1-X2-X3-B2-X4-
CC X5-B3; or B1-X1-X2-B2-B3-X3-X4-B4, where B1, B2, B3 and B4 = basic amino
CC acid residues; and X1, X2, X3, X4 and X5 = alpha-helix promoting amino
CC acid residues. Also described: (1) methods of treating an inflammatory
CC disorder in a subject; and (2) a method for modulating the secretion of
CC pro-inflammatory cytokines in a cell. (I) has cytostatic,
CC antiinflammatory, antiasthmatic, tuberculostatic, nephrotropic,
CC antirheumatic, antiarthritic, dermatological, immunosuppressive,
CC antiallergic, antipsoriatic, gynaecological, ophthalmological and
CC thrombolytic activities, and can be used in protein therapy. The
CC composition and method are useful in treating inflammatory disorders,
CC such as asthma, lung inflammation, cancer, chronic granulomatous diseases
CC (e.g. tuberculosis, leprosy, sarcoidosis or silicosis), nephritis,
CC amyloidosis, rheumatoid arthritis, ankylosing spondylitis, chronic
CC bronchitis, scleroderma, lupus, appendicitis, psoriasis, pelvic
CC inflammatory disease, orbital inflammatory disease, thrombotic disease
CC and allergies. The present sequence represents a specifically claimed
CC anti-inflammatory polybasic peptide from the present invention
XX
SQ Sequence 10 AA;

ABP96998 Length: 10 September 7, 2005 16:24 Type: P Check: 4510 ..

1 RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID AAO16669 standard; peptide; 9 AA.
XX
AC AAO16669;
XX
DT 10-MAY-2003 (first entry)
XX
DE Cell-permeable peptide #2.
XX
KW Cell-permeable peptide; gene therapy; virus-mediated transduction;
KW heart disease; vascular disease; cancer; lung disease;
KW haematological disorder; neurological disease; inflammation; arthritis;
KW inflammatory bowel disease; Crohn's disease.
XX
OS Unidentified.
XX
PN WO2003004600-A2.
XX
PD 16-JAN-2003.
XX
PF 26-JUN-2002; 2002WO-US020337.

XX
PR 05-JUL-2001; 2001US-0303117P.
XX
PA (UYYA) UNIV YALE.
XX
PI Sessa WC, Gratton J;
XX
DR WPI; 2003-221586/21.
XX
PT Rendering a cell susceptible to fusion with a desired virus, useful for
PT improving virus uptake into cells and tissues, comprises contacting the
PT cell with a composition comprising the virus and an isolated cell
PT permeable peptide.
XX
PS Claim 8; Page 18; 67pp; English.
XX
CC The invention comprises a method of rendering a cell susceptible to
CC fusion with a desired virus. The method involves contacting the cell with
CC a composition of the virus and an isolated cell permeable peptide, which
CC is capable of rendering the cell susceptible to fusion with the virus.
CC The method and cell-permeable peptides of the invention are useful for
CC facilitating fusion of a virus with a cell, or for facilitating virus-
CC mediated transduction of genes or nucleic acid delivery into cells. The
CC method is also useful for enhancing the ability of the virus to fuse with
CC an animal cell. The cell permeable peptides and viruses are useful for
CC treating diseases or disorders mediated by aberrant expression of a
CC nucleic acid sequence, such as: heart and vascular diseases; cancer; lung
CC diseases; haematological disorders; neurological diseases; and diseases
CC associated with inflammation (e.g. arthritis, inflammatory bowel disease
CC and Crohn's disease). The present amino acid sequence represents a cell-
CC permeable peptide of the invention
XX
SQ Sequence 9 AA;

AAO16669 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ABP70231 standard; peptide; 7 AA.
XX
AC **ABP70231;**
XX
DT 07-APR-2003 (first entry)
XX
DE Membrane translocating peptide from protein transduction domain.
XX
KW Lipid-nucleic acid complex; polycation; targeting factor; gene therapy;
KW cancer; infection; immune deficiency; gene defect; genetic disease;
KW membrane translocating peptide.
XX
OS Unidentified.
XX
PN WO200288318-A2.
XX
PD 07-NOV-2002.
XX
PF 30-APR-2002; 2002WO-US013609.
XX
PR 30-APR-2001; 2001US-0287786P.
XX
PA (TARG-) TARGETED GENETICS CORP.
PA (EMER-) EMERALD GENE SYSTEMS LTD.
XX
PI Harvie P, Paul R, Cudmore S, O'mahony DJ;
XX
DR WPI; 2003-183837/18.
XX
PT Lipid-nucleic acid complex useful for delivering a nucleic acid to a
PT cell, comprises compacted nucleic acid, polycation, targeting factor and
PT lipid, and does not comprise protamine or its salt.
XX
PS Disclosure; Page 42; 259pp; English.
XX
CC The specification describes a lipid-nucleic acid complex, comprising a
CC compacted nucleic acid, a polycation, a targeting factor and a lipid, but
CC not a protamine. The targeting factor increases cellular bioavailability
CC of the nucleic acid without interaction with a specific outer cell
CC surface membrane receptor. The mean diameter of the complex is greater
CC than 100 nm and less than 400 nm. The lipid-nucleic acid complex is
CC useful for delivering a nucleic acid to a cell in vivo, e.g. for gene
CC therapy. It reduces levels of inflammatory cytokines such as tumour
CC necrosis factor-alpha. The complex is useful for manufacturing a
CC medicament for treating or diagnosing a variety of diseases, conditions
CC or syndromes such as cancer, bacterial, viral or parasitic infections,
CC immune deficiencies, gene defects, and gene deficiencies (e.g. inherited
CC genetic diseases). The present sequence represents a membrane
CC translocating peptide, which is used as the targeting factor in lipid-
CC nucleic acid complexes of the invention
XX
SQ Sequence 7 AA;

ABP70231 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ABR44173 standard; peptide; 9 AA.
XX
AC **ABR44173;**
XX
DT 04-AUG-2003 (first entry)
XX
DE Self cell-penetrating tat peptide.
XX
KW Fusion peptide; tat; hPTHDP; parathyroid hormone; skin; cosmetic;
KW lipolysis; human; hPTH; HIV-1.
XX
OS Synthetic.
XX
PN WO2003035697-A1.
XX
PD 01-MAY-2003.
XX
PF 06-MAY-2002; 2002WO-KR000835.
XX
PR 27-SEP-2001; 2001KR-00060245.
PR 15-MAR-2002; 2002KR-00014062.
XX
PA (GLDS) LG HOUSEHOLD & HEALTH CARE LTD.
XX
PI Song Y, Kang N, Park S, Cho W, Kang S, Lee Y, Lim J, Min H;
PI Chang M;
XX
DR WPI; 2003-468288/44.
XX
PT Novel fusion peptide comprising self cell-penetrating Tat peptide bound
PT to human parathyroid hormone-derived peptide, useful as component of skin
PT slimming cosmetic composition.
XX
PS Claim 3; Page 9; 32pp; English.
XX
CC The invention relates to a fusion peptide (Tat-hPTHDP), where self cell-
CC penetrating Tat peptide is bound to human parathyroid hormone-derived
CC peptide (hPTHDP). The fusion peptide is useful as a component of skin
CC slimming cosmetic composition. The fusion peptide does not cause
CC irritation, easily and safely penetrates into integument and endothelium,
CC does not cause skin disease and has superior lipolysis effects, and is
CC durable. The present sequence represents a self cell-penetrating tat
CC peptide that can be used to construct the fusion peptide
XX
SQ Sequence 9 AA;

ABR44173 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

```
!!AA_SEQUENCE 1.0
ID   ABB82929 standard; peptide; 6 AA.
XX
AC   ABB82929;
XX
DT   31-MAR-2003  (first entry)
XX
DE   R6 peptide fragment.
XX
KW   Growth factor; interleukin; antioxidant; collagen; pharmaceutical;
KW   cosmetic; transport peptide; R6.
XX
OS   Unidentified.
XX
PN   WO200298365-A2.
XX
PD   12-DEC-2002.
XX
PF   07-JUN-2002; 2002WO-US018057.
XX
PR   07-JUN-2001; 2001US-0297177P.
XX
PA   (ADTI-) ADVANCED TISSUE SCI INC.
XX
PI   Mansbridge J;
XX
DR   WPI; 2003-140541/13.
DR   N-PSDB; ABZ24172.
XX
PT   Composition comprising conditioned cell culture media which comprises a
PT   culture-derived growth factor (e.g. vascular endothelial growth factor),
PT   an antioxidant (e.g. glutathione), and soluble collagen.
XX
PS   Claim 21; Page 17; 74pp; English.
XX
CC   The invention provides a composition comprising, conditioned cell culture
CC   media, or its extract, comprising at least one culture-derived growth
CC   factor such as vascular endothelial growth factor (VEGF), transforming
CC   growth factor beta (TGFbeta), hepatocyte growth factor (HGF),
CC   keratinocyte growth factor (KGF), interleukin-3 (IL-3), IL-6 or IL-8, at
CC   least one culture-derived antioxidant such as glutathione, glutathione
CC   peroxidase, glutathione reductase, glutathione disulfide, catalase,
CC   superoxide dismutase, alpha-tocopherol, gamma-tocopherol, ubiquinol-9,
CC   ubiquinone 9, ascorbic acid, cysteine and cystine, and at least one
CC   culture-derived soluble collagen, and an appropriate carrier. The
CC   composition is useful in cosmetic applications, cosmeceutical
CC   applications, pharmaceutical applications etc. Sequences ABB82912-930
CC   represent exemplary transport peptides known to enhance cell membrane
CC   permeation or transport and forms a part of the composition of the
CC   invention
XX
SQ   Sequence 6 AA;

 ABB82929  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ABR61935 standard; peptide; 9 AA.
XX
AC   ABR61935;
XX
DT   12-SEP-2003  (first entry)
XX
DE   Amino acid sequence of a carrier molecule.
XX
KW   Memapsin 1; nootropic; neuroprotective; memapsin 2; beta secretase;
KW   beta-amyloid protein; Alzheimer's disease; amyloid precursor protein.
XX
OS   Synthetic.
XX
PN   WO2003039454-A2.
XX
PD   15-MAY-2003.
XX
PF   23-OCT-2002; 2002WO-US034324.
XX
PR   23-OCT-2001; 2001US-0335952P.
PR   27-NOV-2001; 2001US-0333545P.
PR   14-JAN-2002; 2002US-0348464P.
PR   14-JAN-2002; 2002US-0348615P.
PR   20-JUN-2002; 2002US-0390804P.
PR   19-JUL-2002; 2002US-0397557P.
PR   19-JUL-2002; 2002US-0397619P.
XX
PA   (OKLA-) OKLAHOMA MEDICAL RES FOUND.
PA   (UNII ) UNIV ILLINOIS FOUND.
XX
PI   Ghosh AK,  Tang J,  Bilcer G,  Chang W,  Hong L,  Koelsch G,  Loy J;
PI   Turner RT;
XX
DR   WPI; 2003-541410/51.
XX
PT   New peptide compounds are memapsin beta secretase inhibitors used for
PT   treating Alzheimer's disease.
XX
PS   Disclosure; Page 75; 407pp; English.
XX
CC   The invention relates to peptide compounds of specified formula. The
CC   compounds exhibit memapsin 2-beta secretase inhibitory activity relative
CC   to memapsin 1-beta secretase and reduce the accumulation of beta-amyloid
CC   protein. The compounds can be used for treating Alzheimer's disease. The
CC   present sequence represents a peptide that can be used as a carrier
CC   molecule
XX
SQ   Sequence 9 AA;

 ABR61935  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ABR61954 standard; peptide; 9 AA.
XX
AC   ABR61954;
XX
DT   12-SEP-2003  (first entry)
XX
DE   Amino acid sequence of a carrier molecule.
XX
KW   Memapsin 1; nootropic; neuroprotective; memapsin 2; beta secretase;
KW   beta-amyloid protein; Alzheimer's disease; amyloid precursor protein.
XX
OS   Synthetic.
XX
PN   WO2003039454-A2.
XX
PD   15-MAY-2003.
XX
PF   23-OCT-2002; 2002WO-US034324.
XX
PR   23-OCT-2001; 2001US-0335952P.
PR   27-NOV-2001; 2001US-0333545P.
PR   14-JAN-2002; 2002US-0348464P.
PR   14-JAN-2002; 2002US-0348615P.
PR   20-JUN-2002; 2002US-0390804P.
PR   19-JUL-2002; 2002US-0397557P.
PR   19-JUL-2002; 2002US-0397619P.
XX
PA   (OKLA-) OKLAHOMA MEDICAL RES FOUND.
PA   (UNII ) UNIV ILLINOIS FOUND.
XX
PI   Ghosh AK,  Tang J,  Bilcer G,  Chang W,  Hong L,  Koelsch G,  Loy J;
PI   Turner RT;
XX
```

DR WPI; 2003-541410/51.
XX
PT New peptide compounds are memapsin beta secretase inhibitors used for
PT treating Alzheimer's disease.
XX
PS Disclosure; Page 75; 407pp; English.
XX
CC The invention relates to peptide compounds of specified formula. The
CC compounds exhibit memapsin 2-beta secretase inhibitory activity relative
CC to memapsin 1-beta secretase and reduce the accumulation of beta-amyloid
CC protein. The compounds can be used for treating Alzheimer's disease. The
CC present sequence represents a peptide that can be used as a carrier
CC molecule
XX
SQ Sequence 9 AA;

ABR61954 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADA61949 standard; peptide; 11 AA.
XX
AC **ADA61949;**
XX
DT 20-NOV-2003 (first entry)
XX
DE NFkB essential modulator (NEMO) binding peptide #142.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 11 AA;

ADA61949 Length: 11 September 7, 2005 16:24 Type: P Check: 5412 ..

1 RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID ADA61942 standard; peptide; 8 AA.
XX
AC **ADA61942;**
XX
DT 20-NOV-2003 (first entry)
XX
DE NFkB essential modulator (NEMO) binding peptide #135.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 8 AA;

ADA61942 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ADA61943 standard; peptide; 8 AA.

```
XX
AC   ADA61943;
XX
DT   20-NOV-2003  (first entry)
XX
DE   NFkB essential modulator (NEMO) binding peptide #136.
XX
KW   NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW   antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW   antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW   dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW   gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW   psoriasis; rheumatoid arthritis; osteoarthritis;
KW   inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW   systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW   Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW   necrosis factor kappa B essential modulator.
XX
OS   Unidentified.
XX
PN   US2003054999-A1.
XX
PD   20-MAR-2003.
XX
PF   02-MAY-2001; 2001US-00847946.
XX
PR   02-MAY-2000; 2000US-0201261P.
XX
PA   (MAYM/) MAY M J.
PA   (GHOS/) GHOSH S.
PA   (FIND/) FINDEIS M A.
PA   (PHIL/) PHILLIPS K.
PA   (HANN/) HANNIG G.
XX
PI   May MJ,  Ghosh S,  Findeis MA,  Phillips K,  Hannig G;
XX
DR   WPI; 2003-596541/56.
XX
PT   New compound for diagnosing or treating inflammatory disorders, e.g.
PT   asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT   cancer, comprises a membrane translocation domain and a NEMO binding
PT   sequence.
XX
PS   Claim 11; Page 24; 37pp; English.
XX
CC   The invention describes an anti-inflammatory compound comprising (I). The
CC   compound is useful for diagnosing or treating inflammatory disorders,
CC   such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC   inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC   systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC   Alzheimer's disease or viral infection. This is the amino acid sequence
CC   of an anti-iflammatory peptide that binds to, and down-regulates,
CC   necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ   Sequence 8 AA;

 ADA61943  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

      1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADA61941 standard; peptide; 7 AA.
XX
AC   ADA61941;
XX
DT   20-NOV-2003  (first entry)
XX
DE   NFkB essential modulator (NEMO) binding peptide #134.
XX
KW   NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW   antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW   antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW   dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW   gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW   psoriasis; rheumatoid arthritis; osteoarthritis;
KW   inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW   systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW   Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW   necrosis factor kappa B essential modulator.
XX
OS   Unidentified.
XX
PN   US2003054999-A1.
XX
PD   20-MAR-2003.
XX
PF   02-MAY-2001; 2001US-00847946.
XX
PR   02-MAY-2000; 2000US-0201261P.
XX
PA   (MAYM/) MAY M J.
PA   (GHOS/) GHOSH S.
PA   (FIND/) FINDEIS M A.
PA   (PHIL/) PHILLIPS K.
PA   (HANN/) HANNIG G.
XX
PI   May MJ,  Ghosh S,  Findeis MA,  Phillips K,  Hannig G;
XX
DR   WPI; 2003-596541/56.
XX
PT   New compound for diagnosing or treating inflammatory disorders, e.g.
PT   asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT   cancer, comprises a membrane translocation domain and a NEMO binding
PT   sequence.
XX
PS   Claim 11; Page 24; 37pp; English.
XX
CC   The invention describes an anti-inflammatory compound comprising (I). The
CC   compound is useful for diagnosing or treating inflammatory disorders,
CC   such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC   inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC   systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC   Alzheimer's disease or viral infection. This is the amino acid sequence
CC   of an anti-iflammatory peptide that binds to, and down-regulates,
CC   necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ   Sequence 7 AA;

 ADA61941  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

      1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   ADA61947 standard; peptide; 8 AA.
XX
AC   ADA61947;
XX
DT   20-NOV-2003  (first entry)
XX
DE   NFkB essential modulator (NEMO) binding peptide #140.
XX
KW   NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW   antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW   antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW   dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW   gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW   psoriasis; rheumatoid arthritis; osteoarthritis;
KW   inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW   systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW   Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW   necrosis factor kappa B essential modulator.
XX
OS   Unidentified.
XX
PN   US2003054999-A1.
XX
```

PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 8 AA;

ADA61947 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ADA61946 standard; peptide; 7 AA.
XX
AC **ADA61946;**
XX
DT 20-NOV-2003 (first entry)
XX
DE NFkB essential modulator (NEMO) binding peptide #139.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 7 AA;

ADA61946 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ADA61940 standard; peptide; 6 AA.
XX
AC **ADA61940;**
XX
DT 20-NOV-2003 (first entry)
XX
DE NFkB essential modulator (NEMO) binding peptide #133.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.

XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 6 AA;

ADA61940 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADA61944 standard; peptide; 11 AA.
XX
AC ADA61944;
XX
DT 20-NOV-2003 (first entry)
XX
DE NFkB essential modulator (NEMO) binding peptide #137.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 11 AA;

ADA61944 Length: 11 September 7, 2005 16:24 Type: P Check: 5412 ..

1 RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID ADA61948 standard; peptide; 10 AA.
XX
AC ADA61948;
XX
DT 20-NOV-2003 (first entry)
XX
DE NFkB essential modulator (NEMO) binding peptide #141.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 10 AA;

ADA61948 Length: 10 September 7, 2005 16:24 Type: P Check: 4510 ..

1 RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADA61945 standard; peptide; 6 AA.
XX
AC ADA61945;
XX
DT 20-NOV-2003 (first entry)

XX
DE NFkB essential modulator (NEMO) binding peptide #138.
XX
KW NEMO binding domain; NBD; I kappa B kinase beta; IKKbeta;
KW antiinflammatory; antiasthmatic; antipsoriatic; antirheumatic;
KW antiarthritic; osteopathic; antibacterial; immunosuppressive;
KW dermatological; neuroprotective; cytostatic; nootropic; virucide;
KW gene therapy; anti-inflammatory; inflammatory disorder; asthma;
KW psoriasis; rheumatoid arthritis; osteoarthritis;
KW inflammatory bowel disease; sepsis; vasculitis; autoimmune disease;
KW systemic lupus erythematosus; multiple sclerosis; cancer; osteoporosis;
KW Alzheimer's disease; viral infection; NF-kappa B essential modulator;
KW necrosis factor kappa B essential modulator.
XX
OS Unidentified.
XX
PN US2003054999-A1.
XX
PD 20-MAR-2003.
XX
PF 02-MAY-2001; 2001US-00847946.
XX
PR 02-MAY-2000; 2000US-0201261P.
XX
PA (MAYM/) MAY M J.
PA (GHOS/) GHOSH S.
PA (FIND/) FINDEIS M A.
PA (PHIL/) PHILLIPS K.
PA (HANN/) HANNIG G.
XX
PI May MJ, Ghosh S, Findeis MA, Phillips K, Hannig G;
XX
DR WPI; 2003-596541/56.
XX
PT New compound for diagnosing or treating inflammatory disorders, e.g.
PT asthma, psoriasis, rheumatoid arthritis, inflammatory bowel disease or
PT cancer, comprises a membrane translocation domain and a NEMO binding
PT sequence.
XX
PS Claim 11; Page 24; 37pp; English.
XX
CC The invention describes an anti-inflammatory compound comprising (I). The
CC compound is useful for diagnosing or treating inflammatory disorders,
CC such as asthma, psoriasis, rheumatoid arthritis, osteoarthritis,
CC inflammatory bowel disease, sepsis, vasculitis, autoimmune diseases (e.g.
CC systemic lupus erythematosus), multiple sclerosis, cancer, osteoporosis,
CC Alzheimer's disease or viral infection. This is the amino acid sequence
CC of an anti-iflammatory peptide that binds to, and down-regulates,
CC necrosis factor kappa B (NFkB) essential modulator (NEMO).
XX
SQ Sequence 6 AA;

ADA61945 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADA45193 standard; peptide; 11 AA.
XX
AC ADA45193;
XX
DT 20-NOV-2003 (first entry)
XX
DE Protein transduction domain peptide.
XX
KW Cytostatic; Gene therapy; scaffolding protein; JLP;
KW JNK-associated Leucine zipper Protein; MEK kinase 3; MEKK3;
KW MAP kinase kinase 4; MKK4; c-Jun NH2-terminal kinase; JNK;
KW p38 MAP kinase; MAPK; c-Myc; MAX; apoptosis; cancer;
KW Protein transduction domain.
XX
OS Synthetic.
XX
PN WO2003066652-A2.
XX
PD 14-AUG-2003.
XX
PF 04-FEB-2003; 2003WO-US003355.
XX
PR 05-FEB-2002; 2002US-0354377P.
XX
PA (UTEM) UNIV TEMPLE.
XX
PI Lee CM, Dhanasekaran N, Reddy PE;
XX
DR WPI; 2003-731487/69.
XX
PT New scaffolding nucleic acid sequences, designated as JLP, useful for
PT modulating apoptotic response in a cell, and thus for treating metastatic
PT cancer.
XX
PS Disclosure; Page 83; 102pp; English.
XX
CC The present invention relates to novel human and murine scaffolding
CC proteins, JLP (for JNK-associated Leucine zipper Protein, ADA45190 and
CC ADA45192). JLP tethers MEK kinase 3 (MEKK3), Mitogen-Activated Protein
CC (MAP) kinase kinase 4 (MKK4), c-Jun NH2-terminal kinase (JNK), p38 MAP
CC kinase (MAPK), c-Myc and MAX into a signalling module which controls the
CC apoptotic response. JLP therefore functions as a signalling conduit to
CC transmit extracellular signals to the nucleus through MEKK3-MKK4-
CC JNK/p38/MAPK/c-Myc/MAX signalling module. The JLP sequences are useful
CC for modulating apoptotic response in a cell, and thus for treating
CC metastatic cancer. To enhance JLP entry into a cell, the proteins can be
CC modified by association with a peptide leader sequence known as a
CC "protein transduction domain". The present sequence is one such protein
CC transduction domain.
XX
SQ Sequence 11 AA;

ADA45193 Length: 11 September 7, 2005 16:24 Type: P Check: 5412 ..

1 RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID ADA88908 standard; peptide; 6 AA.
XX
AC ADA88908;
XX
DT 20-NOV-2003 (first entry)
XX
DE Internalised peptide SEQ ID NO:88.
XX
KW internalising peptide; cytostatic; antiinflammatory; immunomodulator;
KW antiarthritic; cytoplasmic transport; nuclear transport;
KW peptide-cargo complex; apoptosis; arthritic; tumour; differentiation;
KW immune response; vaccine; inflammation; necrosis; transplantation;
KW cystic fibrosis; lung inflammation; gene therapy.
XX
OS Synthetic.
XX
PN WO2003068942-A2.
XX
PD 21-AUG-2003.
XX
PF 12-FEB-2003; 2003WO-US004632.
XX
PR 13-FEB-2002; 2002US-00075869.
XX
PA (UYPI-) UNIV PITTSBURGH.
XX
PI Robbins PD, Mi Z, Frizzel R, Glorioso JC, Gambotto A, Mai JC;
XX
DR WPI; 2003-697526/66.
XX
PT New internalizing peptides, useful for facilitating the delivery, uptake
PT and cytoplasmic and/or nuclear transport of proteins, DNA or viruses into

PT a target cell, for inducing apoptosis in arthritic or tumor cells, or in
PT gene therapy.
XX
PS Disclosure; Page 22; 171pp; English.
XX
CC The present invention describes an internalising peptide (I) comprising
CC any one of 14 fully defined amino acid sequences (designated P1-P14, see
CC ADA88896 to ADA88906, and ADA88917 to ADA88919). (I) has cytostatic,
CC antiinflammatory, immunomodulator and antiarthritic activities. The
CC internalising peptides are useful for facilitating the delivery, uptake
CC and cytoplasmic and/or nuclear transport of cargo, e.g. proteins, DNA or
CC viruses, into a target cell. The internalising peptides and peptide-cargo
CC complexes from the present invention are also useful for inducing
CC apoptosis in cells (e.g. arthritic cells or tumour cells), expanding a
CC population of stem cell or differentiated cells, stimulating the
CC differentiation of a population of stem cells, facilitating the
CC integration of adeno-associated virus DNA into the genome of a cell,
CC stimulating or eliciting an immune response in a subject, facilitating
CC the delivery of immunogens (e.g. vaccines), inhibiting the inflammatory
CC process, protecting tissue from apoptosis or necrosis during tissue
CC isolation prior to transplantation, facilitating transfer of proteins and
CC peptides to the lung for the treatment of cystic fibrosis or lung
CC inflammation, or in gene therapy. The present sequence represents a
CC peptide used in the exemplification of the present invention.
XX
SQ Sequence 6 AA;

ADA88908 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADA88909 standard; peptide; 8 AA.
XX
AC ADA88909;
XX
DT 20-NOV-2003 (first entry)
XX
DE Internalised peptide SEQ ID NO:89.
XX
KW internalising peptide; cytostatic; antiinflammatory; immunomodulator;
KW antiarthritic; cytoplasmic transport; nuclear transport;
KW peptide-cargo complex; apoptosis; arthritic; tumour; differentiation;
KW immune response; vaccine; inflammation; necrosis; transplantation;
KW cystic fibrosis; lung inflammation; gene therapy.
XX
OS Synthetic.
XX
PN WO2003068942-A2.
XX
PD 21-AUG-2003.
XX
PF 12-FEB-2003; 2003WO-US004632.
XX
PR 13-FEB-2002; 2002US-00075869.
XX
PA (UYPI-) UNIV PITTSBURGH.
XX
PI Robbins PD, Mi Z, Frizzel R, Glorioso JC, Gambotto A, Mai JC;
XX
DR WPI; 2003-697526/66.
XX
PT New internalizing peptides, useful for facilitating the delivery, uptake
PT and cytoplasmic and/or nuclear transport of proteins, DNA or viruses into
PT a target cell, for inducing apoptosis in arthritic or tumor cells, or in
PT gene therapy.
XX
PS Disclosure; Page 23; 171pp; English.
XX
CC The present invention describes an internalising peptide (I) comprising
CC any one of 14 fully defined amino acid sequences (designated P1-P14, see
CC ADA88896 to ADA88906, and ADA88917 to ADA88919). (I) has cytostatic,
CC antiinflammatory, immunomodulator and antiarthritic activities. The
CC internalising peptides are useful for facilitating the delivery, uptake
CC and cytoplasmic and/or nuclear transport of cargo, e.g. proteins, DNA or
CC viruses, into a target cell. The internalising peptides and peptide-cargo
CC complexes from the present invention are also useful for inducing
CC apoptosis in cells (e.g. arthritic cells or tumour cells), expanding a
CC population of stem cell or differentiated cells, stimulating the
CC differentiation of a population of stem cells, facilitating the
CC integration of adeno-associated virus DNA into the genome of a cell,
CC stimulating or eliciting an immune response in a subject, facilitating
CC the delivery of immunogens (e.g. vaccines), inhibiting the inflammatory
CC process, protecting tissue from apoptosis or necrosis during tissue
CC isolation prior to transplantation, facilitating transfer of proteins and
CC peptides to the lung for the treatment of cystic fibrosis or lung
CC inflammation, or in gene therapy. The present sequence represents a
CC peptide used in the exemplification of the present invention.
XX
SQ Sequence 8 AA;

ADA88909 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ADA88910 standard; peptide; 10 AA.
XX
AC ADA88910;
XX
DT 20-NOV-2003 (first entry)
XX
DE Internalised peptide SEQ ID NO:90.
XX
KW internalising peptide; cytostatic; antiinflammatory; immunomodulator;
KW antiarthritic; cytoplasmic transport; nuclear transport;
KW peptide-cargo complex; apoptosis; arthritic; tumour; differentiation;
KW immune response; vaccine; inflammation; necrosis; transplantation;
KW cystic fibrosis; lung inflammation; gene therapy.
XX
OS Synthetic.
XX
PN WO2003068942-A2.
XX
PD 21-AUG-2003.
XX
PF 12-FEB-2003; 2003WO-US004632.
XX
PR 13-FEB-2002; 2002US-00075869.
XX
PA (UYPI-) UNIV PITTSBURGH.
XX
PI Robbins PD, Mi Z, Frizzel R, Glorioso JC, Gambotto A, Mai JC;
XX
DR WPI; 2003-697526/66.
XX
PT New internalizing peptides, useful for facilitating the delivery, uptake
PT and cytoplasmic and/or nuclear transport of proteins, DNA or viruses into
PT a target cell, for inducing apoptosis in arthritic or tumor cells, or in
PT gene therapy.
XX
PS Disclosure; Page 24; 171pp; English.
XX
CC The present invention describes an internalising peptide (I) comprising
CC any one of 14 fully defined amino acid sequences (designated P1-P14, see
CC ADA88896 to ADA88906, and ADA88917 to ADA88919). (I) has cytostatic,
CC antiinflammatory, immunomodulator and antiarthritic activities. The
CC internalising peptides are useful for facilitating the delivery, uptake
CC and cytoplasmic and/or nuclear transport of cargo, e.g. proteins, DNA or
CC viruses, into a target cell. The internalising peptides and peptide-cargo
CC complexes from the present invention are also useful for inducing
CC apoptosis in cells (e.g. arthritic cells or tumour cells), expanding a
CC population of stem cell or differentiated cells, stimulating the
CC differentiation of a population of stem cells, facilitating the
CC integration of adeno-associated virus DNA into the genome of a cell,
CC stimulating or eliciting an immune response in a subject, facilitating

CC the delivery of immunogens (e.g. vaccines), inhibiting the inflammatory
CC process, protecting tissue from apoptosis or necrosis during tissue
CC isolation prior to transplantation, facilitating transfer of proteins and
CC peptides to the lung for the treatment of cystic fibrosis or lung
CC inflammation, or in gene therapy. The present sequence represents a
CC peptide used in the exemplification of the present invention.
XX
SQ Sequence 10 AA;

ADA88910 Length: 10 September 7, 2005 16:24 Type: P Check: 4510 ..

1 RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADA88911 standard; peptide; 12 AA.
XX
AC ADA88911;
XX
DT 20-NOV-2003 (first entry)
XX
DE Internalised peptide SEQ ID NO:91.
XX
KW internalising peptide; cytostatic; antiinflammatory; immunomodulator;
KW antiarthritic; cytoplasmic transport; nuclear transport;
KW peptide-cargo complex; apoptosis; arthritic; tumour; differentiation;
KW immune response; vaccine; inflammation; necrosis; transplantation;
KW cystic fibrosis; lung inflammation; gene therapy.
XX
OS Synthetic.
XX
PN WO2003068942-A2.
XX
PD 21-AUG-2003.
XX
PF 12-FEB-2003; 2003WO-US004632.
XX
PR 13-FEB-2002; 2002US-00075869.
XX
PA (UYPI-) UNIV PITTSBURGH.
XX
PI Robbins PD, Mi Z, Frizzel R, Glorioso JC, Gambotto A, Mai JC;
XX
DR WPI; 2003-697526/66.
XX
PT New internalizing peptides, useful for facilitating the delivery, uptake
PT and cytoplasmic and/or nuclear transport of proteins, DNA or viruses into
PT a target cell, for inducing apoptosis in arthritic or tumor cells, or in
PT gene therapy.
XX
PS Disclosure; Page 25; 171pp; English.
XX
CC The present invention describes an internalising peptide (I) comprising
CC any one of 14 fully defined amino acid sequences (designated P1-P14, see
CC ADA88896 to ADA88906, and ADA88917 to ADA88919). (I) has cytostatic,
CC antiinflammatory, immunomodulator and antiarthritic activities. The
CC internalising peptides are useful for facilitating the delivery, uptake
CC and cytoplasmic and/or nuclear transport of cargo, e.g. proteins, DNA or
CC viruses, into a target cell. The internalising peptides and peptide-cargo
CC complexes from the present invention are also useful for inducing
CC apoptosis in cells (e.g. arthritic cells or tumour cells), expanding a
CC population of stem cell or differentiated cells, stimulating the
CC differentiation of a population of stem cells, facilitating the
CC integration of adeno-associated virus DNA into the genome of a cell,
CC stimulating or eliciting an immune response in a subject, facilitating
CC the delivery of immunogens (e.g. vaccines), inhibiting the inflammatory
CC process, protecting tissue from apoptosis or necrosis during tissue
CC isolation prior to transplantation, facilitating transfer of proteins and
CC peptides to the lung for the treatment of cystic fibrosis or lung
CC inflammation, or in gene therapy. The present sequence represents a
CC peptide used in the exemplification of the present invention.
XX
SQ Sequence 12 AA;

ADA88911 Length: 12 September 7, 2005 16:24 Type: P Check: 6396 ..

1 RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID AAE38688 standard; peptide; 9 AA.
XX
AC AAE38688;
XX
DT 04-DEC-2003 (first entry)
XX
DE R9 peptide with cellular uptake signal activity.
XX
KW Artificial transcription factor; DNA binding protein; ATF; ZFP; therapy;
KW zinc finger protein; crop protection; disease-resistant; transgenic;
KW transgenic plant.
XX
OS Unidentified.
XX
PN WO2003062455-A2.
XX
PD 31-JUL-2003.
XX
PF 23-JAN-2003; 2003WO-US002358.
XX
PR 23-JAN-2002; 2002US-00057408.
XX
PA (SYGN) SYNGENTA PARTICIPATIONS AG.
XX
PI Sera T;
XX
DR WPI; 2003-646071/61.
XX
PT Preparing an artificial transcription factor (ATF) capable of modulating
PT expression of a gene by interaction with a target site associated with
PT the gene, for treating plant disease, comprises preparing a combinatorial
PT library of ATFs.
XX
PS Disclosure; Page 66; 0pp; English.
XX
CC The invention relates to a method of preparing artificial transcription
CC factor (ATF) capable of modulating expression of a gene by interaction
CC with a target site associated with the gene. The method comprises
CC preparing a combinatorial library of ATFs, each of the ATFs comprising a
CC DNA-binding domain and a transcriptional regulatory domain. The invention
CC also relates to DNA binding proteins comprising zinc finger domains and
CC particularly to the identification of a context-independent recognition
CC code to zinc finger domains. The methods are useful for treating disease
CC in a plant, for crop protection and for producing genetically transformed
CC disease-resistant plants. The present sequence is a peptide with cellular
CC uptake signal activity. This sequence is used in the invention
XX
SQ Sequence 9 AA;

AAE38688 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADC19907 standard; peptide; 13 AA.
XX
AC ADC19907;
XX
DT 18-DEC-2003 (first entry)
XX
DE Homo-D arginine transport peptide #1.
XX
KW Cellular membrane transport peptide; epithelial tissue;
KW endothelial tissue; drugs transport; stratum corneum; antibacterial;
KW antifungal; antiviral; antiproliferative; immunosuppressive; vitamin;
KW analgesic; hormone.
XX
OS Synthetic.

XX
FH Key Location/Qualifiers
FT Misc-difference 1. .13
FT /note= "D-form residue"
XX
PN US2003032593-A1.
XX
PD 13-FEB-2003.
XX
PF 14-FEB-2002; 2002US-00078247.
XX
PR 16-FEB-2001; 2001US-0269627P.
XX
PA (CELL-) CELLGATE INC.
XX
PI Wender PA, Rothbard JB, Wright L, Kreider EL, Vandeusen CJ;
XX
DR WPI; 2003-786846/74.
XX
PT Composition used for increasing transport of biologically active compound
PT across biological membrane comprises biologically active compound and
PT transport group.
XX
PS Example 1; Page 10; 33pp; English.
XX
CC The invention relates to a composition comprising a biologically active
CC compound and a transport group. The transport group comprises a spaced
CC poly-Arginine based peptide of formula given in the specification. The
CC spaced poly-Arginine based peptide acts as a cellular membrane transport
CC signal and effects transport of the biologically active compound across
CC the membrane. The conjugate is also useful in therapeutic, prophylactic
CC and diagnostic applications. The composition improves the transport of
CC biologically active compounds across the biological membrane and into
CC animal epithelial or endothelial tissues. The arginine residue of the
CC conjugate provides an enhanced transport of drugs and are a part of the
CC polypeptide that provides suitable spacing between arginine residues. The
CC transport groups deliver an agent across the stratum corneum, which
CC previously had been a nearly impenetrable barrier to drug delivery. The
CC ability of the conjugate to obtain penetration of skin layers improves
CC the efficacy of compounds such as antibacterials, antifungals,
CC antivirals, antiproliferatives, immunosuppressives, vitamins, analgesics
CC and hormones. The present sequence is a Homo-D arginine transport peptide
CC of the invention.
XX
SQ Sequence 13 AA;

ADC19907 Length: 13 September 7, 2005 16:24 Type: P Check: 7462 ..

1 RRRRRRRRRR RRR

!!AA_SEQUENCE 1.0
ID ADC19908 standard; peptide; 19 AA.
XX
AC ADC19908;
XX
DT 18-DEC-2003 (first entry)
XX
DE Homo-D arginine transport peptide #2.
XX
KW Cellular membrane transport peptide; epithelial tissue;
KW endothelial tissue; drugs transport; stratum corneum; antibacterial;
KW antifungal; antiviral; antiproliferative; immunosuppressive; vitamin;
KW analgesic; hormone.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 1. .19
FT /note= "D-form residue"
XX
PN US2003032593-A1.
XX
PD 13-FEB-2003.
XX
PF 14-FEB-2002; 2002US-00078247.
XX
PR 16-FEB-2001; 2001US-0269627P.
XX
PA (CELL-) CELLGATE INC.
XX
PI Wender PA, Rothbard JB, Wright L, Kreider EL, Vandeusen CJ;
XX
DR WPI; 2003-786846/74.
XX
PT Composition used for increasing transport of biologically active compound
PT across biological membrane comprises biologically active compound and
PT transport group.
XX
PS Example 1; Page 10; 33pp; English.
XX
CC The invention relates to a composition comprising a biologically active
CC compound and a transport group. The transport group comprises a spaced
CC poly-Arginine based peptide of formula given in the specification. The
CC spaced poly-Arginine based peptide acts as a cellular membrane transport
CC signal and effects transport of the biologically active compound across
CC the membrane. The conjugate is also useful in therapeutic, prophylactic
CC and diagnostic applications. The composition improves the transport of
CC biologically active compounds across the biological membrane and into
CC animal epithelial or endothelial tissues. The arginine residue of the
CC conjugate provides an enhanced transport of drugs and are a part of the
CC polypeptide that provides suitable spacing between arginine residues. The
CC transport groups deliver an agent across the stratum corneum, which
CC previously had been a nearly impenetrable barrier to drug delivery. The
CC ability of the conjugate to obtain penetration of skin layers improves
CC the efficacy of compounds such as antibacterials, antifungals,
CC antivirals, antiproliferatives, immunosuppressives, vitamins, analgesics
CC and hormones. The present sequence is a Homo-D arginine transport peptide
CC of the invention.
XX
SQ Sequence 19 AA;

ADC19908 Length: 19 September 7, 2005 16:24 Type: P Check: 5580 ..

1 RRRRRRRRRR RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADC42899 standard; peptide; 9 AA.
XX
AC ADC42899;
XX
DT 18-DEC-2003 (first entry)
XX
DE Cellular uptake peptide #SEQ ID 13.
XX
KW Zinc finger protein; ZFP; artificial zinc finger protein; AFP;
KW nuclear envelope; nuclear lamina; heterochromatin; GCL protein;
KW gene expression; cytokine; interleukin; oncogene; angiogenesis factor;
KW drug resistance protein; growth factor; tumour suppressor; DNA binding.
XX
OS Synthetic.
XX
PN WO2003062447-A2.
XX
PD 31-JUL-2003.
XX
PF 17-JAN-2003; 2003WO-US001529.
XX
PR 18-JAN-2002; 2002US-0350163P.
PR 23-JAN-2002; 2002US-0351315P.
XX
PA (SYGN) SYNGENTA PARTICIPATIONS AG.
XX
PI Sera T;
XX
DR WPI; 2003-803624/75.
XX

PT Nucleic acid target-specific chimeric proteins comprising a nuclear-
PT envelope and/or nuclear lamina binding domain and a DNA binding domain
PT used in methods to repress or down-regulate expression of selected genes.
XX
PS Disclosure; SEQ ID NO 13; 60pp; English.
XX
CC The invention relates to a nucleic acid target-specific chimeric protein
CC comprising one or more first domains capable of specifically binding a
CC nucleotide sequence associated with a target gene, and one or more second
CC domains capable to associating with the nuclear periphery, where at least
CC one of the first domains is heterologous with respect to at least one of
CC the second domains. The one or more first domains comprise at least three
CC zinc finger proteins (ZFP's) or artificial zinc finger proteins (AZP's)
CC directly joined to one another. The one or more second domains directly
CC or indirectly associate with or bind to the nuclear envelope, the nuclear
CC lamina, heterochromatin or any combinations of these. One of the second
CC domains is a GCL protein or a binding moiety of a GCL protein. The
CC chimeric proteins of the invention and the nucleic acids encoding them
CC can be used to repress, down regulate or decrease gene expression of a
CC target gene in an eukaryotic organism, including yeast animals and plants
CC and may encode a cytokine, an interleukin, an oncogene, an angiogenesis
CC factor, an anti-angiogenesis factor, a drug resistance protein, a growth
CC factor or a tumour suppressor. The chimeric proteins can be used to
CC inhibit the expression of a disease-associated gene. The invention
CC provides a new method of transcriptional repression of genes. Previously
CC used transcription factors have limited utility or are limited to a set
CC of closely related target sequences. The zinc finger proteins of the
CC invention are DNA binding proteins with predetermined sequence
CC specificity for unique target sequences in a large complex genome. An
CC example from the invention demonstrates the repression of the human
CC vascular endothelial growth factor A (VEGF-A) gene. The current sequence
CC represents a basic peptide with cellular uptake signal activity. This may
CC be attached to the chimeric protein of the invention as a cellular uptake
CC signal, either attached alone or in conjunction with a nuclear
CC localisation peptide to aid in trasnport of the protein into the cell.
XX
SQ Sequence 9 AA;

ADC42899 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADC38642 standard; peptide; 9 AA.
XX
AC **ADC38642;**
XX
DT 18-DEC-2003 (first entry)
XX
DE L-arginine oligomer (LR9).
XX
KW Dermatological; angiogenesis stimulator; skin care; hair care;
KW dental care; make-up; foam bath; shampoo; dye; toothpaste;
KW gum regression; hair loss.
XX
OS Synthetic.
XX
PN WO2003072039-A2.
XX
PD 04-SEP-2003.
XX
PF 21-FEB-2003; 2003WO-US005399.
XX
PR 22-FEB-2002; 2002US-0358879P.
XX
PA (ESSE-) ESSENTIA BIOSYSTEMS INC.
XX
PI Waugh J, Dake M, Elkins CJ, Cifra PN;
XX
DR WPI; 2003-803790/75.
XX
PT Composition used for enhancing keratinous tissues and treating gum
PT regression comprises polymer having 7-15 subunits and vehicle.
XX
PS Example 1; Page 10; 22pp; English.
XX
CC The invention relates to a composition comprising a polymer having 7-15
CC subunits and a vehicle. Each subunit comprises L-arginine or its salts,
CC which enhances vasodilation through production of nitric oxide. The
CC polymer optionally also contains at least one amino acid other than L-
CC arginine, provided that the other amino acid is not therapeutically
CC effective and the contiguous L-arginine subunits are at the C-terminus or
CC the N-terminus of the polymer. The composition of the invention is used
CC in skin care (particularly skin washing and skin cleansing preparations,
CC soapless detergents, body lotions, emulsions, skin oils, peeling or scrub
CC preparations, peeling masks, foam baths, bath milks, shower preparations,
CC bath cubes, bath salts, facial make-up eyeshadow, mascara, eyeliner, eye
CC creams, nail polish, nail varnish, foot baths, foot powders, foot creams,
CC foot balsams, callous removing preparation, sun milks, sun lotions, sun
CC creams, sun oil, sun blocks, pre-tanning preparations, after sun
CC preparations and self-tanning creams), lip care composition (particularly
CC lipsticks, lip gloss and lip contour pencils), hair care composition
CC (particularly shampoos, conditioners, styling creams, styling gel, hair
CC rinses, foams, hairsprays, hair dyes and hair colorants) and dental care
CC compositions (particularly toothpaste, tooth powders, gum treatment
CC pastes, gum treatment gels and gum rinses). Compositions of the invention
CC may also be used for treating gum regression and for preventing hair
CC loss. The L-arginine enhances vasodilation through production of nitric
CC oxide. The composition promotes angiogenesis in hair follicles,
CC alleviates signs of aging in skin and stabilises or remodels fat. The
CC composition enhances the appearance of lips and sensitivity of skin. The
CC composition promotes hair regrowth on the scalp and increases the length
CC and/or thickness of eyelashes and/or eyebrows and induces gum
CC regeneration. The composition improves the cosmetic appearance of lip
CC contours and/or lip colour and reduces the appearance of wrinkles and
CC fine lines and the appearance of excess tissue around the eyes. The
CC composition regulates visible and/or tactile discontinuities in skin
CC texture. The current sequence represents an L-arginine oligomer of the
CC invention designated LR9.
XX
SQ Sequence 9 AA;

ADC38642 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADD21429 standard; peptide; 11 AA.
XX
AC **ADD21429;**
XX
DT 15-JAN-2004 (first entry)
XX
DE Protein transport domain related to continual cell growth.
XX
KW continual growth; cultured cell; cyclin dependent kinase; cdk4; cdk2;
KW cdk6; activating mutation; cell growth; cell division; cell cycle;
KW cancer-causing agent; continual growth-induced cell.
XX
OS Unidentified.
XX
PN WO2003044169-A2.
XX
PD 30-MAY-2003.
XX
PF 15-NOV-2002; 2002WO-US036729.
XX
PR 15-NOV-2001; 2001US-0334760P.
XX
PA (UTEM) UNIV TEMPLE.
XX
PI Reddy PE, Rane SG, Mettus RV;
XX
DR WPI; 2003-449813/42.
XX
PT A composition for reversibly inducing continual growth in normal cells

PT comprises a cyclin dependent kinase protein (e.g. cdk4, cdk2 or cdk6) or
PT its active fragment, derivative, homolog or analog, having an activating
PT mutation.
XX
PS Claim 16; Page 153; 77pp; English.
XX
CC This invention relates to a novel composition for inducing a reversible
CC state of a continual growth in cultured cells and comprises at least one
CC compound comprising a cyclin dependent kinase (cdk)4, cdk2 or cdk6
CC protein having an activating mutation. Growth and division of living
CC cells involve a regular series of events and processes that comprise the
CC cell cycle. Cyclin dependent kinases cdk2, cdk4 and cdk6 are involved in
CC the control of G1, the point at which cells irrevocably commit to DNA
CC synthesis and thus enter the cell cycle. The invention is useful in
CC reversibly inducing continual growth in normal cells and may allow the
CC screening of cancer-causing agents with the continual growth-induced
CC cells. The present sequence is that of a protein transport domain related
CC to the invention. Note: Due to an error in the specification or sequence
CC listing, the Seq ID numbers given in the disclosure do not correspond to
CC those given in the sequence listing. It is therefore unclear which Seq ID
CC number corresponds to which sequence and exactly which sequence is being
CC claimed.
XX
SQ Sequence 11 AA;

ADD21429 Length: 11 September 7, 2005 16:24 Type: P Check: 5412 ..

1 RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID ADE11604 standard; peptide; 10 AA.
XX
AC ADE11604;
XX
DT 29-JAN-2004 (first entry)
XX
DE Trojan protein inhibitor fragment R10.
XX
KW Trojan protein inhibitor; Trojan proteosome inhibitor; TPI;
KW Trojan assembly inhibitor; virucide; anti-HIV; cytostatic; antibacterial;
KW fungicide; antiinflammatory; nootropic; hepatotropic; viral infection;
KW leukemia; immune deficiency disease; hemorrhagic fever; Ebola virus;
KW Lassa virus; AIDS; ubiquitin pathway; tumor; apoptosis; inhibitor.
XX
OS Synthetic.
XX
PN WO2003064453-A2.
XX
PD 07-AUG-2003.
XX
PF 27-JAN-2003; 2003WO-DE000265.
XX
PR 27-JAN-2002; 2002DE-01003862.
PR 27-JAN-2002; 2002DE-01004210.
PR 28-FEB-2002; 2002DE-01009064.
XX
PA (VIRO-) VIROMICS GMBH.
XX
PI Schubert U, Schubert E, Tessmer U, Lucas K;
XX
DR WPI; 2003-636795/60.
XX
PT New Trojan proteosome or assembly inhibitors, useful for selective
PT treatment of e.g. viral infections, particularly human immune deficiency
PT virus, and tumors.
XX
PS Disclosure; Page 25; 78pp; German.
XX
CC This invention describes novel Trojan protein inhibitors that are Trojan
CC proteosome inhibitors (TPI) and/or Trojan assembly inhibitors (TAI). The
CC invention also describes a method for preparing Trojan protein inhibitors
CC by fusing a proteosome or assembly inhibitor with a Trojan peptide. The
CC products of the invention have virucide, anti-HIV, cytostatic,
CC antibacterial, fungicide, antiinflammatory, nootropic and hepatotropic
CC activity. The inhibitors of the invention are used (i) to treat or
CC prevent a wide range of viral infections, in humans or animals, e.g. by
CC leukemia, (human) immune deficiency or hemorrhagic fever (e.g. Ebola or
CC Lassa) viruses, most particularly treatment of AIDS in its advanced
CC stages; (ii) to treat diseases where a specific protease is implicated;
CC (iii) to modulate, inhibit, regulate or block the ubiquitin/proteosome
CC pathways, especially in tumor cells or those infected by pathogens such
CC as bacteria, mycoplasma, fungi, yeast, and viruses; (iv) to block
CC activity of nuclear factor kappaB; (v) to hinder spread of viral
CC infection in an organisms (to reduce viral load, specifically for
CC preventing HIV dementia or infection after accidental contact with HIV);
CC (vi) to inhibit release, maturation and replication of retro, hepatitis
CC and filo viruses; (vii) to induce apoptosis in tumor or virus-infected
CC cells; (viii) to treat tumors; (ix) as prodrugs (able to cross the blood-
CC brain barrier, removing infected cells from neural tissue in the central
CC nervous system) and (x) as drug-delivery system. The Trojan peptide
CC transports the active component into cells (including crossing the blood-
CC brain barrier) and the Trojan inhibitor is converted to active form only
CC in presence of a specific protease that recognizes the protease-cleavage
CC site. Release of the inhibitor only in target cells reduces toxicity to
CC non-target cells and allows use of high doses. The products of the
CC invention provide long-lasting or irreversible inhibition of the
CC proteosome. This sequence represents a peptide fragment used in the
CC construction of the Trojan protein inhibitors described in the disclosure
CC of the invention.
XX
SQ Sequence 10 AA;

ADE11604 Length: 10 September 7, 2005 16:24 Type: P Check: 4510 ..

1 RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADE11603 standard; peptide; 8 AA.
XX
AC ADE11603;
XX
DT 29-JAN-2004 (first entry)
XX
DE Trojan protein inhibitor fragment R8.
XX
KW Trojan protein inhibitor; Trojan proteosome inhibitor; TPI;
KW Trojan assembly inhibitor; virucide; anti-HIV; cytostatic; antibacterial;
KW fungicide; antiinflammatory; nootropic; hepatotropic; viral infection;
KW leukemia; immune deficiency disease; hemorrhagic fever; Ebola virus;
KW Lassa virus; AIDS; ubiquitin pathway; tumor; apoptosis; inhibitor.
XX
OS Synthetic.
XX
PN WO2003064453-A2.
XX
PD 07-AUG-2003.
XX
PF 27-JAN-2003; 2003WO-DE000265.
XX
PR 27-JAN-2002; 2002DE-01003862.
PR 27-JAN-2002; 2002DE-01004210.
PR 28-FEB-2002; 2002DE-01009064.
XX
PA (VIRO-) VIROMICS GMBH.
XX
PI Schubert U, Schubert E, Tessmer U, Lucas K;
XX
DR WPI; 2003-636795/60.
XX
PT New Trojan proteosome or assembly inhibitors, useful for selective
PT treatment of e.g. viral infections, particularly human immune deficiency
PT virus, and tumors.
XX
PS Disclosure; Page 25; 78pp; German.
XX
CC This invention describes novel Trojan protein inhibitors that are Trojan

```
CC   proteosome inhibitors (TPI) and/or Trojan assembly inhibitors (TAI). The
CC   invention also describes a method for preparing Trojan protein inhibitors
CC   by fusing a proteosome or assembly inhibitor with a Trojan peptide. The
CC   products of the invention have virucide, anti-HIV, cytostatic,
CC   antibacterial, fungicide, antiinflammatory, nootropic and hepatotropic
CC   activity. The inhibitors of the invention are used (i) to treat or
CC   prevent a wide range of viral infections, in humans or animals, e.g. by
CC   leukemia, (human) immune deficiency or hemorrhagic fever (e.g. Ebola or
CC   Lassa) viruses, most particularly treatment of AIDS in its advanced
CC   stages; (ii) to treat diseases where a specific protease is implicated;
CC   (iii) to modulate, inhibit, regulate or block the ubiquitin/proteosome
CC   pathways, especially in tumor cells or those infected by pathogens such
CC   as bacteria, mycoplasma, fungi, yeast, and viruses; (iv) to block
CC   activity of nuclear factor kappaB; (v) to hinder spread of viral
CC   infection in an organisms (to reduce viral load, specifically for
CC   preventing HIV dementia or infection after accidental contact with HIV);
CC   (vi) to inhibit release, maturation and replication of retro, hepatitis
CC   and filo viruses; (vii) to induce apoptosis in tumor or virus-infected
CC   cells; (viii) to treat tumors; (ix) as prodrugs (able to cross the blood-
CC   brain barrier, removing infected cells from neural tissue in the central
CC   nervous system) and (x) as drug-delivery system. The Trojan peptide
CC   transports the active component into cells (including crossing the blood-
CC   brain barrier) and the Trojan inhibitor is converted to active form only
CC   in presence of a specific protease that recognizes the protease-cleavage
CC   site. Release of the inhibitor only in target cells reduces toxicity to
CC   non-target cells and allows use of high doses. The products of the
CC   invention provide long-lasting or irreversible inhibition of the
CC   proteosome. This sequence represents a peptide fragment used in the
CC   construction of the Trojan protein inhibitors described in the disclosure
CC   of the invention.
XX
SQ   Sequence 8 AA;

 ADE11603  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

       1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADE11602 standard; peptide; 6 AA.
XX
AC   ADE11602;
XX
DT   29-JAN-2004  (first entry)
XX
DE   Trojan protein inhibitor fragment R6.
XX
KW   Trojan protein inhibitor; Trojan proteosome inhibitor; TPI;
KW   Trojan assembly inhibitor; virucide; anti-HIV; cytostatic; antibacterial;
KW   fungicide; antiinflammatory; nootropic; hepatotropic; viral infection;
KW   leukemia; immune deficiency disease; hemorrhagic fever; Ebola virus;
KW   Lassa virus; AIDS; ubiquitin pathway; tumor; apoptosis; inhibitor.
XX
OS   Synthetic.
XX
PN   WO2003064453-A2.
XX
PD   07-AUG-2003.
XX
PF   27-JAN-2003; 2003WO-DE000265.
XX
PR   27-JAN-2002; 2002DE-01003862.
PR   27-JAN-2002; 2002DE-01004210.
PR   28-FEB-2002; 2002DE-01009064.
XX
PA   (VIRO-) VIROMICS GMBH.
XX
PI   Schubert U,  Schubert E,  Tessmer U,  Lucas K;
XX
DR   WPI; 2003-636795/60.
XX
PT   New Trojan proteosome or assembly inhibitors, useful for selective
PT   treatment of e.g. viral infections, particularly human immune deficiency
PT   virus, and tumors.
XX
PS   Disclosure; Page 25; 78pp; German.
XX
CC   This invention describes novel Trojan protein inhibitors that are Trojan
CC   proteosome inhibitors (TPI) and/or Trojan assembly inhibitors (TAI). The
CC   invention also describes a method for preparing Trojan protein inhibitors
CC   by fusing a proteosome or assembly inhibitor with a Trojan peptide. The
CC   products of the invention have virucide, anti-HIV, cytostatic,
CC   antibacterial, fungicide, antiinflammatory, nootropic and hepatotropic
CC   activity. The inhibitors of the invention are used (i) to treat or
CC   prevent a wide range of viral infections, in humans or animals, e.g. by
CC   leukemia, (human) immune deficiency or hemorrhagic fever (e.g. Ebola or
CC   Lassa) viruses, most particularly treatment of AIDS in its advanced
CC   stages; (ii) to treat diseases where a specific protease is implicated;
CC   (iii) to modulate, inhibit, regulate or block the ubiquitin/proteosome
CC   pathways, especially in tumor cells or those infected by pathogens such
CC   as bacteria, mycoplasma, fungi, yeast, and viruses; (iv) to block
CC   activity of nuclear factor kappaB; (v) to hinder spread of viral
CC   infection in an organisms (to reduce viral load, specifically for
CC   preventing HIV dementia or infection after accidental contact with HIV);
CC   (vi) to inhibit release, maturation and replication of retro, hepatitis
CC   and filo viruses; (vii) to induce apoptosis in tumor or virus-infected
CC   cells; (viii) to treat tumors; (ix) as prodrugs (able to cross the blood-
CC   brain barrier, removing infected cells from neural tissue in the central
CC   nervous system) and (x) as drug-delivery system. The Trojan peptide
CC   transports the active component into cells (including crossing the blood-
CC   brain barrier) and the Trojan inhibitor is converted to active form only
CC   in presence of a specific protease that recognizes the protease-cleavage
CC   site. Release of the inhibitor only in target cells reduces toxicity to
CC   non-target cells and allows use of high doses. The products of the
CC   invention provide long-lasting or irreversible inhibition of the
CC   proteosome. This sequence represents a peptide fragment used in the
CC   construction of the Trojan protein inhibitors described in the disclosure
CC   of the invention.
XX
SQ   Sequence 6 AA;

 ADE11602  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ADE11605 standard; peptide; 12 AA.
XX
AC   ADE11605;
XX
DT   29-JAN-2004  (first entry)
XX
DE   Trojan protein inhibitor fragment R12.
XX
KW   Trojan protein inhibitor; Trojan proteosome inhibitor; TPI;
KW   Trojan assembly inhibitor; virucide; anti-HIV; cytostatic; antibacterial;
KW   fungicide; antiinflammatory; nootropic; hepatotropic; viral infection;
KW   leukemia; immune deficiency disease; hemorrhagic fever; Ebola virus;
KW   Lassa virus; AIDS; ubiquitin pathway; tumor; apoptosis; inhibitor.
XX
OS   Synthetic.
XX
PN   WO2003064453-A2.
XX
PD   07-AUG-2003.
XX
PF   27-JAN-2003; 2003WO-DE000265.
XX
PR   27-JAN-2002; 2002DE-01003862.
PR   27-JAN-2002; 2002DE-01004210.
PR   28-FEB-2002; 2002DE-01009064.
XX
PA   (VIRO-) VIROMICS GMBH.
XX
PI   Schubert U,  Schubert E,  Tessmer U,  Lucas K;
XX
DR   WPI; 2003-636795/60.
```

XX
PT New Trojan proteosome or assembly inhibitors, useful for selective
PT treatment of e.g. viral infections, particularly human immune deficiency
PT virus, and tumors.
XX
PS Disclosure; Page 25; 78pp; German.
XX
CC This invention describes novel Trojan protein inhibitors that are Trojan
CC proteosome inhibitors (TPI) and/or Trojan assembly inhibitors (TAI). The
CC invention also describes a method for preparing Trojan protein inhibitors
CC by fusing a proteosome or assembly inhibitor with a Trojan peptide. The
CC products of the invention have virucide, anti-HIV, cytostatic,
CC antibacterial, fungicide, antiinflammatory, nootropic and hepatotropic
CC activity. The inhibitors of the invention are used (i) to treat or
CC prevent a wide range of viral infections, in humans or animals, e.g. by
CC leukemia, (human) immune deficiency or hemorrhagic fever (e.g. Ebola or
CC Lassa) viruses, most particularly treatment of AIDS in its advanced
CC stages; (ii) to treat diseases where a specific protease is implicated;
CC (iii) to modulate, inhibit, regulate or block the ubiquitin/proteosome
CC pathways, especially in tumor cells or those infected by pathogens such
CC as bacteria, mycoplasma, fungi, yeast, and viruses; (iv) to block
CC activity of nuclear factor kappaB; (v) to hinder spread of viral
CC infection in an organisms (to reduce viral load, specifically for
CC preventing HIV dementia or infection after accidental contact with HIV);
CC (vi) to inhibit release, maturation and replication of retro, hepatitis
CC and filo viruses; (vii) to induce apoptosis in tumor or virus-infected
CC cells; (viii) to treat tumors; (ix) as prodrugs (able to cross the blood-
CC brain barrier, removing infected cells from neural tissue in the central
CC nervous system) and (x) as drug-delivery system. The Trojan peptide
CC transports the active component into cells (including crossing the blood-
CC brain barrier) and the Trojan inhibitor is converted to active form only
CC in presence of a specific protease that recognizes the protease-cleavage
CC site. Release of the inhibitor only in target cells reduces toxicity to
CC non-target cells and allows use of high doses. The products of the
CC invention provide long-lasting or irreversible inhibition of the
CC proteosome. This sequence represents a peptide fragment used in the
CC construction of the Trojan protein inhibitors described in the disclosure
CC of the invention.
XX
SQ Sequence 12 AA;

ADE11605 Length: 12 September 7, 2005 16:24 Type: P Check: 6396 ..

1 RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID ADE11606 standard; peptide; 16 AA.
XX
AC ADE11606;
XX
DT 29-JAN-2004 (first entry)
XX
DE Trojan protein inhibitor fragment R16.
XX
KW Trojan protein inhibitor; Trojan proteosome inhibitor; TPI;
KW Trojan assembly inhibitor; virucide; anti-HIV; cytostatic; antibacterial;
KW fungicide; antiinflammatory; nootropic; hepatotropic; viral infection;
KW leukemia; immune deficiency disease; hemorrhagic fever; Ebola virus;
KW Lassa virus; AIDS; ubiquitin pathway; tumor; apoptosis; inhibitor.
XX
OS Synthetic.
XX
PN WO2003064453-A2.
XX
PD 07-AUG-2003.
XX
PF 27-JAN-2003; 2003WO-DE000265.
XX
PR 27-JAN-2002; 2002DE-01003862.
PR 27-JAN-2002; 2002DE-01004210.
PR 28-FEB-2002; 2002DE-01009064.
XX
PA (VIRO-) VIROMICS GMBH.
XX
PI Schubert U, Schubert E, Tessmer U, Lucas K;
XX
DR WPI; 2003-636795/60.
XX
PT New Trojan proteosome or assembly inhibitors, useful for selective
PT treatment of e.g. viral infections, particularly human immune deficiency
PT virus, and tumors.
XX
PS Disclosure; Page 25; 78pp; German.
XX
CC This invention describes novel Trojan protein inhibitors that are Trojan
CC proteosome inhibitors (TPI) and/or Trojan assembly inhibitors (TAI). The
CC invention also describes a method for preparing Trojan protein inhibitors
CC by fusing a proteosome or assembly inhibitor with a Trojan peptide. The
CC products of the invention have virucide, anti-HIV, cytostatic,
CC antibacterial, fungicide, antiinflammatory, nootropic and hepatotropic
CC activity. The inhibitors of the invention are used (i) to treat or
CC prevent a wide range of viral infections, in humans or animals, e.g. by
CC leukemia, (human) immune deficiency or hemorrhagic fever (e.g. Ebola or
CC Lassa) viruses, most particularly treatment of AIDS in its advanced
CC stages; (ii) to treat diseases where a specific protease is implicated;
CC (iii) to modulate, inhibit, regulate or block the ubiquitin/proteosome
CC pathways, especially in tumor cells or those infected by pathogens such
CC as bacteria, mycoplasma, fungi, yeast, and viruses; (iv) to block
CC activity of nuclear factor kappaB; (v) to hinder spread of viral
CC infection in an organisms (to reduce viral load, specifically for
CC preventing HIV dementia or infection after accidental contact with HIV);
CC (vi) to inhibit release, maturation and replication of retro, hepatitis
CC and filo viruses; (vii) to induce apoptosis in tumor or virus-infected
CC cells; (viii) to treat tumors; (ix) as prodrugs (able to cross the blood-
CC brain barrier, removing infected cells from neural tissue in the central
CC nervous system) and (x) as drug-delivery system. The Trojan peptide
CC transports the active component into cells (including crossing the blood-
CC brain barrier) and the Trojan inhibitor is converted to active form only
CC in presence of a specific protease that recognizes the protease-cleavage
CC site. Release of the inhibitor only in target cells reduces toxicity to
CC non-target cells and allows use of high doses. The products of the
CC invention provide long-lasting or irreversible inhibition of the
CC proteosome. This sequence represents a peptide fragment used in the
CC construction of the Trojan protein inhibitors described in the disclosure
CC of the invention.
XX
SQ Sequence 16 AA;

ADE11606 Length: 16 September 7, 2005 16:24 Type: P Check: 1152 ..

1 RRRRRRRRRR RRRRRR

!!AA_SEQUENCE 1.0
ID ADE01160 standard; peptide; 9 AA.
XX
AC ADE01160;
XX
DT 29-JAN-2004 (first entry)
XX
DE Human type-I collagen DP 182-246 related tat-peptide region, SEQ ID No 7.
XX
KW fusion; Tat-human Type-I collagen DP; self cell-penetrating; Tat peptide;
KW human type-1 collagen; solid-phase peptide synthesis; skin; anti-ageing;
KW cosmetic; ageing; collagen; hyaluronic acid; 182-246.
XX
OS Unidentified.
XX
PN WO2003078470-A1.
XX
PD 25-SEP-2003.
XX
PF 27-AUG-2002; 2002WO-KR001616.
XX
PR 15-MAR-2002; 2002KR-00014063.
XX
PA (GLDS) LG HOUSEHOLD & HEALTH CARE LTD.

XX
PI Kang N, Song Y, Park S, Lee Y, Cho W, Kang S;
XX
DR WPI; 2003-803887/75.
XX
PT New fusion peptide useful in cosmetic compositions for combating skin
PT aging comprises a self cell-penetrating Tat peptide bound to a human type
PT -1 collagen C-terminal derived peptide.
XX
PS Claim 3; SEQ ID NO 7; 31pp; English.
XX
CC The invention relates to a novel fusion peptide, designated Tat-human
CC Type-I collagen DP, comprising a self cell-penetrating Tat peptide bound
CC to a human type-1 collagen C-terminal derived peptide. The invention
CC further relates to the production of the novel fusion peptide by solid-
CC phase peptide synthesis or recombinant DNA techniques; and a skin anti-
CC ageing cosmetic composition comprising the fusion peptide as an active
CC ingredient. The novel fusion peptide is useful in cosmetic compositions
CC for combating skin ageing. The fusion peptide exhibits good skin
CC absorption, does not cause irritation, and promotes synthesis of collagen
CC and hyaluronic acid. This sequence represents a peptide region relating
CC to the human type-I collagen DP 182-246 polypeptide of the invention.
XX
SQ Sequence 9 AA;

ADE01160 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADF50730 standard; peptide; 5 AA.
XX
AC ADF50730;
XX
DT 12-FEB-2004 (first entry)
XX
DE Penta-L-arginine furin peptide inhibitor (SeqID 26).
XX
KW polybasic; furin inhibitor; viral infection; cytostatic; antibacterial;
KW virucidal; cancer; bacterial.
XX
OS Synthetic.
XX
PN US2003087827-A1.
XX
PD 08-MAY-2003.
XX
PF 16-JUL-2001; 2001US-00906311.
XX
PR 16-JUL-2001; 2001US-00906311.
XX
PA (LIND/) LINDBERG I.
PA (CAME/) CAMERON A.
PA (APPE/) APPEL J.
PA (HOUG/) HOUGHTEN R.
XX
PI Lindberg I, Cameron A, Appel J, Houghten R;
XX
DR WPI; 2003-810797/76.
XX
PT Selectively inhibiting furin in a mammal using small polybasic peptides,
PT useful for diagnosing and treating disorders associated with aberrant
PT furin expression or activity, such as cancers, bacterial and/or viral
PT infections.
XX
PS Claim 9; SEQ ID NO 26; 30pp; English.
XX
CC This invention relates to novel polybasic peptides that act as effective
CC furin inhibitors. Specifically, these peptide inhibitors comprise 4-20
CC amino acid residues, where at least 4 consecutive residues are basic
CC namely arginine, histidine, lysine, homoarginine, ornithine,
CC diaminobutyric acid or diaminopropionic acid. The present invention
CC describes a method whereby these peptides work to inhibit the metabolism,
CC growth and reproduction of pathogenic bacteria or viruses, as well as
CC significantly reducing the growth or metastasis of a tumour. Accordingly,
CC the methods are useful for diagnosing and treating disorders associated
CC with aberrant furin expression or activity, including cancers, bacterial
CC and/ or viral infections. As such, due to their small size these peptides
CC are non-immunogenic and can be described as having cytostatic,
CC antibacterial and virucidal activities. This peptide sequence is a furin
CC peptide inhibitor of the invention.
XX
SQ Sequence 5 AA;

ADF50730 Length: 5 September 7, 2005 16:24 Type: P Check: 1230 ..

1 RRRRR

!!AA_SEQUENCE 1.0
ID ADF50718 standard; peptide; 6 AA.
XX
AC ADF50718;
XX
DT 12-FEB-2004 (first entry)
XX
DE Hexa-L-arginine furin peptide inhibitor (SeqID 14).
XX
KW polybasic; furin inhibitor; viral infection; cytostatic; antibacterial;
KW virucidal; cancer; bacterial.
XX
OS Synthetic.
XX
PN US2003087827-A1.
XX
PD 08-MAY-2003.
XX
PF 16-JUL-2001; 2001US-00906311.
XX
PR 16-JUL-2001; 2001US-00906311.
XX
PA (LIND/) LINDBERG I.
PA (CAME/) CAMERON A.
PA (APPE/) APPEL J.
PA (HOUG/) HOUGHTEN R.
XX
PI Lindberg I, Cameron A, Appel J, Houghten R;
XX
DR WPI; 2003-810797/76.
XX
PT Selectively inhibiting furin in a mammal using small polybasic peptides,
PT useful for diagnosing and treating disorders associated with aberrant
PT furin expression or activity, such as cancers, bacterial and/or viral
PT infections.
XX
PS Claim 9; SEQ ID NO 14; 30pp; English.
XX
CC This invention relates to novel polybasic peptides that act as effective
CC furin inhibitors. Specifically, these peptide inhibitors comprise 4-20
CC amino acid residues, where at least 4 consecutive residues are basic
CC namely arginine, histidine, lysine, homoarginine, ornithine,
CC diaminobutyric acid or diaminopropionic acid. The present invention
CC describes a method whereby these peptides work to inhibit the metabolism,
CC growth and reproduction of pathogenic bacteria or viruses, as well as
CC significantly reducing the growth or metastasis of a tumour. Accordingly,
CC the methods are useful for diagnosing and treating disorders associated
CC with aberrant furin expression or activity, including cancers, bacterial
CC and/ or viral infections. As such, due to their small size these peptides
CC are non-immunogenic and can be described as having cytostatic,
CC antibacterial and virucidal activities. This peptide sequence is a furin
CC peptide inhibitor of the invention.
XX
SQ Sequence 6 AA;

ADF50718 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

```
!!AA_SEQUENCE 1.0
ID   ADF50731 standard; peptide; 7 AA.
XX
AC   ADF50731;
XX
DT   12-FEB-2004  (first entry)
XX
DE   Hepta-L-arginine furin peptide inhibitor (SeqID 27).
XX
KW   polybasic; furin inhibitor; viral infection; cytostatic; antibacterial;
KW   virucidal; cancer; bacterial.
XX
OS   Synthetic.
XX
PN   US2003087827-A1.
XX
PD   08-MAY-2003.
XX
PF   16-JUL-2001; 2001US-00906311.
XX
PR   16-JUL-2001; 2001US-00906311.
XX
PA   (LIND/) LINDBERG I.
PA   (CAME/) CAMERON A.
PA   (APPE/) APPEL J.
PA   (HOUG/) HOUGHTEN R.
XX
PI   Lindberg I,  Cameron A,  Appel J,  Houghten R;
XX
DR   WPI; 2003-810797/76.
XX
PT   Selectively inhibiting furin in a mammal using small polybasic peptides,
PT   useful for diagnosing and treating disorders associated with aberrant
PT   furin expression or activity, such as cancers, bacterial and/or viral
PT   infections.
XX
PS   Claim 9; SEQ ID NO 27; 30pp; English.
XX
CC   This invention relates to novel polybasic peptides that act as effective
CC   furin inhibitors. Specifically, these peptide inhibitors comprise 4-20
CC   amino acid residues, where at least 4 consecutive residues are basic
CC   namely arginine, histidine, lysine, homoarginine, ornithine,
CC   diaminobutyric acid or diaminopropionic acid. The present invention
CC   describes a method whereby these peptides work to inhibit the metabolism,
CC   growth and reproduction of pathogenic bacteria or viruses, as well as
CC   significantly reducing the growth or metastasis of a tumour. Accordingly,
CC   the methods are useful for diagnosing and treating disorders associated
CC   with aberrant furin expression or activity, including cancers, bacterial
CC   and/ or viral infections. As such, due to their small size these peptides
CC   are non-immunogenic and can be described as having cytostatic,
CC   antibacterial and virucidal activities. This peptide sequence is a furin
CC   peptide inhibitor of the invention.
XX
SQ   Sequence 7 AA;

 ADF50731  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

       1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   ADF50732 standard; peptide; 8 AA.
XX
AC   ADF50732;
XX
DT   12-FEB-2004  (first entry)
XX
DE   Octa-L-arginine furin peptide inhibitor (SeqID 28).
XX
KW   polybasic; furin inhibitor; viral infection; cytostatic; antibacterial;
KW   virucidal; cancer; bacterial.
XX
OS   Synthetic.
XX
PN   US2003087827-A1.
XX
PD   08-MAY-2003.
XX
PF   16-JUL-2001; 2001US-00906311.
XX
PR   16-JUL-2001; 2001US-00906311.
XX
PA   (LIND/) LINDBERG I.
PA   (CAME/) CAMERON A.
PA   (APPE/) APPEL J.
PA   (HOUG/) HOUGHTEN R.
XX
PI   Lindberg I,  Cameron A,  Appel J,  Houghten R;
XX
DR   WPI; 2003-810797/76.
XX
PT   Selectively inhibiting furin in a mammal using small polybasic peptides,
PT   useful for diagnosing and treating disorders associated with aberrant
PT   furin expression or activity, such as cancers, bacterial and/or viral
PT   infections.
XX
PS   Claim 9; SEQ ID NO 28; 30pp; English.
XX
CC   This invention relates to novel polybasic peptides that act as effective
CC   furin inhibitors. Specifically, these peptide inhibitors comprise 4-20
CC   amino acid residues, where at least 4 consecutive residues are basic
CC   namely arginine, histidine, lysine, homoarginine, ornithine,
CC   diaminobutyric acid or diaminopropionic acid. The present invention
CC   describes a method whereby these peptides work to inhibit the metabolism,
CC   growth and reproduction of pathogenic bacteria or viruses, as well as
CC   significantly reducing the growth or metastasis of a tumour. Accordingly,
CC   the methods are useful for diagnosing and treating disorders associated
CC   with aberrant furin expression or activity, including cancers, bacterial
CC   and/ or viral infections. As such, due to their small size these peptides
CC   are non-immunogenic and can be described as having cytostatic,
CC   antibacterial and virucidal activities. This peptide sequence is a furin
CC   peptide inhibitor of the invention.
XX
SQ   Sequence 8 AA;

 ADF50732  Length: 8  September 7, 2005 16:24  Type: P  Check: 2952  ..

       1  RRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADF50717 standard; peptide; 9 AA.
XX
AC   ADF50717;
XX
DT   12-FEB-2004  (first entry)
XX
DE   Nona-L-arginine furin peptide inhibitor (SeqID 13).
XX
KW   polybasic; furin inhibitor; viral infection; cytostatic; antibacterial;
KW   virucidal; cancer; bacterial.
XX
OS   Synthetic.
XX
PN   US2003087827-A1.
XX
PD   08-MAY-2003.
XX
PF   16-JUL-2001; 2001US-00906311.
XX
PR   16-JUL-2001; 2001US-00906311.
XX
PA   (LIND/) LINDBERG I.
PA   (CAME/) CAMERON A.
PA   (APPE/) APPEL J.
PA   (HOUG/) HOUGHTEN R.
XX
```

PI Lindberg I, Cameron A, Appel J, Houghten R;
XX
DR WPI; 2003-810797/76.
XX
PT Selectively inhibiting furin in a mammal using small polybasic peptides,
PT useful for diagnosing and treating disorders associated with aberrant
PT furin expression or activity, such as cancers, bacterial and/or viral
PT infections.
XX
PS Claim 9; SEQ ID NO 13; 30pp; English.
XX
CC This invention relates to novel polybasic peptides that act as effective
CC furin inhibitors. Specifically, these peptide inhibitors comprise 4-20
CC amino acid residues, where at least 4 consecutive residues are basic
CC namely arginine, histidine, lysine, homoarginine, ornithine,
CC diaminobutyric acid or diaminopropionic acid. The present invention
CC describes a method whereby these peptides work to inhibit the metabolism,
CC growth and reproduction of pathogenic bacteria or viruses, as well as
CC significantly reducing the growth or metastasis of a tumour. Accordingly,
CC the methods are useful for diagnosing and treating disorders associated
CC with aberrant furin expression or activity, including cancers, bacterial
CC and/ or viral infections. As such, due to their small size these peptides
CC are non-immunogenic and can be described as having cytostatic,
CC antibacterial and virucidal activities. This peptide sequence is a furin
CC peptide inhibitor of the invention.
XX
SQ Sequence 9 AA;

ADF50717 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADG28006 standard; peptide; 7 AA.
XX
AC **ADG28006;**
XX
DT 26-FEB-2004 (first entry)
XX
DE Synthetic R7 protein transduction domain seq id 7.
XX
KW fusion protein; cold shock domain; membrane translocation sequence; CspA;
KW CspB; CspC; CspD; rp1 S1 binding domain; eukaryotic Y-box protein;
KW DNA binding protein B; DBPB; DBPA; EFE-1; mRNP3; mRNP4; FRG Y1;
KW nuclease-sensitive element binding protein 1; NSEP 1;
KW DNA condensation domain; DNA binding domain; SPKR;
KW nuclear localisation sequence; NLS; protein purification tagged sequence;
KW gene delivery; R7.
XX
OS Synthetic.
XX
PN US2003211590-A1.
XX
PD 13-NOV-2003.
XX
PF 13-MAY-2002; 2002US-00144549.
XX
PR 13-MAY-2002; 2002US-00144549.
XX
PA (HWUP/) HWU P L.
XX
PI Hwu PL;
XX
DR WPI; 2003-901590/82.
XX
PT New fusion protein comprising a cold shock domain, and a membrane
PT translocation sequence, useful for delivering DNAs and RNAs to in vivo
PT cells for gene delivery.
XX
PS Claim 7; SEQ ID NO 7; 24pp; English.
XX
CC The invention describes a fusion protein for delivery of a desired
CC molecule into cells or nuclei, comprising a cold shock domain, its
CC homologue and functional derivative, and a membrane translocation
CC sequence or its functional equivalent peptides and/or derivatives. The
CC fusion protein comprises a cold shock domain that is selected from CspA,
CC CspB, CspC, CspD, rp1 S1 binding domain, eukaryotic Y-box proteins, DNA
CC binding protein B (DBPB), DBPA, EFE-1, mRNP3, mRNP4, FRG Y1 and nuclease-
CC sensitive element binding protein 1 (NSEP 1). The functional equivalent
CC derivative of cold shock protein is modified by inserting into the cold
CC shock domain with a DNA condensation domain or a DNA binding domain. The
CC DNA condensation or binding domain is selected from DNA condensation
CC domain (SPKR) 3-4 and the positive charge nuclear localisation sequences
CC (NLS+). The membrane transduction sequence is protein transduction domain
CC (PTD) or membrane fusion sequence. The fusion protein further comprises a
CC protein purification tagged sequence selected from HA, GST, and His6 tag.
CC The fusion protein is useful for delivering DNAs and RNAs to in vivo
CC cells for gene delivery, or for delivering nucleic acids to an embryo or
CC to a living animal for the production of transgenic animal. This is the
CC amino acid sequence of synthetic R7 protein transduction domain.
XX
SQ Sequence 7 AA;

ADG28006 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ADH44249 standard; peptide; 20 AA.
XX
AC **ADH44249;**
XX
DT 25-MAR-2004 (first entry)
XX
DE Cationic amino acid string #2.
XX
KW cell transfection; fibroblast transfection; transgenic animal production;
KW gene therapy; viral inhibition; cancer treatment.
XX
OS Synthetic.
XX
PN US2003069173-A1.
XX
PD 10-APR-2003.
XX
PF 23-JUL-2001; 2001US-00911569.
XX
PR 16-MAR-1998; 98US-00039780.
XX
PA (LIFE-) LIFE TECHNOLOGIES INC.
XX
PI Hawley-Nelson P, Lan J, Shih P, Jessee JA, Schifferli KP;
PI Gebeyehu G, Ciccarone VC, Evans KL;
XX
DR WPI; 2003-786882/74.
XX
PT Composition useful as intracellular delivery agent and extracellular
PT targeting agent, comprises one or more nucleic acid molecules, peptides
PT or proteins, and transfection agents.
XX
PS Disclosure; SEQ ID NO 5; 112pp; English.
XX
CC The invention relates to a composition for transfecting a cell, which
CC comprises one or more nucleic acid molecules, one or more peptides or
CC proteins and one or more transfection agents. The composition is capable
CC of transfecting a primary cell culture, a passaged cell culture or a cell
CC line, preferably a human or animal cell line, more preferably a
CC fibroblast. The composition is prepared by admixing one or more peptides
CC or proteins with a nucleic acid to form a peptide-nucleic acid complex or
CC a protein-nucleic acid complex, followed by addition of a transfection
CC agent capable of aggregating peptide- or protein-nucleic acid complex is
CC useful for transfecting a cell with a nucleic acid. The transfection
CC compositions and methods can be applied to in vitro and in vivo
CC transfection of cells, particularly of eukaryotic cells and more
CC particularly to transfection of higher eukaryotic cells, including animal
CC cells. The methods can be used to generate transfected cells which

CC express useful gene products and also be employed as a step in the
CC production of transgenic animals. The methods are useful as a step in any
CC therapeutic method requiring introduction of nucleic acids into cells
CC including methods of gene therapy and viral inhibition and for
CC introduction of antisense or antigene nucleic acids or ribozymes or RNA
CC regulatory sequences or related inhibitory or regulatory nucleic acids
CC into cells. In particular, these methods are useful in cancer treatment,
CC in gene therapy and in diagnostic methods. Peptide complexed nucleic
CC acids are more efficiently transported into the cells and the cell
CC nucleus, thus enhancing the efficiency of cationic lipid- or dendrimer-
CC mediated cell transfection. Due to the improved efficiency of
CC transfection, considerably less nucleic acid is required for effective
CC transfection. The present sequence represents the amino acid sequence of
CC a cationic amino acid string.
XX
SQ Sequence 20 AA;

ADH44249 Length: 20 September 7, 2005 16:24 Type: P Check: 7220 ..

1 RRRRRRRRRR RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADL88644 standard; peptide; 7 AA.
XX
AC ADL88644;
XX
DT 20-MAY-2004 (first entry)
XX
DE R7 protein transduction domain (PTD) peptide.
XX
KW fusion protein; cold shock domain; membrane translocation; gene therapy;
KW transgenic; protein transduction domain; PTD; R7.
XX
OS Unidentified.
XX
PN JP2004035409-A.
XX
PD 05-FEB-2004.
XX
PF 15-MAY-2002; 2002JP-00140441.
XX
PR 13-MAY-2002; 2002US-00144549.
XX
PA (GENE-) GENESHUTTLE BIOPHARM INC.
XX
PI Hwu PL;
XX
DR WPI; 2003-901590/82.
XX
PT New fusion protein comprising a cold shock domain, and a membrane
PT translocation sequence, useful for delivering DNAs and RNAs to in vivo
PT cells for gene delivery.
XX
PS Claim 7; SEQ ID NO 7; 53pp; Japanese.
XX
CC The invention relates to a novel fusion protein for delivery of a desired
CC molecule into cells or nuclei comprising a cold shock domain, its
CC homologue and functional derivative and a membrane translocation sequence
CC or its functionally equivalent peptides and/or derivatives. The fusion
CC protein of the invention may be useful for delivering DNAs and RNAs to in
CC vivo cells for gene therapy or for delivering nucleic acids to an embryo
CC or to a living animal for the production of transgenic animals. The
CC current sequence is that of a protein transduction domain (PTD) peptide
CC of the invention.
XX
SQ Sequence 7 AA;

ADL88644 Length: 7 September 7, 2005 16:24 Type: P Check: 2296 ..

1 RRRRRRR

!!AA_SEQUENCE 1.0
ID ADN60211 standard; peptide; 6 AA.
XX
AC ADN60211;
XX
DT 01-JUL-2004 (first entry)
XX
DE Simian virus 40 modified NLS peptide SeqID51.
XX
KW fusion protein; site-specific DNA recombinase domain;
KW nuclear localisation signal; NLS; gene alteration; cell culture;
KW cellular uptake; functional biopolymer; mutant; mutein.
XX
OS Simian virus 40.
OS Synthetic.
XX
PN WO2003076561-A2.
XX
PD 18-SEP-2003.
XX
PF 06-MAR-2003; 2003WO-EP002280.
XX
PR 09-MAR-2002; 2002EP-00005468.
PR 13-MAR-2002; 2002US-0363797P.
XX
PA (ARTE-) ARTEMIS PHARM GMBH.
XX
PI Edenhofer FOS, Peitz M, Pfannkuche K, Rajewski K;
XX
DR WPI; 2003-767415/72.
XX
PT New fusion protein comprising a site-specific DNA recombinase domain and
PT a domain containing a modified nuclear localization signal, useful for
PT preparing an agent for inducing target gene alterations in living
PT organisms.
XX
PS Disclosure; SEQ ID NO 51; 54pp; English.
XX
CC This invention relates to a novel fusion protein comprising a site-
CC specific DNA recombinase domain and a domain containing a modified
CC nuclear localisation signal (NLS) of type one having 5-10 amino acid
CC residues and containing at least 5 basic amino acid residues and no Pro
CC residue. The fusion protein is useful for preparing an agent for inducing
CC target gene alterations in living organisms or in cell cultures, where
CC the living organisms or cells of the cell cultures carry at least one or
CC more recognition sites for the site-specific DNA recombinase integrated
CC in its genome. The modified NLS is useful for enhancing cellular uptake
CC of functional biopolymers in living organisms or cell cultures. The
CC present sequence is that of a modified Simian virus 40 NLS peptide which
CC is related to the novel recombinase fusion proteins of the invention.
XX
SQ Sequence 6 AA;

ADN60211 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADD32104 standard; peptide; 8 AA.
XX
AC ADD32104;
XX
DT 15-JAN-2004 (first entry)
XX
DE (Arg)8 #SEQ ID 10.
XX
KW Antibacterial; virucide; immunoglobulin; hydrophilic peptide; complex;
KW infection; cell-penetrability; bioavailability; antimicrobial;
KW human polyclonal immunoglobulin.
XX
OS Synthetic.
XX
PN WO2003080115-A1.
XX
PD 02-OCT-2003.

XX
PF 19-MAR-2003; 2003WO-JP003377.
XX
PR 22-MAR-2002; 2002JP-00081968.
XX
PA (BIPH-) BIPHA CORP.
XX
PI Futaki S, Sugiura Y, Kameyama S, Kikuchi T;
XX
DR WPI; 2004-022537/02.
XX
PT Immunoglobulin-hydrophilic peptide complexes obtained by optional
PT attachment through divalent group, for immunoglobulin preparations in
PT drugs applicable in preventing or treating infections.
XX
PS Claim 6; SEQ ID NO 10; 40pp; Japanese.
XX
CC The invention relates to novel immunoglobulin-hydrophilic peptide
CC complexes. Also disclosed is a drug containing the immunoglobulin-
CC hydrophilic peptide complexes in which the immunoglobulin is attached to
CC a hydrophilic peptide optionally via a divalent group. The immunoglobulin
CC can be polyclonal and/or monoclonal antibodies including their whole
CC antibodies or their modified versions, or a part of them. The
CC immunoglobulin is particularly immunoglobulin (Ig)G, IgA, IgD, IgE or
CC IgM. The hydrophilic peptide can be any of the 13 polypeptides of
CC sequence IDs 1-13 ADD32095-ADD32107 with 8-29 amino acids. The complexes
CC are for immunoglobulin preparations in drugs applicable in preventing or
CC treating infections. Such complexes have high cell-penetrability and
CC bioavailability to enhance antimicrobial effect of the immunoglobulin. In
CC an example for the invention human polyclonal immunoglobulin (Ig)G was
CC coupled to N-(6- maleimidocarproyloxy)succinimide and fluorescein-5(6)-
CC carboxamidocaproic acid N- hydroxysuccinimide ester before reacting with
CC HIV-rev peptide to give an IgG-rev conjugate. Tests were carried out to
CC confirm uptake of the conjugate into Hela cells after incubation. The
CC current sequence represents a hydrophilic peptide of the invention.
XX
SQ Sequence 8 AA;

ADD32104 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ADF12139 standard; peptide; 20 AA.
XX
AC ADF12139;
XX
DT 12-FEB-2004 (first entry)
XX
DE Transfection enhancement associated cationic peptide #2.
XX
KW Eukaryotic cell transfection; transfection agent;
KW protein-nucleic acid complex; transfection enhancement.
XX
OS Unidentified.
XX
FH Key Location/Qualifiers
FT Misc-difference 2. .20
FT /note= "Optionally any or all of these amino acids may be
FT absent"
XX
PN US2003144230-A1.
XX
PD 31-JUL-2003.
XX
PF 23-JUL-2002; 2002US-00200879.
XX
PR 07-JUN-1995; 95US-00477354.
PR 04-JUN-1996; 96US-00658130.
PR 14-MAR-1997; 97US-00818200.
PR 16-MAR-1998; 98US-00039780.
PR 23-JUL-2001; 2001US-00911569.
XX
PA (HAWL/) HAWLEY-NELSON P.
PA (LANJ/) LAN J.
PA (SHIH/) SHIH P.
PA (JESS/) JESSEE J A.
PA (SCHI/) SCHIFFERLI K P.
PA (GEBE/) GEBEYEHU G.
PA (CICC/) CICCARONE V C.
PA (EVAN/) EVANS K L.
XX
PI Hawley-Nelson P, Lan J, Shih P, Jessee JA, Schifferli KP;
PI Gebeyehu G, Ciccarone VC, Evans KL;
XX
DR WPI; 2004-051098/05.
XX
PT A composition for transfecting eukaryotic cells comprises one or more
PT nucleic acid molecules, one or more peptides or proteins (e.g. insulin or
PT transferrin), and one or more transfection agents (e.g. dendrimers or
PT lipids).
XX
PS Disclosure; SEQ ID NO 5; 111pp; English.
XX
CC The present invention relates to compositions for transfecting eukaryotic
CC cells. The composition comprises one or more nucleic acid molecules, one
CC or more peptides or proteins, and one or more transfection agents (e.g.
CC lipid, cationic lipid or dendrimer). The composition is obtained by first
CC forming a peptide- or protein-nucleic acid capable of aggregating the
CC peptide- or protein-nucleic acid complex. After the complex is formed,
CC the complex is added to a mixture of a cationic lipid and a neural lipid.
CC The composition is capable of transfecting a primary cell culture, a
CC passaged cell culture or a cell line. The cell line is a human or an
CC animal cell line or is a fibroblast. At least one of the peptides and/or
CC proteins comprises multimers of the same or different peptides or
CC proteins. Additionally, the peptide and/or protein comprise one or more
CC amino acid derivatives or analogues, and 2 or more functions selected
CC from fusagenic, nuclear localisation, transport, receptor-ligand and cell
CC adhesion. The composition is a pharmaceutical, therapeutic or diagnostic
CC composition for transfecting a targeted cell or tissue and a carrier with
CC a selected therapeutic or diagnostic nucleic acid. The composition and
CC methods of the invention are useful in transfecting eukaryotic cells. The
CC present sequence represents a peptide relating to the present invention.
XX
SQ Sequence 20 AA;

ADF12139 Length: 20 September 7, 2005 16:24 Type: P Check: 7220 ..

1 RRRRRRRRRR RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADH31291 standard; peptide; 9 AA.
XX
AC ADH31291;
XX
DT 11-MAR-2004 (first entry)
XX
DE Silicon-based composite material formation method-related peptide P5.
XX
KW composite material formation; peptide derivative;
KW silicon-based composite material.
XX
OS Unidentified.
XX
PN WO2003099843-A2.
XX
PD 04-DEC-2003.
XX
PF 20-MAY-2003; 2003WO-US015859.
XX
PR 20-MAY-2002; 2002US-0381928P.
XX
PA (DOWO) DOW CORNING CORP.
PA (GEMV) GENENCOR INT INC.
XX
PI Mcauliffe JC, Bond RL, Cuevas WA;

XX
DR WPI; 2004-142730/14.
XX
PT Forming silicon-based composite materials comprises providing a peptide,
PT modifying the peptide with a functional group to form a peptide
PT derivative, and exposing the peptide derivative to a precursor containing
PT a silicon species.
XX
PS Claim 29; SEQ ID NO 7; 52pp; English.
XX
CC The invention comprises a method for forming a composite material, the
CC method involves modifying a peptide (in which at least one amino acid has
CC a polar functionality) to form a peptide derivative, and exposing the
CC peptide derivative to a precursor containing a silicon species. The
CC method of the invention is useful in forming silicon-based composite
CC materials. The present amino acid sequence represents a peptide that may
CC be used in the method of the invention.
XX
SQ Sequence 9 AA;

ADH31291 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADH76872 standard; peptide; 19 AA.
XX
AC ADH76872;
XX
DT 22-APR-2004 (first entry)
XX
DE Peptide with net positive charge, SEQ ID 5.
XX
KW Cytostatic; gene therapy; sodium iodide symporter; NIS; cancer; thyroid.
XX
OS Synthetic.
XX
PN WO2004000236-A2.
XX
PD 31-DEC-2003.
XX
PF 25-JUN-2003; 2003WO-US020111.
XX
PR 25-JUN-2002; 2002US-0391285P.
XX
PA (OHIS) UNIV OHIO STATE RES FOUND.
XX
PI Jhiang SM, Shen DH, Lin X;
XX
DR WPI; 2004-082411/08.
XX
PT New modified sodium iodide symporter (NIS) protein, useful for increasing
PT the intracellular concentration of NIS substrates in a cell, for
PT scintigraphic imaging of cells or tissues, and for treating cancer, e.g.
PT thyroid cancer.
XX
PS Disclosure; Fig 10; 46pp; English.
XX
CC The invention relates to a modified sodium iodide symporter (NIS) protein
CC having a net electrostatic charge more positive that the net
CC electrostatic charge of a wild type NIS protein, where expression of the
CC modified NIS protein in a cell results in higher intracellular levels of
CC an NIS substrate than does expression of the same amount of a wild type
CC NIS protein. The modified sodium iodide symporter (NIS) protein and NIS
CC substrate are useful for scintigraphic imaging of cells or tissues in an
CC individual, and for treating cancer, e.g. thyroid cancer. The modified
CC NIS protein can be used for increasing the intracellular concentration of
CC one or more NIS substrates in a cell. The current sequence represents a
CC peptide with a net positive charge that may be added to a wild-type NIS
CC amino acid.
XX
SQ Sequence 19 AA;

ADH76872 Length: 19 September 7, 2005 16:24 Type: P Check: 5580 ..

1 RRRRRRRRRR RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADH89694 standard; peptide; 9 AA.
XX
AC ADH89694;
XX
DT 22-APR-2004 (first entry)
XX
DE Cell penetrating peptide (CPP) identification method-related peptide 8.
XX
KW cell-penetrating peptide; CPP; bulk property value Z-E; Z-E1; Z-E2; Z-E3;
KW Z-E4; Z-E5; antidiabetic; neuroprotective; nootropic; antiparkinsonian;
KW cardiant; cytostatic; tranquiliser; immunosuppressive; antidepressant;
KW anticonvulsant; antiinflammatory; analgesic; neuroleptic;
KW ophthalmological; antiulcer; cell-penetration; infectious disease;
KW diabetes type I; diabetes type II; Alzheimer's disease;
KW Parkinson's disease; cancer; prion disease; cardiovascular disease;
KW signal transduction.
XX
OS Unidentified.
XX
PN WO2003106491-A2.
XX
PD 24-DEC-2003.
XX
PF 18-JUN-2003; 2003WO-IB003163.
XX
PR 18-JUN-2002; 2002SE-00001863.
PR 25-JUN-2002; 2002US-0391788P.
XX
PA (CEPE-) CEPEP AB.
XX
PI Haellbrink M, Pooga M, Metsis M, Kogerman P, Valkna A, Meikas A;
PI Lindgren M, Graeslund A, Eriksson G, Oestensson CG, Budihna M;
PI Zorko M, Elmquist A, Soomets U, Lundberg P, Jaerver P, Saar K;
PI El-Andaloussi S, Kilk K, Langel U;
XX
DR WPI; 2004-090832/09.
XX
PT Predicting, designing, detecting, and/or verifying novel cell-penetrating
PT peptide based on assessment of bulk property value of sequences of cell-
PT penetrating peptide.
XX
PS Example 11; Page 15; 148pp; English.
XX
CC This invention relates to a novel method of identifying, designing,
CC detecting, and/or verifying novel cell-penetrating peptide (CPP) based on
CC assessment of bulk property value Z-E of sequences of CPP comprising 5 or
CC more individual average interval values Z-E1, Z-E2, Z-E3, Z-E4 and Z-E5,
CC where Z-E1, Z-E2, Z-E3, Z-E4 and Z-E5 are average values of the
CC respective descriptor values for the residues in the amino acid sequence.
CC The invention may be useful for the development of compounds with an
CC antidiabetic, neuroprotective, nootropic, antiparkinsonian, cardiant,
CC cytostatic, tranquiliser, immunosuppressive, antidepressant,
CC anticonvulsant, antiinflammatory, analgesic, neuroleptic,
CC ophthalmological or antiulcer activity as a stimulator of cell-
CC penetration. The method of the invention is useful for identifying a cell
CC -penetrating peptide or protein and/or a cell-penetrating fragment of a
CC peptide or protein. In addition, the invention may be useful for checking
CC cellular penetration properties of a peptide, for producing a cell-
CC penetrating and functional protein-mimicking peptide and for de novo
CC design and production of an artificial cell-penetrating and/or and
CC artificial cell-penetrating and functional protein-mimicking peptide.
CC Compositions developed within the scope of the present invention may be
CC useful for treating infectious diseases, diabetes type I, diabetes type
CC II, Alzheimer's disease, Parkinson's diseases, cancer, prion disease,
CC cardiovascular disease or disorders resulting from perturbed signal
CC transduction. The method of the invention is fast, efficient and reliable
CC for identifying, detecting, designing CPPs and for screening cellular
CC uptake of a broad variety of CPPs in vitro and in vivo. The present

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CC   sequence is that of a peptide which was used in the exemplification of
CC   the invention.
XX
SQ   Sequence 9 AA;

 ADH89694  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADM68208 standard; peptide; 9 AA.
XX
AC   ADM68208;
XX
DT   03-JUN-2004  (first entry)
XX
DE   Inositol sensor transit , R9.
XX
KW   inositol sensor; inositol-1,4,5 triphosphoric acid; IP 3;
KW   inositol triphosphoric acid; proteinic analysis; cell function;
KW   concentration.
XX
OS   Unidentified.
XX
PN   JP2004057015-A.
XX
PD   26-FEB-2004.
XX
PF   24-JUL-2002; 2002JP-00215798.
XX
PR   24-JUL-2002; 2002JP-00215798.
XX
PA   (KAGA-) KAGAKU GIJUTSU SHINKO JIGYODAN.
XX
DR   WPI; 2004-287072/27.
XX
PT   Inositol sensor, has peptide having domain for inositol-1,4,5
PT   triphosphoric acid, amino acid of domain that does not directly bind
PT   inositol-1,4,5 triphosphoric acid is modified bind labeling substance.
XX
PS   Disclosure; Page; 18pp; Japanese.
XX
CC   The invention relates to a novel inositol sensor. The sensor comprises a
CC   peptide having a domain which binds with inositol-1,4,5 triphosphoric
CC   acid (IP 3), where at least one amino acid of the domain that does not
CC   have direct influence on binding IP 3 is modified to have binding site
CC   for binding a labelling substance, the labelling substance is coupled
CC   with binding site of amino acid having binding site which can bind
CC   labelling substance, where the label state of the labelling substance
CC   changes on binding with IP 3 and domain. The inositol sensor is useful
CC   for measuring inositol triphosphoric acid. The inositol sensor is also
CC   useful for measuring an agonist and antagonist of a compound, for
CC   performing proteinic analysis and cell function analysis. The inositol
CC   sensor provides real-time measurement of an inositol triphosphoric
CC   concentration. This sequence represents an inositol sensor transit
CC   peptide of the invention.
XX
SQ   Sequence 9 AA;

 ADM68208  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADM68207 standard; peptide; 7 AA.
XX
AC   ADM68207;
XX
DT   03-JUN-2004  (first entry)
XX
DE   Inositol sensor transit , R7.
XX
KW   inositol sensor; inositol-1,4,5 triphosphoric acid; IP 3;
KW   inositol triphosphoric acid; proteinic analysis; cell function;
KW   concentration.
XX
OS   Unidentified.
XX
PN   JP2004057015-A.
XX
PD   26-FEB-2004.
XX
PF   24-JUL-2002; 2002JP-00215798.
XX
PR   24-JUL-2002; 2002JP-00215798.
XX
PA   (KAGA-) KAGAKU GIJUTSU SHINKO JIGYODAN.
XX
DR   WPI; 2004-287072/27.
XX
PT   Inositol sensor, has peptide having domain for inositol-1,4,5
PT   triphosphoric acid, amino acid of domain that does not directly bind
PT   inositol-1,4,5 triphosphoric acid is modified bind labeling substance.
XX
PS   Disclosure; Page; 18pp; Japanese.
XX
CC   The invention relates to a novel inositol sensor. The sensor comprises a
CC   peptide having a domain which binds with inositol-1,4,5 triphosphoric
CC   acid (IP 3), where at least one amino acid of the domain that does not
CC   have direct influence on binding IP 3 is modified to have binding site
CC   for binding a labelling substance, the labelling substance is coupled
CC   with binding site of amino acid having binding site which can bind
CC   labelling substance, where the label state of the labelling substance
CC   changes on binding with IP 3 and domain. The inositol sensor is useful
CC   for measuring inositol triphosphoric acid. The inositol sensor is also
CC   useful for measuring an agonist and antagonist of a compound, for
CC   performing proteinic analysis and cell function analysis. The inositol
CC   sensor provides real-time measurement of an inositol triphosphoric
CC   concentration. This sequence represents an inositol sensor transit
CC   peptide of the invention.
XX
SQ   Sequence 7 AA;

 ADM68207  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

       1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   ADL99099 standard; peptide; 8 AA.
XX
AC   ADL99099;
XX
DT   03-JUN-2004  (first entry)
XX
DE   CFTR internalising transduction domain peptide 8R SEQ ID NO:7.
XX
KW   cystic fibrosis trans-membrane conductance regulator; CFTR; CNS;
KW   respiratory; chaperone antagonist; chloride agonist;
KW   CFTR channel activity enhancer; genetic defect; cystic fibrosis;
KW   internalising peptide; transduction domain.
XX
OS   Synthetic.
XX
PN   WO2004020596-A2.
XX
PD   11-MAR-2004.
XX
PF   28-AUG-2003; 2003WO-US027110.
XX
PR   30-AUG-2002; 2002US-0407461P.
XX
PA   (UYPI-) UNIV PITTSBURGH.
XX
PI   Robbins PD,  Frizzell R,  Mi Z,  Sun F;
XX
DR   WPI; 2004-294823/27.
```

XX
PT New cystic fibrosis trans-membrane conductance regulator (CFTR)
PT polypeptide, useful for enhancing CFTR channel activity in an epithelial
PT cell expressing a mutant CFTR, or for treating cystic fibrosis.
XX
PS Claim 22; SEQ ID NO 7; 48pp; English.
XX
CC The present invention describes a cystic fibrosis trans-membrane
CC conductance regulator (CFTR) polypeptide comprising amino acid sequences
CC capable of binding to a molecular chaperone and enhancing CFTR channel
CC activity when present in a cell expressing a mutant CFTR. Also described:
CC (1) methods of enhancing CFTR channel activity in an epithelial cell
CC expressing a mutant CFTR comprising transducing or recombinantly
CC expressing, in the cell, a CFTR polypeptide capable of binding to a
CC molecular chaperone; and (2) methods for enhancing mutant CFTR channel
CC activity in a cell comprising contacting the cell with an inhibitor of
CC molecular chaperone activity or expression. CFTR polypeptides have CNS
CC and respiratory activities, and can be used as a chaperone antagonist and
CC chloride agonist. The CFTR polypeptides are useful for enhancing CFTR
CC channel activity in an epithelial cell expressing a mutant CFTR, or
CC restoring channel activity in cystic fibrosis subjects carrying genetic
CC defects in the CFTR gene. The CFTR polypeptides can also be used for
CC treating cystic fibrosis. The present sequence represents an
CC internalising transduction domain peptide which can make up part of a
CC CFTR polypeptide.
XX
SQ Sequence 8 AA;

ADL99099 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ADL99101 standard; peptide; 12 AA.
XX
AC ADL99101;
XX
DT 03-JUN-2004 (first entry)
XX
DE CFTR internalising transduction domain peptide 12R SEQ ID NO:9.
XX
KW cystic fibrosis trans-membrane conductance regulator; CFTR; CNS;
KW respiratory; chaperone antagonist; chloride agonist;
KW CFTR channel activity enhancer; genetic defect; cystic fibrosis;
KW internalising peptide; transduction domain.
XX
OS Synthetic.
XX
PN WO2004020596-A2.
XX
PD 11-MAR-2004.
XX
PF 28-AUG-2003; 2003WO-US027110.
XX
PR 30-AUG-2002; 2002US-0407461P.
XX
PA (UYPI-) UNIV PITTSBURGH.
XX
PI Robbins PD, Frizzell R, Mi Z, Sun F;
XX
DR WPI; 2004-294823/27.
XX
PT New cystic fibrosis trans-membrane conductance regulator (CFTR)
PT polypeptide, useful for enhancing CFTR channel activity in an epithelial
PT cell expressing a mutant CFTR, or for treating cystic fibrosis.
XX
PS Claim 22; SEQ ID NO 9; 48pp; English.
XX
CC The present invention describes a cystic fibrosis trans-membrane
CC conductance regulator (CFTR) polypeptide comprising amino acid sequences
CC capable of binding to a molecular chaperone and enhancing CFTR channel
CC activity when present in a cell expressing a mutant CFTR. Also described:
CC (1) methods of enhancing CFTR channel activity in an epithelial cell
CC expressing a mutant CFTR comprising transducing or recombinantly
CC expressing, in the cell, a CFTR polypeptide capable of binding to a
CC molecular chaperone; and (2) methods for enhancing mutant CFTR channel
CC activity in a cell comprising contacting the cell with an inhibitor of
CC molecular chaperone activity or expression. CFTR polypeptides have CNS
CC and respiratory activities, and can be used as a chaperone antagonist and
CC chloride agonist. The CFTR polypeptides are useful for enhancing CFTR
CC channel activity in an epithelial cell expressing a mutant CFTR, or
CC restoring channel activity in cystic fibrosis subjects carrying genetic
CC defects in the CFTR gene. The CFTR polypeptides can also be used for
CC treating cystic fibrosis. The present sequence represents an
CC internalising transduction domain peptide which can make up part of a
CC CFTR polypeptide.
XX
SQ Sequence 12 AA;

ADL99101 Length: 12 September 7, 2005 16:24 Type: P Check: 6396 ..

1 RRRRRRRRRR RR

!!AA_SEQUENCE 1.0
ID ADL99100 standard; peptide; 10 AA.
XX
AC ADL99100;
XX
DT 03-JUN-2004 (first entry)
XX
DE CFTR internalising transduction domain peptide 10R SEQ ID NO:8.
XX
KW cystic fibrosis trans-membrane conductance regulator; CFTR; CNS;
KW respiratory; chaperone antagonist; chloride agonist;
KW CFTR channel activity enhancer; genetic defect; cystic fibrosis;
KW internalising peptide; transduction domain.
XX
OS Synthetic.
XX
PN WO2004020596-A2.
XX
PD 11-MAR-2004.
XX
PF 28-AUG-2003; 2003WO-US027110.
XX
PR 30-AUG-2002; 2002US-0407461P.
XX
PA (UYPI-) UNIV PITTSBURGH.
XX
PI Robbins PD, Frizzell R, Mi Z, Sun F;
XX
DR WPI; 2004-294823/27.
XX
PT New cystic fibrosis trans-membrane conductance regulator (CFTR)
PT polypeptide, useful for enhancing CFTR channel activity in an epithelial
PT cell expressing a mutant CFTR, or for treating cystic fibrosis.
XX
PS Claim 22; SEQ ID NO 8; 48pp; English.
XX
CC The present invention describes a cystic fibrosis trans-membrane
CC conductance regulator (CFTR) polypeptide comprising amino acid sequences
CC capable of binding to a molecular chaperone and enhancing CFTR channel
CC activity when present in a cell expressing a mutant CFTR. Also described:
CC (1) methods of enhancing CFTR channel activity in an epithelial cell
CC expressing a mutant CFTR comprising transducing or recombinantly
CC expressing, in the cell, a CFTR polypeptide capable of binding to a
CC molecular chaperone; and (2) methods for enhancing mutant CFTR channel
CC activity in a cell comprising contacting the cell with an inhibitor of
CC molecular chaperone activity or expression. CFTR polypeptides have CNS
CC and respiratory activities, and can be used as a chaperone antagonist and
CC chloride agonist. The CFTR polypeptides are useful for enhancing CFTR
CC channel activity in an epithelial cell expressing a mutant CFTR, or
CC restoring channel activity in cystic fibrosis subjects carrying genetic
CC defects in the CFTR gene. The CFTR polypeptides can also be used for
CC treating cystic fibrosis. The present sequence represents an
CC internalising transduction domain peptide which can make up part of a

```
CC   CFTR polypeptide.
XX
SQ   Sequence 10 AA;

ADL99100  Length: 10  September 7, 2005 16:24  Type: P  Check: 4510  ..

       1  RRRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADL99098 standard; peptide; 6 AA.
XX
AC   ADL99098;
XX
DT   03-JUN-2004  (first entry)
XX
DE   CFTR internalising transduction domain peptide 6R SEQ ID NO:6.
XX
KW   cystic fibrosis trans-membrane conductance regulator; CFTR; CNS;
KW   respiratory; chaperone antagonist; chloride agonist;
KW   CFTR channel activity enhancer; genetic defect; cystic fibrosis;
KW   internalising peptide; transduction domain.
XX
OS   Synthetic.
XX
PN   WO2004020596-A2.
XX
PD   11-MAR-2004.
XX
PF   28-AUG-2003; 2003WO-US027110.
XX
PR   30-AUG-2002; 2002US-0407461P.
XX
PA   (UYPI-) UNIV PITTSBURGH.
XX
PI   Robbins PD,  Frizzell R,  Mi Z,  Sun F;
XX
DR   WPI; 2004-294823/27.
XX
PT   New cystic fibrosis trans-membrane conductance regulator (CFTR)
PT   polypeptide, useful for enhancing CFTR channel activity in an epithelial
PT   cell expressing a mutant CFTR, or for treating cystic fibrosis.
XX
PS   Claim 22; SEQ ID NO 6; 48pp; English.
XX
CC   The present invention describes a cystic fibrosis trans-membrane
CC   conductance regulator (CFTR) polypeptide comprising amino acid sequences
CC   capable of binding to a molecular chaperone and enhancing CFTR channel
CC   activity when present in a cell expressing a mutant CFTR. Also described:
CC   (1) methods of enhancing CFTR channel activity in an epithelial cell
CC   expressing a mutant CFTR comprising transducing or recombinantly
CC   expressing, in the cell, a CFTR polypeptide capable of binding to a
CC   molecular chaperone; and (2) methods for enhancing mutant CFTR channel
CC   activity in a cell comprising contacting the cell with an inhibitor of
CC   molecular chaperone activity or expression. CFTR polypeptides have CNS
CC   and respiratory activities, and can be used as a chaperone antagonist and
CC   chloride agonist. The CFTR polypeptides are useful for enhancing CFTR
CC   channel activity in an epithelial cell expressing a mutant CFTR, or
CC   restoring channel activity in cystic fibrosis subjects carrying genetic
CC   defects in the CFTR gene. The CFTR polypeptides can also be used for
CC   treating cystic fibrosis. The present sequence represents an
CC   internalising transduction domain peptide which can make up part of a
CC   CFTR polypeptide.
XX
SQ   Sequence 6 AA;

 ADL99098  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ADM06873 standard; peptide; 9 AA.
XX
AC   ADM06873;
XX
DT   17-JUN-2004  (first entry)
XX
DE   Polyarginine peptide for transmembrane transport of PNAs.
XX
KW   Glycosylated PNA monomer; peptide nucleic acid; PNA; antisense;
KW   targeting; uptake; cell-specific; tissue-specific;
KW   pharmacokinetic behaviour; infection; bacterial; viral; protozoal;
KW   fungal; cancer; metabolic disease; cardiovascular disease;
KW   autoimmune disorder; immunological disorder; disinfectant; antibacterial;
KW   virucide; protozoacide; fungicide; cytostatic; immunosuppressive;
KW   transmembrane transport; transporter peptide.
XX
OS   Synthetic.
XX
PN   WO2004024757-A2.
XX
PD   25-MAR-2004.
XX
PF   11-SEP-2003; 2003WO-DK000588.
XX
PR   11-SEP-2002; 2002DK-00001334.
PR   19-NOV-2002; 2002DK-00001786.
PR   20-DEC-2002; 2002DK-00001956.
PR   16-APR-2003; 2003DK-00000600.
XX
PA   (SANT-) SANTARIS PHARMA AS.
XX
PI   Rasmussen P,  Frandsen NM,  Nyborg M,  Rasmussen FW,  Hamzavi R;
PI   Nielsen PE,  Kjaerulff S;
XX
DR   WPI; 2004-329446/30.
XX
PT   Novel modified peptide nucleic acid monomer, useful for treating
PT   bacterial, viral, and fungal infections, cancer and cardiovascular
PT   disease.
XX
PS   Disclosure; Page 3; 112pp; English.
XX
CC   The invention relates to glycosylated peptide nucleic acid (PNA)
CC   monomers. The glycosylated PNA monomers may be incorporated into
CC   antisense PNA oligomers to improve the cell and/or organ-specific uptake
CC   of PNAs and hence their pharmacokinetic behaviour. The PNA monomers and
CC   PNA oligomers constructed using them are useful in the treatment or
CC   prevention of bacterial, viral, protozoal and fungal infections, cancer,
CC   metabolic diseases, cardiovascular diseases, autoimmune and immunological
CC   disorders. They are also useful for disinfecting non-living objects, such
CC   as tools used in surgery and dentistry and equipment used in
CC   slaughterhouses, in the dairy industry, and in the hair and beauty
CC   industries. The present sequence represents a peptide for transmembrane
CC   transport of PNAs which is referred to in the invention.
XX
SQ   Sequence 9 AA;

 ADM06873  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADN48982 standard; peptide; 8 AA.
XX
AC   ADN48982;
XX
DT   01-JUL-2004  (first entry)
XX
DE   Leader sequence #2 useful for fusion to peptide from human p53 protein.
XX
KW   Lethal peptide; malignant cell; transformed cell; mammalian;
KW   membrane-penetrating leader sequence; cell death; neoplastic cell;
KW   cytostatic; leader sequence.
XX
OS   Synthetic.
XX
```

PN US2004038902-A1.
XX
PD 26-FEB-2004.
XX
PF 12-MAR-2003; 2003US-00386737.
XX
PR 05-APR-2000; 2000US-0195102P.
PR 05-APR-2001; 2001US-00827683.
PR 12-MAR-2002; 2002US-0363785P.
XX
PA (PINC/) PINCUS M R.
XX
PI Pincus MR;
XX
DR WPI; 2004-203289/19.
XX
PT New peptide fused to membrane-penetrating leader sequence and is
PT selectively lethal to malignant or transformed cells, useful for treating
PT neoplastic or malignant cells, e.g. cancer cells.
XX
PS Disclosure; SEQ ID NO 26; 9pp; English.
XX
CC The present invention relates to peptides that are selectively lethal to
CC malignant and transformed mammalian cells when fused to a membrane-
CC penetrating leader sequence. The peptides are derived from the human p53
CC protein. Also disclosed are (i) a pharmaceutical composition comprising
CC at least one of the peptides or its analogues or derivatives admixed with
CC a pharmaceutical carrier, and (ii) a method of selectively killing
CC malignant or neoplastic cells in a subject. The leader sequence is
CC preferably located at the carboxy terminal end of the peptide, its
CC analogue or derivative. The leader sequence comprises predominantly
CC positively charged amino acid residues. The leader sequence is at least
CC one of penetratin, Arg8, TAT of HIVI, D-TAT, R-TAT, SV40-NLS,
CC micleoplasmin-NLS, HIV REV, FHV coat, BMV GAG, HTLV-II (REX), CCMV GAG,
CC P22N, Lambda N, Delta N, yeast PRP6, human U2AF, human C-FOS, human C-
CC JUN, yeast GCN4 or p-vec. Selectively killing malignant or neoplastic
CC cells in a subject comprises administering to the subject an amount of
CC the peptide, where a membrane-penetrating leader sequence is fused to the
CC carboxy terminal of the peptide, its analogue or derivative. The present
CC sequence represents a leader sequence useful for fusion to the peptide of
CC the invention.
XX
SQ Sequence 8 AA;

ADN48982 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

!!AA_SEQUENCE 1.0
ID ADO26623 standard; peptide; 6 AA.
XX
AC ADO26623;
XX
DT 12-AUG-2004 (first entry)
XX
DE Synthetic leader sequence SEQ ID NO:16.
XX
KW phenotype; phenotypic preference; phenotype modulation; leader.
XX
OS Synthetic.
XX
PN WO2004042059-A1.
XX
PD 21-MAY-2004.
XX
PF 10-NOV-2003; 2003WO-AU001487.
XX
PR 08-NOV-2002; 2002US-0425163P.
XX
PA (UYQU) UNIV QUEENSLAND.
XX
PI Frazer IH;
XX
DR WPI; 2004-411519/38.
DR N-PSDB; ADO26622.
XX
PT Constructing synthetic polynucleotide for modulating the quality of a
PT selected phenotype displayed by an organism comprises replacing a first
PT codon with a synonymous codon to construct the synthetic polynucleotide.
XX
PS Example 1; SEQ ID NO 16; 86pp; English.
XX
CC The present invention describes a method for constructing a synthetic
CC polynucleotide from which a polypeptide is producible to confer a
CC selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. The method comprises: (a) selecting a first codon of
CC the parent polynucleotide for replacement with a synonymous codon, where
CC the synonymous codon is selected on the basis that it exhibits a
CC different phenotypic preference than the first codon in a comparison of
CC phenotypic preferences in test organisms or parts, where the test
CC organism are selected from organisms of the same species as the organism
CC of interest and organisms that are related to the organisms of interest;
CC and (b) replacing the first codon with the synonymous codon to construct
CC the synthetic polynucleotide. Also described: (1) a method for
CC determining the phenotypic preference of a first codon in an organism of
CC interest or its parts; (2) a synthetic polynucleotide constructed from
CC the method above; (3) an organism or interest or part containing a
CC synthetic polynucleotide constructed from the method above; (4) an
CC organism or interest or part containing a synthetic construct that
CC comprises a regulatory polynucleotide operably linked to a tandem repeat
CC of a first codon fused in frame with a reporter polynucleotide that
CC encodes a reporter protein, which produces, or is predicted to produce a
CC selected phenotype or a phenotype of the same class as the selected
CC phenotype in the organism or part; (5) a method of modulating the quality
CC of a selected phenotype that is displayed by an organism of interest or
CC part and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; (6) a method of enhancing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; and (7) a method of reducing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide. The method is useful for constructing a
CC synthetic polynucleotide from which a polypeptide is producible to confer
CC a selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. It is useful for modulating the quality of a selected
CC phenotype displayed by an organism or part. The present sequence
CC represents a synthetic leader sequence, which is used in an example from
CC the present invention.
XX
SQ Sequence 6 AA;

ADO26623 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADO26629 standard; peptide; 6 AA.
XX
AC ADO26629;
XX
DT 12-AUG-2004 (first entry)
XX
DE Synthetic leader sequence SEQ ID NO:22.
XX
KW phenotype; phenotypic preference; phenotype modulation; leader.
XX
OS Synthetic.
XX
PN WO2004042059-A1.
XX
PD 21-MAY-2004.
XX
PF 10-NOV-2003; 2003WO-AU001487.

XX
PR 08-NOV-2002; 2002US-0425163P.
XX
PA (UYQU) UNIV QUEENSLAND.
XX
PI Frazer IH;
XX
DR WPI; 2004-411519/38.
DR N-PSDB; ADO26628.
XX
PT Constructing synthetic polynucleotide for modulating the quality of a
PT selected phenotype displayed by an organism comprises replacing a first
PT codon with a synonymous codon to construct the synthetic polynucleotide.
XX
PS Example 1; SEQ ID NO 22; 86pp; English.
XX
CC The present invention describes a method for constructing a synthetic
CC polynucleotide from which a polypeptide is producible to confer a
CC selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. The method comprises: (a) selecting a first codon of
CC the parent polynucleotide for replacement with a synonymous codon, where
CC the synonymous codon is selected on the basis that it exhibits a
CC different phenotypic preference than the first codon in a comparison of
CC phenotypic preferences in test organisms or parts, where the test
CC organism are selected from organisms of the same species as the organism
CC of interest and organisms that are related to the organisms of interest;
CC and (b) replacing the first codon with the synonymous codon to construct
CC the synthetic polynucleotide. Also described: (1) a method for
CC determining the phenotypic preference of a first codon in an organism of
CC interest or its parts; (2) a synthetic polynucleotide constructed from
CC the method above; (3) an organism or interest or part containing a
CC synthetic polynucleotide constructed from the method above; (4) an
CC organism or interest or part containing a synthetic construct that
CC comprises a regulatory polynucleotide operably linked to a tandem repeat
CC of a first codon fused in frame with a reporter polynucleotide that
CC encodes a reporter protein, which produces, or is predicted to produce a
CC selected phenotype or a phenotype of the same class as the selected
CC phenotype in the organism or part; (5) a method of modulating the quality
CC of a selected phenotype that is displayed by an organism of interest or
CC part and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; (6) a method of enhancing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; and (7) a method of reducing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide. The method is useful for constructing a
CC synthetic polynucleotide from which a polypeptide is producible to confer
CC a selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. It is useful for modulating the quality of a selected
CC phenotype displayed by an organism or part. The present sequence
CC represents a synthetic leader sequence, which is used in an example from
CC the present invention.
XX
SQ Sequence 6 AA;

ADO26629 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADO26621 standard; peptide; 6 AA.
XX
AC ADO26621;
XX
DT 12-AUG-2004 (first entry)
XX
DE Synthetic leader sequence SEQ ID NO:14.
XX
KW phenotype; phenotypic preference; phenotype modulation; leader.
XX
OS Synthetic.
XX
PN WO2004042059-A1.
XX
PD 21-MAY-2004.
XX
PF 10-NOV-2003; 2003WO-AU001487.
XX
PR 08-NOV-2002; 2002US-0425163P.
XX
PA (UYQU) UNIV QUEENSLAND.
XX
PI Frazer IH;
XX
DR WPI; 2004-411519/38.
DR N-PSDB; ADO26620.
XX
PT Constructing synthetic polynucleotide for modulating the quality of a
PT selected phenotype displayed by an organism comprises replacing a first
PT codon with a synonymous codon to construct the synthetic polynucleotide.
XX
PS Example 1; SEQ ID NO 14; 86pp; English.
XX
CC The present invention describes a method for constructing a synthetic
CC polynucleotide from which a polypeptide is producible to confer a
CC selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. The method comprises: (a) selecting a first codon of
CC the parent polynucleotide for replacement with a synonymous codon, where
CC the synonymous codon is selected on the basis that it exhibits a
CC different phenotypic preference than the first codon in a comparison of
CC phenotypic preferences in test organisms or parts, where the test
CC organism are selected from organisms of the same species as the organism
CC of interest and organisms that are related to the organisms of interest;
CC and (b) replacing the first codon with the synonymous codon to construct
CC the synthetic polynucleotide. Also described: (1) a method for
CC determining the phenotypic preference of a first codon in an organism of
CC interest or its parts; (2) a synthetic polynucleotide constructed from
CC the method above; (3) an organism or interest or part containing a
CC synthetic polynucleotide constructed from the method above; (4) an
CC organism or interest or part containing a synthetic construct that
CC comprises a regulatory polynucleotide operably linked to a tandem repeat
CC of a first codon fused in frame with a reporter polynucleotide that
CC encodes a reporter protein, which produces, or is predicted to produce a
CC selected phenotype or a phenotype of the same class as the selected
CC phenotype in the organism or part; (5) a method of modulating the quality
CC of a selected phenotype that is displayed by an organism of interest or
CC part and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; (6) a method of enhancing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; and (7) a method of reducing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide. The method is useful for constructing a
CC synthetic polynucleotide from which a polypeptide is producible to confer
CC a selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. It is useful for modulating the quality of a selected
CC phenotype displayed by an organism or part. The present sequence
CC represents a synthetic leader sequence, which is used in an example from
CC the present invention.
XX
SQ Sequence 6 AA;

ADO26621 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADO26619 standard; peptide; 6 AA.
XX
AC ADO26619;

XX
DT 12-AUG-2004 (first entry)
XX
DE Synthetic leader sequence SEQ ID NO:12.
XX
KW phenotype; phenotypic preference; phenotype modulation; leader.
XX
OS Synthetic.
XX
PN WO2004042059-A1.
XX
PD 21-MAY-2004.
XX
PF 10-NOV-2003; 2003WO-AU001487.
XX
PR 08-NOV-2002; 2002US-0425163P.
XX
PA (UYQU) UNIV QUEENSLAND.
XX
PI Frazer IH;
XX
DR WPI; 2004-411519/38.
DR N-PSDB; ADO26618.
XX
PT Constructing synthetic polynucleotide for modulating the quality of a
PT selected phenotype displayed by an organism comprises replacing a first
PT codon with a synonymous codon to construct the synthetic polynucleotide.
XX
PS Example 1; SEQ ID NO 12; 86pp; English.
XX
CC The present invention describes a method for constructing a synthetic
CC polynucleotide from which a polypeptide is producible to confer a
CC selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. The method comprises: (a) selecting a first codon of
CC the parent polynucleotide for replacement with a synonymous codon, where
CC the synonymous codon is selected on the basis that it exhibits a
CC different phenotypic preference than the first codon in a comparison of
CC phenotypic preferences in test organisms or parts, where the test
CC organism are selected from organisms of the same species as the organism
CC of interest and organisms that are related to the organisms of interest;
CC and (b) replacing the first codon with the synonymous codon to construct
CC the synthetic polynucleotide. Also described: (1) a method for
CC determining the phenotypic preference of a first codon in an organism of
CC interest or its parts; (2) a synthetic polynucleotide constructed from
CC the method above; (3) an organism or interest or part containing a
CC synthetic polynucleotide constructed from the method above; (4) an
CC organism or interest or part containing a synthetic construct that
CC comprises a regulatory polynucleotide operably linked to a tandem repeat
CC of a first codon fused in frame with a reporter polynucleotide that
CC encodes a reporter protein, which produces, or is predicted to produce a
CC selected phenotype or a phenotype of the same class as the selected
CC phenotype in the organism or part; (5) a method of modulating the quality
CC of a selected phenotype that is displayed by an organism of interest or
CC part and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; (6) a method of enhancing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; and (7) a method of reducing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide. The method is useful for constructing a
CC synthetic polynucleotide from which a polypeptide is producible to confer
CC a selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. It is useful for modulating the quality of a selected
CC phenotype displayed by an organism or part. The present sequence
CC represents a synthetic leader sequence, which is used in an example from
CC the present invention.
XX
SQ Sequence 6 AA;

ADO26619 Length: 6 September 7, 2005 16:24 Type: P Check: 1722 ..

1 RRRRRR

!!AA_SEQUENCE 1.0
ID ADO26625 standard; peptide; 6 AA.
XX
AC ADO26625;
XX
DT 12-AUG-2004 (first entry)
XX
DE Synthetic leader sequence SEQ ID NO:18.
XX
KW phenotype; phenotypic preference; phenotype modulation; leader.
XX
OS Synthetic.
XX
PN WO2004042059-A1.
XX
PD 21-MAY-2004.
XX
PF 10-NOV-2003; 2003WO-AU001487.
XX
PR 08-NOV-2002; 2002US-0425163P.
XX
PA (UYQU) UNIV QUEENSLAND.
XX
PI Frazer IH;
XX
DR WPI; 2004-411519/38.
DR N-PSDB; ADO26624.
XX
PT Constructing synthetic polynucleotide for modulating the quality of a
PT selected phenotype displayed by an organism comprises replacing a first
PT codon with a synonymous codon to construct the synthetic polynucleotide.
XX
PS Example 1; SEQ ID NO 18; 86pp; English.
XX
CC The present invention describes a method for constructing a synthetic
CC polynucleotide from which a polypeptide is producible to confer a
CC selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. The method comprises: (a) selecting a first codon of
CC the parent polynucleotide for replacement with a synonymous codon, where
CC the synonymous codon is selected on the basis that it exhibits a
CC different phenotypic preference than the first codon in a comparison of
CC phenotypic preferences in test organisms or parts, where the test
CC organism are selected from organisms of the same species as the organism
CC of interest and organisms that are related to the organisms of interest;
CC and (b) replacing the first codon with the synonymous codon to construct
CC the synthetic polynucleotide. Also described: (1) a method for
CC determining the phenotypic preference of a first codon in an organism of
CC interest or its parts; (2) a synthetic polynucleotide constructed from
CC the method above; (3) an organism or interest or part containing a
CC synthetic polynucleotide constructed from the method above; (4) an
CC organism or interest or part containing a synthetic construct that
CC comprises a regulatory polynucleotide operably linked to a tandem repeat
CC of a first codon fused in frame with a reporter polynucleotide that
CC encodes a reporter protein, which produces, or is predicted to produce a
CC selected phenotype or a phenotype of the same class as the selected
CC phenotype in the organism or part; (5) a method of modulating the quality
CC of a selected phenotype that is displayed by an organism of interest or
CC part and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; (6) a method of enhancing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide; and (7) a method of reducing the quality of a
CC selected phenotype that is displayed by an organism of interest or part
CC and that results from the expression of a parent polynucleotide that
CC encodes the polypeptide. The method is useful for constructing a
CC synthetic polynucleotide from which a polypeptide is producible to confer
CC a selected phenotype to an organism of interest or part in a different
CC quality than that conferred by a parent polynucleotide that encodes the
CC same polypeptide. It is useful for modulating the quality of a selected

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CC   phenotype displayed by an organism or part. The present sequence
CC   represents a synthetic leader sequence, which is used in an example from
CC   the present invention.
XX
SQ   Sequence 6 AA;

 ADO26625  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ADO26627 standard; peptide; 6 AA.
XX
AC   ADO26627;
XX
DT   12-AUG-2004  (first entry)
XX
DE   Synthetic leader sequence SEQ ID NO:20.
XX
KW   phenotype; phenotypic preference; phenotype modulation; leader.
XX
OS   Synthetic.
XX
PN   WO2004042059-A1.
XX
PD   21-MAY-2004.
XX
PF   10-NOV-2003; 2003WO-AU001487.
XX
PR   08-NOV-2002; 2002US-0425163P.
XX
PA   (UYQU ) UNIV QUEENSLAND.
XX
PI   Frazer IH;
XX
DR   WPI; 2004-411519/38.
DR   N-PSDB; ADO26626.
XX
PT   Constructing synthetic polynucleotide for modulating the quality of a
PT   selected phenotype displayed by an organism comprises replacing a first
PT   codon with a synonymous codon to construct the synthetic polynucleotide.
XX
PS   Example 1; SEQ ID NO 20; 86pp; English.
XX
CC   The present invention describes a method for constructing a synthetic
CC   polynucleotide from which a polypeptide is producible to confer a
CC   selected phenotype to an organism of interest or part in a different
CC   quality than that conferred by a parent polynucleotide that encodes the
CC   same polypeptide. The method comprises: (a) selecting a first codon of
CC   the parent polynucleotide for replacement with a synonymous codon, where
CC   the synonymous codon is selected on the basis that it exhibits a
CC   different phenotypic preference than the first codon in a comparison of
CC   phenotypic preferences in test organisms or parts, where the test
CC   organism are selected from organisms of the same species as the organism
CC   of interest and organisms that are related to the organisms of interest;
CC   and (b) replacing the first codon with the synonymous codon to construct
CC   the synthetic polynucleotide. Also described: (1) a method for
CC   determining the phenotypic preference of a first codon in an organism of
CC   interest or its parts; (2) a synthetic polynucleotide constructed from
CC   the method above; (3) an organism or interest or part containing a
CC   synthetic polynucleotide constructed from the method above; (4) an
CC   organism or interest or part containing a synthetic construct that
CC   comprises a regulatory polynucleotide operably linked to a tandem repeat
CC   of a first codon fused in frame with a reporter polynucleotide that
CC   encodes a reporter protein, which produces, or is predicted to produce a
CC   selected phenotype or a phenotype of the same class as the selected
CC   phenotype in the organism or part; (5) a method of modulating the quality
CC   of a selected phenotype that is displayed by an organism of interest or
CC   part and that results from the expression of a parent polynucleotide that
CC   encodes the polypeptide; (6) a method of enhancing the quality of a
CC   selected phenotype that is displayed by an organism of interest or part
CC   and that results from the expression of a parent polynucleotide that
CC   encodes the polypeptide; and (7) a method of reducing the quality of a
CC   selected phenotype that is displayed by an organism of interest or part
CC   and that results from the expression of a parent polynucleotide that
CC   encodes the polypeptide. The method is useful for constructing a
CC   synthetic polynucleotide from which a polypeptide is producible to confer
CC   a selected phenotype to an organism of interest or part in a different
CC   quality than that conferred by a parent polynucleotide that encodes the
CC   same polypeptide. It is useful for modulating the quality of a selected
CC   phenotype displayed by an organism or part. The present sequence
CC   represents a synthetic leader sequence, which is used in an example from
CC   the present invention.
XX
SQ   Sequence 6 AA;

 ADO26627  Length: 6  September 7, 2005 16:24  Type: P  Check: 1722  ..

       1  RRRRRR

!!AA_SEQUENCE 1.0
ID   ADQ26227 standard; peptide; 9 AA.
XX
AC   ADQ26227;
XX
DT   23-SEP-2004  (first entry)
XX
DE   Transport polypeptide BMIP-145 for intracellular delivery.
XX
KW   Molecular transporter; transport polypeptide;
KW   nuclear localisation signal; gene therapy; BMIP-145; Tat protein; HIV.
XX
OS   Human immunodeficiency virus 1.
OS   Synthetic.
XX
FH   Key             Location/Qualifiers
FT   Modified-site   1
FT                   /note= "Optional N-terminal fluorescein label"
FT   Misc-difference 2
FT                   /note= "D-form residue"
FT   Misc-difference 4
FT                   /note= "D-form residue"
FT   Misc-difference 6
FT                   /note= "D-form residue"
FT   Misc-difference 8
FT                   /note= "D-form residue"
XX
PN   WO2004056854-A1.
XX
PD   08-JUL-2004.
XX
PF   05-DEC-2003; 2003WO-KR002672.
XX
PR   19-DEC-2002; 2002US-0435833P.
XX
PA   (GLDS ) LG LIFE SCI LTD.
XX
PI   Min C,  Chung H,  Long MC,  Choi BH,  Yang JY;
XX
DR   WPI; 2004-500279/47.
XX
PT   New transporter polypeptide, useful in delivering a molecule of interest
PT   or cargo molecule into a eukaryotic cell, particularly into the nucleus.
XX
PS   Claim 1; SEQ ID NO 10; 55pp; English.
XX
CC   The present sequence is that of transport polypeptide BMIP-145, which is
CC   derived from the HIV Tat protein and includes D-form Arg residues. This
CC   is a particularly preferred example of molecular transporters of the
CC   invention that are capable of delivering a molecule of interest or cargo
CC   molecule into a eukaryotic cell, particularly the nucleus. The cargo
CC   molecule is a protein, polypeptide, nucleic acid (especially an antisense
CC   nucleotide) or organic molecule (especially a modulator of protein
CC   function). The transporter polypeptide is coupled to the cargo molecule
CC   by genetic fusion or by chemical cross-linking. The chemical cross-
CC   linking is achieved using sulfhydryl groups, and may be cleavable. The
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CC   transporter polypeptide-cargo molecule conjugate is presented to the cell
CC   causing the cargo molecule to be delivered, especially to the nucleus.
CC   Use of the molecular transporters allows the efficient cytoplasmic and
CC   nuclear delivery of biologically active proteins, nucleic acids and other
CC   molecules that are not inherently capable of entering cells or nuclei at
CC   a useful rate. Cellular uptake of 10 uM fluorescein-conjugated BMP-145 by
CC   human epithelioid cervical carcinoma (HeLa S3) cells was 60-100%.
XX
SQ   Sequence 9 AA;

 ADQ26227  Length: 9  September 7, 2005 16:24  Type: P  Check: 3690  ..

       1  RRRRRRRRR

!!AA_SEQUENCE 1.0
ID   ADR21204 standard; peptide; 7 AA.
XX
AC   ADR21204;
XX
DT   21-OCT-2004  (first entry)
XX
DE   Novel cellular drug delivery method peptide R7.
XX
KW   antibacterial; virucide; cytostatic; antitubercular; tuberculostatic;
KW   antileprotic; antiparasitic; fungicide; antisense therapy; gene therapy;
KW   electromagnetic radiation; infectious disease; bacterial disease;
KW   tuberculosis; leprosy; viral disease; fungal disease; parasitic disease;
KW   cancer; siRNA; gene silencing; gene expression; small interfering RNA.
XX
OS   Synthetic.
XX
PN   WO2004063342-A2.
XX
PD   29-JUL-2004.
XX
PF   09-JAN-2004; 2004WO-US000430.
XX
PR   09-JAN-2003; 2003US-0438778P.
XX
PA   (INVI-) INVITROGEN CORP.
XX
PI   Dalby B,  Bennett RP;
XX
DR   WPI; 2004-553730/53.
XX
PT   Delivering a polypeptide to a cell for e.g. treating a disease, comprises
PT   contacting the cell with the polypeptide, nucleic acid, fluorescent
PT   molecule, and/or a cellular delivery molecule, and treating to dissociate
PT   the polypeptide.
XX
PS   Example 1; SEQ ID NO 3; 165pp; English.
XX
CC   The invention relates to a method of delivering (M1) a polypeptide to a
CC   cell, by contacting the cell with, in any order or combination, the
CC   polypeptide, nucleic acid, fluorescent molecule, cellular delivery
CC   molecule and/or a transfection agent, and treating the cell with a
CC   treatment that results in the dissociation of the polypeptide from the
CC   nucleic acid, the fluorescent molecule, or/and the cellular delivery
CC   molecule. (M1) is useful for delivering a polypeptide to a cell. The
CC   molecules are useful for treating an individual suffering from a disease
CC   or disorder and for providing gene therapy to an individual in need where
CC   the treatment further involves exposing an individual to electromagnetic
CC   radiation. The diseases treated by the molecules include infectious
CC   diseases such as bacterial diseases e.g., tuberculosis, leprosy, viral
CC   diseases, fungal diseases, parasitic diseases, and cancer. This sequence
CC   represents a peptide used in the method of the invention.
XX
SQ   Sequence 7 AA;

 ADR21204  Length: 7  September 7, 2005 16:24  Type: P  Check: 2296  ..

       1  RRRRRRR

!!AA_SEQUENCE 1.0
ID   ADR21206 standard; peptide; 11 AA.
XX
AC   ADR21206;
XX
DT   21-OCT-2004  (first entry)
XX
DE   Novel cellular drug delivery method peptide R11.
XX
KW   antibacterial; virucide; cytostatic; antitubercular; tuberculostatic;
KW   antileprotic; antiparasitic; fungicide; antisense therapy; gene therapy;
KW   electromagnetic radiation; infectious disease; bacterial disease;
KW   tuberculosis; leprosy; viral disease; fungal disease; parasitic disease;
KW   cancer; siRNA; gene silencing; gene expression; small interfering RNA.
XX
OS   Synthetic.
XX
PN   WO2004063342-A2.
XX
PD   29-JUL-2004.
XX
PF   09-JAN-2004; 2004WO-US000430.
XX
PR   09-JAN-2003; 2003US-0438778P.
XX
PA   (INVI-) INVITROGEN CORP.
XX
PI   Dalby B,  Bennett RP;
XX
DR   WPI; 2004-553730/53.
XX
PT   Delivering a polypeptide to a cell for e.g. treating a disease, comprises
PT   contacting the cell with the polypeptide, nucleic acid, fluorescent
PT   molecule, and/or a cellular delivery molecule, and treating to dissociate
PT   the polypeptide.
XX
PS   Example 1; SEQ ID NO 5; 165pp; English.
XX
CC   The invention relates to a method of delivering (M1) a polypeptide to a
CC   cell, by contacting the cell with, in any order or combination, the
CC   polypeptide, nucleic acid, fluorescent molecule, cellular delivery
CC   molecule and/or a transfection agent, and treating the cell with a
CC   treatment that results in the dissociation of the polypeptide from the
CC   nucleic acid, the fluorescent molecule, or/and the cellular delivery
CC   molecule. (M1) is useful for delivering a polypeptide to a cell. The
CC   molecules are useful for treating an individual suffering from a disease
CC   or disorder and for providing gene therapy to an individual in need where
CC   the treatment further involves exposing an individual to electromagnetic
CC   radiation. The diseases treated by the molecules include infectious
CC   diseases such as bacterial diseases e.g., tuberculosis, leprosy, viral
CC   diseases, fungal diseases, parasitic diseases, and cancer. This sequence
CC   represents a peptide used in the method of the invention.
XX
SQ   Sequence 11 AA;

ADR21206  Length: 11  September 7, 2005 16:24  Type: P  Check: 5412  ..

       1  RRRRRRRRRR R

!!AA_SEQUENCE 1.0
ID   ADR21205 standard; peptide; 9 AA.
XX
AC   ADR21205;
XX
DT   21-OCT-2004  (first entry)
XX
DE   Novel cellular drug delivery method peptide R9.
XX
KW   antibacterial; virucide; cytostatic; antitubercular; tuberculostatic;
KW   antileprotic; antiparasitic; fungicide; antisense therapy; gene therapy;
KW   electromagnetic radiation; infectious disease; bacterial disease;
KW   tuberculosis; leprosy; viral disease; fungal disease; parasitic disease;
KW   cancer; siRNA; gene silencing; gene expression; small interfering RNA.
```

XX
OS Synthetic.
XX
PN WO2004063342-A2.
XX
PD 29-JUL-2004.
XX
PF 09-JAN-2004; 2004WO-US000430.
XX
PR 09-JAN-2003; 2003US-0438778P.
XX
PA (INVI-) INVITROGEN CORP.
XX
PI Dalby B, Bennett RP;
XX
DR WPI; 2004-553730/53.
XX
PT Delivering a polypeptide to a cell for e.g. treating a disease, comprises
PT contacting the cell with the polypeptide, nucleic acid, fluorescent
PT molecule, and/or a cellular delivery molecule, and treating to dissociate
PT the polypeptide.
XX
PS Example 1; SEQ ID NO 4; 165pp; English.
XX
CC The invention relates to a method of delivering (M1) a polypeptide to a
CC cell, by contacting the cell with, in any order or combination, the
CC polypeptide, nucleic acid, fluorescent molecule, cellular delivery
CC molecule and/or a transfection agent, and treating the cell with a
CC treatment that results in the dissociation of the polypeptide from the
CC nucleic acid, the fluorescent molecule, or/and the cellular delivery
CC molecule. (M1) is useful for delivering a polypeptide to a cell. The
CC molecules are useful for treating an individual suffering from a disease
CC or disorder and for providing gene therapy to an individual in need where
CC the treatment further involves exposing an individual to electromagnetic
CC radiation. The diseases treated by the molecules include infectious
CC diseases such as bacterial diseases e.g., tuberculosis, leprosy, viral
CC diseases, fungal diseases, parasitic diseases, and cancer. This sequence
CC represents a peptide used in the method of the invention.
XX
SQ Sequence 9 AA;

ADR21205 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADR50666 standard; peptide; 9 AA.
XX
AC ADR50666;
XX
DT 18-NOV-2004 (first entry)
XX
DE Membrane permeant poly-Arg peptide Seq 37.
XX
KW membrane-permeant peptide; target cell specificity; linker moiety;
KW cellular apoptosis; cell imaging; radiotherapy; cytostatic; HIV-1 Tat.
XX
OS Synthetic.
XX
PN WO2004073640-A2.
XX
PD 02-SEP-2004.
XX
PF 18-FEB-2004; 2004WO-US004752.
XX
PR 18-FEB-2003; 2003US-00368280.
PR 25-FEB-2003; 2003US-00374035.
XX
PA (UNIW) UNIV WASHINGTON.
XX
PI Piwnica-Worms D;
XX
DR WPI; 2004-642394/62.
XX
PT Membrane-permeant peptide compound useful for diagnosing presence of
PT disease in animal, comprises cell membrane-permeant peptide,
PT diagnostic/pharmaceutically active substance and non-functional linker
PT linking peptide and active substance.
XX
PS Claim 4; SEQ ID NO 37; 98pp; English.
XX
CC This invention relates to novel membrane-permeant peptide complexes.
CC Specifically, it refers to compounds that comprises the membrane-permeant
CC peptide and a diagnostic or pharmaceutically active substance joined via
CC a functional/ non-functional linker moiety, In particular, each peptide
CC further comprises D-amino acids that greatly increases their
CC accummulation in cells (compared to peptides with only naturally
CC occurring L-amino acids), where the functional linker moiety confers
CC target cell specificity. The present invention describes membrane-
CC permeant peptides derived from the HIV-1 Tat protein, the non-functional
CC linker moiety is chosen from amino hexanoic acid, glycine, alanine, a
CC short peptide chains of nonpolar amino acids or hydrocarbon chains and
CC the diagnostic substance can be a radionuclide, relaxivity metal,
CC fluorochrome, dye or an enzyme substrate. These peptides are useful for
CC in vivo work including imaging cells, detecting cellular apoptosis,
CC detecting the presence of an enzyme and its altered expression due to
CC administration of a drug, diagnosing a disease, radiotherapy and for
CC targeted delivery a cytostatic pharmaceutically active substance to the
CC cell. Accordingly, they are related to the fields of medical imaging,
CC diagnostics and pharmaceutical therapy. This peptide sequence is a
CC membrane-permeant peptide of the invention.
XX
SQ Sequence 9 AA;

ADR50666 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADR31966 standard; peptide; 9 AA.
XX
AC ADR31966;
XX
DT 02-DEC-2004 (first entry)
XX
DE Heat shock protein 20-derived peptide SEQ ID NO:279.
XX
KW heat shock protein 20; HSP20; scar; wound healing; vulnerary;
KW gene therapy.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Misc-difference 5. .9
FT /note= "Optionally absent"
XX
PN WO2004075914-A1.
XX
PD 10-SEP-2004.
XX
PF 20-FEB-2004; 2004WO-US004999.
XX
PR 21-FEB-2003; 2003US-0448954P.
PR 17-OCT-2003; 2003US-0512211P.
PR 16-DEC-2003; 2003US-0530306P.
XX
PA (UYAR-) UNIV ARIZONA STATE.
XX
PI Brophy C, Panitch A, Parmiter C, Furnish E, Komalavilas P;
XX
DR WPI; 2004-653328/63.
XX
PT Reducing scar formation and/or promoting wound healing comprises
PT administering to an individual an amount of heat shock protein 20-derived
PT polypeptides.
XX

PS Disclosure; SEQ ID NO 279; 113pp; English.
XX
CC The invention relates to a novel method for reducing scar formation or
CC promoting wound healing, comprising administering to an individual an
CC amount to reduce scar formation or promote wound healing of a polypeptide
CC comprising a sequence of formula X1-A(X2)APLP-X3. Within the formula X1 =
CC 0-14 amino acids of the sequence of heat shock protein 20 (HSP20) between
CC residues 1 and 14 of a sequence having 160 amino acids fully defined in
CC the specification (ADR31985); X2 = Ser, Thr, Tyr, Asp, Glu,
CC hydroxylysine, hydroxyproline, phosphoserine analogues and
CC phosphotyrosine analogues; and X3 = 0-140 amino acids of hsp20 between
CC residues 21 and 160 of ADR31985; or 0, 1, 2 or 3 amino acids of a
CC sequence of genus Z1-Z2-Z3, where Z1 is Gly or Asp, Z2 is Leu or Lys, and
CC Z3 is Ser, Thr or Lys. A polypeptide of the invention has vulnerary
CC activity, and may have a use in gene therapy. The method is useful for
CC reducing initial scar formation and/or for promoting wound healing. The
CC present sequence represents a HSP20-derived peptide of the invention.
XX
SQ Sequence 9 AA;

ADR31966 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADR82243 standard; peptide; 9 AA.
XX
AC ADR82243;
XX
DT 16-DEC-2004 (first entry)
XX
DE Cell permeation peptide amphiphilic model peptide.
XX
KW antilipemic; cardiant; vasotropic; antiarteriosclerotic; antidiabetic;
KW cytostatic; anticonvulsant; nootropic; muscula; anti-HIV;
KW RNA interference; iRNA; antisense technology; lipid metabolism;
KW cholesterol imbalance; dyslipidaemia hypercholesterolaemia;
KW coronary artery disease; CAD; coronary heart disease; CHD;
KW atherosclerosis; hepatic glucose production;
KW glucose-metabolism-related disorder; diabetes; cancer; breast cancer;
KW colon cancer; lung cancer; neurological disease; Huntington disease;
KW spinocerebellar ataxia; viral disease; AIDS; cell permeation peptide;
KW amphiphilic model peptide.
XX
OS Unidentified.
XX
PN WO2004080406-A2.
XX
PD 23-SEP-2004.
XX
PF 08-MAR-2004; 2004WO-US007070.
XX
PR 07-MAR-2003; 2003US-0452682P.
PR 12-MAR-2003; 2003US-0454265P.
PR 13-MAR-2003; 2003US-0454962P.
PR 13-MAR-2003; 2003US-0455050P.
PR 14-APR-2003; 2003US-0462894P.
PR 17-APR-2003; 2003US-0463772P.
PR 25-APR-2003; 2003US-0465665P.
PR 25-APR-2003; 2003US-0465802P.
PR 09-MAY-2003; 2003US-0469612P.
PR 08-AUG-2003; 2003US-0493986P.
PR 11-AUG-2003; 2003US-0494597P.
PR 26-SEP-2003; 2003US-0506341P.
PR 09-OCT-2003; 2003US-0510246P.
PR 10-OCT-2003; 2003US-0510318P.
PR 07-NOV-2003; 2003US-0518453P.
XX
PA (ALNY-) ALNYLAM PHARM.
XX
PI Manoharan M, Bumcrot D;
XX
DR WPI; 2004-677362/66.
XX
PT Interference RNA agent useful for treating dyslipidemias, coronary artery
PT disease, diabetes, cancer or neurological disease, comprises sense
PT sequence and antisense sequence which has specific modifications.
XX
PS Disclosure; SEQ ID NO 6742; 378pp; English.
XX
CC The invention describes a RNA interference (iRNA) agent (I) comprising a
CC sense sequence and an antisense sequence, where the sense sequences have
CC one or more asymmetrical 2'-O alkyl modifications, the antisense
CC sequences have one or more asymmetrical phosphorothioate modifications
CC and the antisense sequence targets a human gene sequence. Also described
CC are: a pharmaceutical preparation comprising (I); reducing (M1) apoB-100
CC levels or glucose-6-phosphatase levels in a subject; producing (I);
CC stabilising (I), involves selecting a sequence with activity and
CC introducing one or more asymmetrical modification in the sequence, where
CC the modification decreases nuclease sensitivity while not decreasing its
CC activity; a kit comprising (I) and instruction for its use; and a device
CC that can be dispense or administer a composition comprising (I). (I) is
CC useful for reducing apoB-100 levels or glucose-6-phosphatase levels. (M1)
CC is useful for reducing apoB-100 levels or glucose-6-phosphatase levels.
CC The subject is suffering from a disorder characterised by elevated or
CC otherwise unwanted expression of apoB-100, elevated or otherwise unwanted
CC levels of cholesterol, and/or disregulation of lipid metabolism. The
CC disorder is chosen from the HDL/LDL cholesterol imbalance,
CC dyslipidaemias, hypercholestorolaemia, statin-resistant
CC hypercholesterolaemia, coronary artery disease (CAD), coronary heart
CC disease (CHD) and atherosclerosis. (I) is administered to a subject to
CC inhibit hepatic glucose production or for treating glucose-metabolism-
CC related disorder e.g. diabetes or type-2 diabetes. (I) is useful for
CC treating the diseases as mentioned above, cancer (e.g. breast, colon or
CC lung cancer), neurological disease (e.g., Huntington disease or
CC spinocerebellar ataxia) or viral disease (e.g., AIDS). This is the amino
CC acid sequence of a cell permeation peptide that can be used as a ligand
CC to increase the uptake of iRNA's.
XX
SQ Sequence 9 AA;

ADR82243 Length: 9 September 7, 2005 16:24 Type: P Check: 3690 ..

1 RRRRRRRRR

!!AA_SEQUENCE 1.0
ID ADS13896 standard; peptide; 8 AA.
XX
AC ADS13896;
XX
DT 16-DEC-2004 (first entry)
XX
DE Synthetic peptide 1 which shows affinity to the cytoplasmic membrane.
XX
KW cytostatic; gene therapy; antisense therapy.
XX
OS Synthetic.
XX
PN JP2004261024-A.
XX
PD 24-SEP-2004.
XX
PF 28-FEB-2003; 2003JP-00052508.
XX
PR 28-FEB-2003; 2003JP-00052508.
XX
PA (DOKU-) DOKURITSU GYOSEI HOJIN KAGAKU GIJUTSU SH.
PA (MOTO/) MOTORI M.
XX
DR WPI; 2004-665462/65.
XX
PT Composite useful as therapeutic agent for performing gene therapy against
PT diseases e.g., melanoma tumor, comprising modified polysaccharide and
PT nucleic acid.
XX
PS Claim 7; SEQ ID NO 2; 34pp; Japanese.

XX
CC The invention relates to a novel composite comprising a polysaccharide
CC and nucleic acid, where the polysaccharide has an introduced peptide
CC chain. The peptide chain shows affinity towards the cell surface
CC membrane. The molecule of the invention demonstrates cytostatic activity
CC and may be useful as a therapeutic agent for performing gene therapy or
CC antisense therapy against diseases including melanoma tumour. The current
CC sequence is that of the synthetic peptide 1 of the invention which shows
CC affinity to the cytoplasmic membrane.
XX
SQ Sequence 8 AA;

ADS13896 Length: 8 September 7, 2005 16:24 Type: P Check: 2952 ..

1 RRRRRRRR

```
=> fil reg; d que 14; fil biosis prousddr; s 14
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DICTIONARY FILE UPDATES:   6 SEP 2005  HIGHEST RN 862534-94-9

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* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

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information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L4            146 SEA FILE=REGISTRY ABB=ON (^)G{0,8}R{5,20}($)/SQSP

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FILE 'PROUSDDR' ENTERED AT 14:13:16 ON 07 SEP 2005
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L10            14 L4

=> dup rem l10
DUPLICATE IS NOT AVAILABLE IN 'PROUSDDR'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
PROCESSING COMPLETED FOR L10
L11            14 DUP REM L10 (0 DUPLICATES REMOVED)
                  ANSWERS '1-12' FROM FILE BIOSIS
                  ANSWERS '13-14' FROM FILE PROUSDDR

=> d iall 1-14
```

beginning & end of sequence

L11 ANSWER 1 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:263346 BIOSIS
DOCUMENT NUMBER: PREV200510045236
TITLE: Highly active antiretroviral therapy: Current state of the art, new agents and their pharmacological interactions useful for improving therapeutic outcome.
AUTHOR(S): Barbaro, Giuseppe; Scozzafava, Andrea; Mastrolorenzo, Antonio; Supuran, Claudiu T. [Reprint Author]
CORPORATE SOURCE: Univ Florence, Dipartimento Chim, Lab Chim Bioinorgan, Via Lastruccia 3,Rm 188, I-50019 Sesto Fiorentino, Florence, Italy
claudiu.supuran@unifi.it
SOURCE: Current Pharmaceutical Design, (2005) Vol. 11, No. 14, pp. 1805-1843.
ISSN: 1381-6128.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Jul 2005
Last Updated on STN: 14 Jul 2005
ABSTRACT:Highly active antiretroviral therapy (HAART) dramatically changed the course of HIV infection. Currently, this therapy involves the use of agents from at least two distinct classes of antivirals: a protease inhibitor (PI) in combination with two nucleoside/nucleotide reverse transcriptase inhibitors (N(t)RTIs), or a non-nucleoside reverse transcriptase inhibitor (NNRTI) in combination with NRTIs. Recently, the third family of antivirals started to be used clinically with the advent of enfuvirtide, the first fusion inhibitor (FI). Several pharmacological agents are available form these classes of antivirals, NRTIs. NNRTIs, PIs and FIs, which will be briefly reviewed here. Some more agents are in advanced clinical evaluation or have recently been approved (such as tenofovir, a NtRTI; atazanavir, a PI; tipranavir, another PI), mainly against drug-resistant viruses. Compounds inhibiting HIV integrase, the third enzyme of HIV, are also available ultimately. with several such derivatives in clinical trials (L-731, 988 and S-1360). Another approach to inhibit the growth of retroviruses, including HIV, targets the ejection of zinc ions from critical zinc finger viral proteins, which has as a consequence the inhibition of viral replication in the absence of mutations leading to drug resistance phenotypes. All steps in the process of HIV entry into the cell may be targeted by Specific Compounds that might be developed as novel types of antiretrovirals. Thus. inhibitors of the gp120 - CD4 interaction have been detected (zintevir, FP-21399 and BMS-378806 in clinical trials). Small molecule chemokine antagonists acting as HIV entry inhibitors also were described in the last period, which interact both with the CXCR4 coreceptor (such as AMD3100 AMD3465; ALX40-4C; T22, T134 and T140), or which are antagonist of the CCR5 coreceptor (TAK-779, TAK-220, SCH-C, SCH-D, E913, AK-602 and NSC 651016 in clinical trials), together with new types of fusion inhibitors possessing the same mechanism of action as enfuvirtide (such as T1249). Compounds interacting with Tat/Tar have also been detected which inhibit HIV replication in low micromolar range (EM2487, tamacrazine, CGP 64222 or CGA 137053 among others). Unexploited viral and cellular targets (such as the maturation process - with a first potent compound available, PA-457; the cellular proteins Tsg101, APOBEC3G, or the viral ones Vif, Rev or RNase H) are also presented, together with recently emerged approaches for eradication of HIV reservoirs. A review on the pharmacology and interactions of these agents with other drugs is presented here, with emphasis on how these pharmacological interferences may improve the clinical use of antivirals, or how side effects due to these drugs may be managed better by taking them into account.
CONCEPT CODE: Enzymes - General and comparative studies: coenzymes 10802
Pathology - Therapy 12512
Pharmacology - General 22002

Pharmacology - Clinical pharmacology 22005
Virology - General and methods 33502
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antiviral agents 38506

INDEX TERMS: Major Concepts
Pharmacology; Clinical Immunology (Human Medicine, Medical Sciences); Infection

INDEX TERMS: Diseases
human immunodeficiency virus infection: viral disease, immune system disease, drug therapy, HIV infection
HIV Infections (MeSH)

INDEX TERMS: Chemicals & Biochemicals
protease [EC 3.4.21.7]; tenofovir: antiinfective-drug, antiviral-drug; enfuvirtide: antiinfective-drug, antiviral-drug; protease inhibitors: enzyme inhibitor-drug, antiviral-drug, antiinfective-drug; atazanavir: antiinfective-drug, antiviral-drug; tipranavir: antiinfective-drug, antiviral-drug; nucleoside/nucleotide reverse transcriptase inhibitors: enzyme inhibitor-drug, antiviral-drug, antiinfective-drug; non-nucleoside reverse transcriptase inhibitor: enzyme inhibitor-drug, antiviral-drug, antiinfective-drug; zintevir: antiinfective-drug, antiviral-drug; FP-21399: antiinfective-drug, antiviral-drug; BMS-378806: antiinfective-drug, antiviral-drug; TAK-779: antiinfective-drug, antiviral-drug; TAK-220: antiinfective-drug, antiviral-drug; SCH-C: antiinfective-drug, antiviral-drug; SCH-D: antiinfective-drug, antiviral-drug; E913: antiinfective-drug, antiviral-drug; AK-602: antiinfective-drug, antiviral-drug; NSC 651016: antiinfective-drug, antiviral-drug; AMD3100: antiinfective-drug, antiviral-drug; AMD3465: antiinfective-drug, antiviral-drug; ALX40-4C: antiinfective-drug, antiviral-drug

INDEX TERMS: Methods & Equipment
highly active antiretroviral therapy: therapeutic and prophylactic techniques, clinical techniques

INDEX TERMS: Miscellaneous Descriptors
pharmacological interactions

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human (common): host
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrate

ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
Human immunodeficiency virus (common) [HIV (common)]: pathogen

Searched by Barb O'Bryen, STIC 2-2518

Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruse

REGISTRY NUMBER: 9001-92-7 (protease)
9001-92-7 (EC 3.4.21.7)
147127-20-6 (tenofovir)
159519-65-0 (enfuvirtide)
198904-31-3 (atazanavir)
174484-41-4 (tipranavir)
171345-51-0 (zintevir)
170020-61-8 (FP-21399)
229005-80-5 (TAK-779)
208576-37-8 (NSC 651016)
155148-31-5 (AMD3100)
153127-49-2 (ALX40-4C) Use Registry # to match citation to sequence

L11 ANSWER 2 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:65611 BIOSIS
DOCUMENT NUMBER: PREV200500062464
TITLE: Epilepsy in one family with parietal foramina: an incidental finding?.
AUTHOR(S): Valente, K. D. [Reprint Author]; Valente, M.
CORPORATE SOURCE: Rua Jesuino Arruda 901, BR-01246903, Sao Paulo, Brazil
kettevalente@msn.com
SOURCE: Journal of Neurology Neurosurgery & Psychiatry, (November 2004) Vol. 75, No. 11, pp. 1648-1649. print.
ISSN: 0022-3050 (ISSN print).
DOCUMENT TYPE: Article
Editorial
LANGUAGE: English
ENTRY DATE: Entered STN: 9 Feb 2005
Last Updated on STN: 9 Feb 2005
CONCEPT CODE: Genetics - General 03502
Genetics - Human 03508
Biochemistry studies - General 10060
Biochemistry studies - Lipids 10066
Pathology - General 12502
Pathology - Therapy 12512
Bones, joints, fasciae, connective and adipose tissue - Physiology and biochemistry 18004
Bones, joints, fasciae, connective and adipose tissue - Pathology 18006
Nervous system - Pathology 20506
Pharmacology - Clinical pharmacology 22005
Pharmacology - Neuropharmacology 22024
Pharmacology - Psychopharmacology 22026
Pediatrics 25000
Development and Embryology - Pathology 25503
INDEX TERMS: Major Concepts
Medical Genetics (Allied Medical Sciences); Neurology (Human Medicine, Medical Sciences)
INDEX TERMS: Parts, Structures, & Systems of Organisms
parietal bone: skeletal system; sagittal suture
INDEX TERMS: Diseases
epilepsy: nervous system disease, drug therapy, etiology, genetics, pathology, symptom
Epilepsy (MeSH)
INDEX TERMS: Diseases
parietal foramina: bone disease, congenital disease, etiology, genetics, pathology

(sequence records printed beginning on pg 23)

Searched by Barb O'Bryen, STIC 2-2518

INDEX TERMS: Chemicals & Biochemicals
ALX40-4C: homeobox containing transcription factor; MSX2 protein: homeobox containing transcription facto; carbamazepine: anticonvulsant-drug, central depressant-drug, tranquilizer-drug; valproate: anticonvulsant-drug, central depressant-drug, enzyme inhibitor-drug, tranquilizer-drug
INDEX TERMS: Methods & Equipment
neuroimaging: clinical techniques, diagnostic techniques
INDEX TERMS: Miscellaneous Descriptors
OMIM 168500; cortical development; environmental factor; genetic factor; loss of function mutation
ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human (common): infant, male
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
REGISTRY NUMBER: 153127-49-2 (ALX40-4C)
298-46-4 (carbamazepine)
99-66-1 (valproate)
GENE NAME: human ALX4 gene (Hominidae); human MSX2 gene (Hominidae)

L11 ANSWER 3 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:295119 BIOSIS
DOCUMENT NUMBER: PREV200400294562
TITLE: HIV co-receptors as targets for antiviral therapy.
AUTHOR(S): Schols, Dominique [Reprint Author]
CORPORATE SOURCE: Rega Inst Med Res, Katholieke Univ Leuven, Minderbroedersstr 10, B-3000, Louvain, Belgium
Dominique.Schols@rega.kuleuven.ac.be
SOURCE: Current Topics in Medicinal Chemistry, (2004) Vol. 4, No. 9, pp. 883-893. print.
ISSN: 1568-0266 (ISSN print).
DOCUMENT TYPE: Article
General Review; (Literature Review)
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Jun 2004
Last Updated on STN: 23 Jun 2004
CONCEPT CODE: Cytology - Animal 02506
Biochemistry studies - General 10060
Biochemistry studies - Proteins, peptides and amino acids 10064
Biophysics - Membrane phenomena 10508
Pathology - Therapy 12512
Blood - Blood and lymph studies 15002
Blood - Blood cell studies 15004
Pharmacology - General 22002
Virology - General and methods 33502
Immunology - General and methods 34502
Medical and clinical microbiology - Virology 36006
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antiviral agents 38506
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Infection; Pharmacology
INDEX TERMS: Parts, Structures, & Systems of Organisms

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T cell: blood and lymphatics, immune system

INDEX TERMS: Chemicals & Biochemicals
ALX40-4C: CXCR4 antagonist, anti-human immunodeficiency virus activity, peptidic compound; AMD070: antiinfective-drug, antiviral-drug; AMD3100: antiinfective-drug, antiviral-drug; AOP-RANTES; CCR5: chemokine receptor; CGP 64222: antiinfective-drug, CXCR4 antagonist, anti-human immunodeficiency virus activity; CXCR4: chemokine receptor; HIV co-receptors [human immunodeficiency virus co-receptors]; MIP-1-alpha; MIP-1-beta; Met-RANTES; RANTES; SCH-C; SDF-1; T134: CXCR4 antagonist, anti-human immunodeficiency virus activity, peptidic compounds; T22: CXCR4 antagonist, anti-human immunodeficiency virus activity, peptidic compounds; TAK-779; human immunodeficiency virus-1 Tat protein: CXCR4 antagonist

INDEX TERMS: Methods & Equipment
antiviral therapy: clinical techniques, therapeutic and prophylactic techniques

ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
HIV-1 (common) [Human immunodeficiency virus 1 (species)]: pathogen, T-cell tropic strain, macrophage-tropic strain, strain-X4, strain-X5
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses

REGISTRY NUMBER: **153127-49-2** (ALX40-4C)
155148-31-5 (AMD3100)
186380-62-1 (CGP 64222)
339184-91-7 (CXCR4)
229005-80-5 (TAK-779)

L11 ANSWER 4 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:60896 BIOSIS
DOCUMENT NUMBER: PREV200500067325
TITLE: New advances in HIV entry inhibitors development.
AUTHOR(S): Rusconi, Stefano; Scozzafava, Andrea; Mastrolorenzo, Antonio; Supuran, Claudiu T. [Reprint Author]
CORPORATE SOURCE: Dipartimento ChimLab Chim Bioinorgan, Univ Florence, Via Lastruccia 3,Rm 188, I-50019, Florence, Italy
claudiu.supuran@unifi.it
SOURCE: Current Drug Targets - Infectious Disorders, (December 2004) Vol. 4, No. 4, pp. 339-355. print.
ISSN: 1568-0053 (ISSN print).
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 9 Feb 2005
Last Updated on STN: 9 Feb 2005
CONCEPT CODE: Biochemistry studies - Proteins, peptides and amino acids 10064
Pathology - Therapy 12512
Blood - Blood, lymphatic and reticuloendothelial pathologies 15006
Pharmacology - General 22002
Pharmacology - Clinical pharmacology 22005

Searched by Barb O'Bryen, STIC 2-2518

Virology - General and methods 33502
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
Public health: epidemiology - Communicable diseases 37052
Public health: epidemiology - Organic diseases and neoplasms 37054
Public health: epidemiology - Miscellaneous 37056
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antiviral agents 38506

INDEX TERMS: Major Concepts
Epidemiology (Population Studies); Infection; Pharmacology

INDEX TERMS: Diseases
HIV infection: blood and lymphatic disease, immune system disease, viral disease, drug therapy, epidemiology, human immunodeficiency virus infection
HIV Infections (MeSH)

INDEX TERMS: Chemicals & Biochemicals
AK-602: antiinfective-drug, antiviral-drug; ALX40-4C: antiinfective-drug, antiviral-drug; AMD3100: antiinfective-drug, antiviral-drug; AMD3465: antiinfective-drug, antiviral-drug; BMS-378806: antiinfective-drug, antiviral-drug; CCR5 coreceptor; CD4; CXCR4 coreceptor; FP-21399: antiinfective-drug, antiviral-drug; NSC 651016: antiinfective-drug, antiviral-drug; SCH-D: antiinfective-drug, antiviral-drug; SCI-C: antiinfective-drug, antiviral-drug; T1249: antiinfective-drug, antiviral-drug, fusion inhibitor; T134: antiinfective-drug, antiviral-drug; T140: antiinfective-drug, antiviral-drug; T22: antiinfective-drug, antiviral-drug; TAK-220: antiinfective-drug, antiviral-drug; TAK-779: antiinfective-drug, antiviral-drug; UK-427857: antiinfective-drug, antiviral-drug; chemokine receptor; enfuvirtide [T20]: antiinfective-drug, antiviral-drug, fusion inhibitor; gp120; viral entry inhibitor drug: antiinfective-drug, antiviral-drug, oral administration; zintevir: antiinfective-drug, antiviral-drug

INDEX TERMS: Methods & Equipment
antiretroviral drug therapy: clinical techniques, therapeutic and prophylactic techniques; drug combination therapy: clinical techniques, therapeutic and prophylactic techniques

INDEX TERMS: Miscellaneous Descriptors
bioavailability; drug resistance; viral lifecycle inhibitor

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human (common): host
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses;

Searched by Barb O'Bryen, STIC 2-2518

Microorganisms
Organism Name
HIV (common) [Human immunodeficiency virus (species)]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses

REGISTRY NUMBER: **153127-49-2** (ALX40-4C)
155148-31-5 (AMD3100)
170020-61-8 (FP-21399)
208576-37-8 (NSC 651016)
251562-00-2 (T1249)
229005-80-5 (TAK-779)
159519-65-0 (enfuvirtide)
159519-65-0 (T20)
171345-51-0 (zintevir)

L11 ANSWER 5 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:390256 BIOSIS
DOCUMENT NUMBER: PREV200300390256
TITLE: Binding of ALX40-4C to APJ, a CNS-based receptor, inhibits its utilization as a co-receptor by HIV-1.
AUTHOR(S): Zhou, Naiming; Fang, Jianhua; Acheampong, Edward; Mukhtar, Muhammad; Pomerantz, Roger J. [Reprint Author]
CORPORATE SOURCE: The Dorrance H. Hamilton Laboratories, Thomas Jefferson University, Jefferson Medical College, 1020 Locust Street, Suite 329, Philadelphia, PA, 19107, USA
roger.j.pomerantz@mail.tju.edu
SOURCE: Virology, (July 20 2003) Vol. 312, No. 1, pp. 196-203. print.
ISSN: 0042-6822 (ISSN print).
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 27 Aug 2003
Last Updated on STN: 27 Aug 2003

ABSTRACT:APJ, a G protein-coupled seven-transmembrane receptor, has been shown to serve as a co-receptor for the entry of human immunodeficiency virus type 1 (HIV-1), and it is dramatically expressed in central nervous system (CNS)-based cells. ALX40-4C was identified as a small-molecule antagonist of the chemokine receptor CXCR4, which can specifically inhibit HIV-1 entry via this co-receptor. In this study, we demonstrated that ALX40-4C inhibited both APJ- and CXCR4/APJ-mediated cell membrane fusion in a dose-dependent manner. In competitive binding assays, 125I-Apelin13 was replaced by ALX40-4C with an IC50 of 2.9 muM, as compared with an IC50 of 0.2 nM for Apelin13. Furthermore, ALX40-4C could block ligand-induced APJ internalization and signaling. ALX40-4C, as an antagonist to APJ, directly binds to and prevents use of APJ as a HIV-1 co-receptor. Thus, ALX-4C has potential utility for further elucidation of HIV-1 neuropathogenesis and therapy of HIV-1-induced encephalopathy.

CONCEPT CODE: Biochemistry studies - General 10060
Biochemistry studies - Proteins, peptides and amino acids 10064
Biophysics - Membrane phenomena 10508
Nervous system - Physiology and biochemistry 20504
Nervous system - Pathology 20506
Virology - General and methods 33502
Medical and clinical microbiology - Virology 36006
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Infection; Membranes (Cell Biology)

Searched by Barb O'Bryen, STIC 2-2518

INDEX TERMS: Parts, Structures, & Systems of Organisms
cell membrane; central nervous system: nervous system
INDEX TERMS: Diseases
encephalopathy: nervous system disease
INDEX TERMS: Chemicals & Biochemicals
ALX40-4C: binding; APJ: internalization, signaling; Apelin13; CXCR4: chemokine receptor
INDEX TERMS: Methods & Equipment
competitive binding assay: laboratory techniques
INDEX TERMS: Miscellaneous Descriptors
neuropathogenesis
ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
Human immunodeficiency virus 1 (species) [HIV-1 (miscellaneous)]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses
REGISTRY NUMBER: **153127-49-2** (ALX40-4C)
217082-58-1 (Apelin13)
339184-91-7 (CXCR4)

L11 ANSWER 6 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:188804 BIOSIS
DOCUMENT NUMBER: PREV200300188804
TITLE: Binding of cationic cell-permeable peptides to plastic and glass.
AUTHOR(S): Chico, Diane E.; Given, Randall L.; Miller, Brian T. [Reprint Author]
CORPORATE SOURCE: Department of Anatomy and Neurosciences, Medical Branch, University of Texas, 301 University Blvd., Galveston, TX, 77555-1069, USA
btmiller@utmb.edu
SOURCE: Peptides (New York), (January 2003) Vol. 24, No. 1, pp. 3-9. print.
CODEN: PPTDD5. ISSN: 0196-9781.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Apr 2003
Last Updated on STN: 10 Jun 2003
ABSTRACT:Cell-penetrating peptides derived from hydrophilic regions of the homeoprotein Antennapedia (Antp) or the transcription-regulating factor Tat have been used to transport several peptide and oligonucleotide cargoes into the interior of cells. Such vector peptides penetrate cells, in part, because they contain multiple lysine and arginine residues. Using radiolabeled peptide cargoes covalently linked to Antp- or Tat-related vectors, or to D-Arg heptamers, we found that a significant amount of the label remained tightly bound to plastic and glass surfaces. Binding of the labeled conjugates was due entirely to the cationic vector moieties. Under certain conditions, such non-specific binding could be mistaken for cellular penetration.
CONCEPT CODE: Biochemistry studies - General 10060
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics
INDEX TERMS: Chemicals & Biochemicals
D-arginine heptamers; Tat; antennapedia; cationic cell-permeable peptides: glass binding, plastic binding;

Searched by Barb O'Bryen, STIC 2-2518

vector peptides
INDEX TERMS: Miscellaneous Descriptors
glass; plastic
ORGANISM: Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
Swiss 3T3 cell line (cell line)
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
REGISTRY NUMBER: **216584-13-3** (D-arginine heptamers)

L11 ANSWER 7 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:436590 BIOSIS
DOCUMENT NUMBER: PREV200200436590
TITLE: A point mutation that confers constitutive activity to CXCR4 reveals that T140 is an inverse agonist and that AMD3100 and ALX40-4C are weak partial agonists.
AUTHOR(S): Zhang, Wen-Bo; Navenot, Jean-Marc; Haribabu, Bodduluri; Tamamura, Hirokazu; Hiramatu, Kenichi; Omagari, Akane; Pei, Gang; Manfredi, John P.; Fujii, Nobutaka; Broach, James R.; Peiper, Stephen C. [Reprint author]
CORPORATE SOURCE: Dept. of Pathology, Medical College of Georgia, Augusta, GA, 30912, USA
speiper@mail.mcg.edu
SOURCE: Journal of Biological Chemistry, (July 5, 2002) Vol. 277, No. 27, pp. 24515-24521. print.
CODEN: JBCHA3. ISSN: 0021-9258.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Aug 2002
Last Updated on STN: 14 Aug 2002
ABSTRACT:CXCR4 is a G protein-coupled receptor for stromal-derived factor 1 (SDF-1) that plays a critical role in leukocyte trafficking, metastasis of mammary carcinoma, and human immunodeficiency virus type-1 infection. To elucidate the mechanism for CXCR4 activation, a constitutively active mutant (CAM) was derived by coupling the receptor to the pheromone response pathway in yeast. Conversion of Asn-119 to Ser or Ala, but not Asp or Lys, conferred autonomous CXCR4 signaling in yeast and mammalian cells. SDF-1 induced signaling in variants with substitution of Asn-119 to Ser, Ala, or Asp, but not Lys. These variants had similar cell surface expression and binding affinity for SDF-1. CXCR4-CAMs were constitutively phosphorylated and present in cytosolic inclusions. Analysis of antagonists revealed that exposure to AMD3100 or ALX40-4C induced G protein activation by CXCR4 wild type, which was greater in the CAM, whereas T140 decreased autonomous signaling. The affinity of AMD3100 and ALX40-4C binding to CAMs was less than to wild type, providing evidence of a conformational shift. These results illustrate the importance of transmembrane helix 3 in CXCR4 signaling. Insight into the mechanism for CXCR4 antagonists will allow for the development of a new generation of agents that lack partial agonist activity that may induce toxicities, as observed for AMD3100.
CONCEPT CODE: Cytology - General 02502
Cytology - Plant 02504
Cytology - Animal 02506
Biochemistry studies - General 10060
Biochemistry studies - Proteins, peptides and amino acids 10064
Biophysics - Membrane phenomena 10508

Virology - Animal host viruses 33506
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
Plant physiology - Chemical constituents 51522

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Cell Biology; Infection

INDEX TERMS: Diseases
human immunodeficiency virus-1 infection: immune system disease, viral disease, HIV-1 infection
HIV Infections (MeSH)

INDEX TERMS: Chemicals & Biochemicals
ALX40-4C; AMD3100; CXCR4; T140; constitutively active mutant [CAM]; stromal-derived factor 1 [SDF-1]

INDEX TERMS: Miscellaneous Descriptors
agonist activity; binding affinity; constitutive activity; point mutation

ORGANISM: Classifier
Ascomycetes 15100
Super Taxa
Fungi; Plantae
Organism Name
Saccharomyces cerevisiae: strain-CY12946
Taxa Notes
Fungi, Microorganisms, Nonvascular Plants, Plants

ORGANISM: Classifier
Cricetidae 86310
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
CHO cell line: Chinese hamster ovary cells
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
human immunodeficiency virus-1 [HIV-1]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses

REGISTRY NUMBER: 153127-49-2 (ALX40-4C)
155148-31-5 (AMD3100)
339184-91-7 (CXCR4)

L11 ANSWER 8 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2001:248687 BIOSIS
DOCUMENT NUMBER: PREV200100248687
TITLE: Impact of HIV type 1 protease, reverse transcriptase, cleavage site, and p6 mutations on the virological response to quadruple therapy with saquinavir, ritonavir, and two nucleoside analogs.
AUTHOR(S): Kaufmann, Gilbert R.; Suzuki, Kazuo [Reprint author]; Cunningham, Philip; Mukaide, Motokazu; Kondo, Makiko; Imai, Mitsunobo; Zaunders, John; Cooper, David A.
CORPORATE SOURCE: Center for Immunology, St. Vincent's Hospital, 376 Victoria Street, Darlinghurst, Sydney, NSW, 2010, Australia

k.suzuki@cfi.unsw.edu.au
SOURCE: AIDS Research and Human Retroviruses, (April 10, 2001) Vol. 17, No. 6, pp. 487-497. print.
CODEN: ARHRE7. ISSN: 0889-2229.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 May 2001
Last Updated on STN: 19 Feb 2002
ABSTRACT:Genotype alterations of HIV-1 protease, reverse transcriptase, cleavage sites p7/p1 and p1/p6, as well as p6gag and transframe protein p6* were studied in an observational cohort of 42 individuals who received antiretroviral therapy consisting of saquinavir, ritonavir, and two nucleoside analogs. In a multivariate logistic regression analysis, the prior protease inhibitor experience (odds ratio, 6.20; 95% CI, 1.22-31.38) and the presence of primary protease mutations (odds ratio, 9.99; 95% CI, 1.05-94.72) were independently associated with virological failure. Moreover, a trend was observed in that individuals with N-terminal amino acid insertions in the proline-rich motif of the p6gag protein were less likely to experience virological failure (OR, 0.17; 95% CI, 0.02-1.35; p = 0.09). In contrast, the presence of secondary protease, reverse transcriptase, or cleavage site mutations was not independently associated with treatment failure. However, mutations at cleavage site p7/p1 (p = 0.01) and C-terminal p6* mutations (p = 0.02) were both associated with primary protease mutations. In conclusion, the presence of primary protease mutations was the most important predictor of the subsequent virological response. Moreover, there is some evidence that insertions in the proline-rich area of the p6gag protein may affect the virological response. The relationship between mutations of cleavage sites or C-terminal p6* residues and protease mutations suggests that these alterations may serve a compensatory role, increasing viral fitness.
CONCEPT CODE: Chemotherapy - Antiviral agents 38506
Pathology - Therapy 12512
Pharmacology - General 22002
Pharmacology - Clinical pharmacology 22005
Virology - Animal host viruses 33506
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
INDEX TERMS: Major Concepts
Infection; Clinical Immunology (Human Medicine, Medical Sciences); Pharmacology
INDEX TERMS: Diseases
HIV-1 infection: immune system disease, viral disease, human immunodeficiency virus 1 infection
HIV Infections (MeSH)
INDEX TERMS: Chemicals & Biochemicals
ALX40-4C: antiviral-drug, CXCR-4 inhibitor; CXCR-4: chemokine receptor; viral envelope protein
ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human: host, patient
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms

Searched by Barb O'Bryen, STIC 2-2518

Organism Name
HIV-1 [human immunodeficiency virus 1]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses
REGISTRY NUMBER: 153127-49-2 (ALX40-4C)
339184-91-7 (CXCR-4)

L11 ANSWER 9 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2001:248700 BIOSIS
DOCUMENT NUMBER: PREV200100248700
TITLE: Safe use of the CXCR4 inhibitor ALX40-4C in humans.
AUTHOR(S): Doranz, Benjamin J.; Filion, Lionel G.; Diaz-Mitoma, Francisco; Sitar, Daniel S.; Sahai, Jan; Baribaud, Frederic; Orsini, Michael J.; Benovic, Jeffrey L.; Cameron, William; Doms, Robert W. [Reprint author]
CORPORATE SOURCE: Department of Pathology and Laboratory Medicine, University of Pennsylvania, 806 Abramson, Philadelphia, PA, 19104, USA doms@mail.med.upenn.edu
SOURCE: AIDS Research and Human Retroviruses, (April 10, 2001) Vol. 17, No. 6, pp. 475-486. print.
CODEN: ARHRE7. ISSN: 0889-2229.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 May 2001
Last Updated on STN: 19 Feb 2002
ABSTRACT:ALX40-4C is a small peptide inhibitor of the chemokine receptor CXCR4 that can inhibit X4 strains of HIV-1. Prior to the discovery of chemokine receptors as the HIV coreceptors, ALX40-4C was used in phase I/II clinical trials to evaluate its therapeutic potential against HIV-1, making ALX40-4C the first anticoreceptor inhibitor to be tested in humans against HIV-1. Patients in the highest dose groups achieved ALX40-4C levels above the effective concentration of the drug for nearly the entire 1-month treatment period. ALX40-4C was well tolerated by 39 of 40 asymptomatic HIV-infected patients, despite the critical role of CXCR4 in normal development and hematopoiesis. No significant or consistent reductions in viral load were observed, but only 12 of the enrolled patients harbored virus types that used CXCR4. We also found that ALX40-4C interacts with the second extracellular loop of CXCR4 and inhibits infection exclusively by blocking direct virus-CXCR4 interactions.
CONCEPT CODE: Chemotherapy - Antiviral agents 38506
Clinical biochemistry - General methods and applications 10006
Pathology - Therapy 12512
Pharmacology - General 22002
Pharmacology - Clinical pharmacology 22005
Virology - Animal host viruses 33506
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
INDEX TERMS: Major Concepts
Clinical Chemistry (Allied Medical Sciences); Infection; Clinical Immunology (Human Medicine, Medical Sciences); Pharmacology
INDEX TERMS: Diseases
HIV-1 infection: immune system disease, viral disease, human immunodeficiency virus 1 infection
HIV Infections (MeSH)
INDEX TERMS: Chemicals & Biochemicals
ALX40-4C: antiviral-drug; CXCR-4: chemokine receptor; viral envelope protein
ORGANISM: Classifier

Searched by Barb O'Bryen, STIC 2-2518

Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human: host, patient
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
HIV-1 [human immunodeficiency virus 1]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses
REGISTRY NUMBER: **153127-49-2** (ALX40-4C)
339184-91-7 (CXCR-4)

L11 ANSWER 10 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:120039 BIOSIS
DOCUMENT NUMBER: PREV200000120039
TITLE: Small-molecule inhibitors of HIV-1 entry via chemokine receptors.
AUTHOR(S): Hotoda, Hitoshi [Reprint author]
CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo, 140-8710, Japan
SOURCE: Drugs of the Future, (Dec., 1999) Vol. 24, No. 12, pp. 1355-1362. print.
ISSN: 0377-8282.
DOCUMENT TYPE: Article
General Review; (Literature Review)
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Mar 2000
Last Updated on STN: 3 Jan 2002
CONCEPT CODE: Pathology - Therapy 12512
Pharmacology - Clinical pharmacology 22005
Virology - Animal host viruses 33506
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
Chemotherapy - Antiviral agents 38506
INDEX TERMS: Major Concepts
Infection; Pharmacology
INDEX TERMS: Parts, Structures, & Systems of Organisms
chemokine receptors, coreceptors
INDEX TERMS: Diseases
HIV infection: immune system disease, viral disease, mechanism, human immunodeficiency virus infection
HIV Infections (MeSH)
INDEX TERMS: Chemicals & Biochemicals
ALX-40-4C: antiviral-drug; AMD-3100: antiviral-drug; FP-21399: antiviral-drug; HIV-1 entry inhibitors: chemokine-based, peptide-based, small molecule; NSC-651016: antiviral-drug; T-140: antiviral-drug; T-22: antiviral-drug; TAK-779: antiviral-drug
INDEX TERMS: Miscellaneous Descriptors

Searched by Barb O'Bryen, STIC 2-2518

HIV-1 host entry: inhibition
ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human: patient
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
HIV-1 [human immunodeficiency virus 1]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses
REGISTRY NUMBER: **153127-49-2** (ALX-40-4C)
155148-31-5 (AMD-3100)
170020-61-8 (FP-21399)
208576-37-8 (NSC-651016)
229005-80-5 (TAK-779)

L11 ANSWER 11 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1999:496563 BIOSIS
DOCUMENT NUMBER: PREV199900496563
TITLE: The role of positively charged residues in CXCR4 recognition probed with synthetic peptides.
AUTHOR(S): Luo, Zhaowen; Zhou, Naiming; Luo, Jiansong; Hall, James W.; Huang, Ziwei [Reprint author]
CORPORATE SOURCE: Thomas Jefferson University, 802 BLSB, 233 South 10th Street, Philadelphia, PA, 19107, USA
SOURCE: Biochemical and Biophysical Research Communications, (Oct. 5, 1999) Vol. 263, No. 3, pp. 691-695. print.
CODEN: BBRCA9. ISSN: 0006-291X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Nov 1999
Last Updated on STN: 5 Jun 2000
ABSTRACT:A high positive charge is the common characteristic shared by the beta-sheet region of stromal cell-derived factor-1 (SDF-1) and CXCR4 antagonists such as ALX40-4C consisting of nine D-arginines. This raises the question that the positively charged residues may play a role in recognition of CXCR4. To test this hypothesis, two studies were carried out using synthetic peptides. In the first study, peptide analogs possessing amino acid sequences from both the N-terminus and the beta-sheet region of SDF-1 were used as models to study the functional role of the beta-sheet region of SDF-1. The attachment of positively charged residues to the N-terminal peptide sequence of SDF-1 was found to enhance the ability of the peptides in CXCR4 binding and inhibiting CXCR4-mediated T-tropic HIV-1 entry. In the second study, two peptides containing nine arginines and the N-terminal signal sequence of SDF-1 were used as models to study the receptor binding mechanism of CXCR4 antagonists of high positive charges such as ALX40-4C. One peptide did not show signaling activity as indicated by the lack of calcium influx while another peptide induced unusual calcium influx distinct from that induced by the SDF-1 N-terminal peptide. In addition, the signal induced by the SDF-1 N-terminal peptide was

inhibited by ALX40-4C. Therefore, the first study provides experimental support for the role of the highly positive beta-sheet region of SDF-1 in CXCR4 binding. The second study suggests that the binding site of ALX40-4C in CXCR4 may partially overlap with that of the SDF-1 N-terminal peptide. Both findings should be valuable for the design of SDF-1 agonists and antagonists.

CONCEPT CODE: Biochemistry studies - General 10060
Metabolism - General metabolism and metabolic pathways 13002
Blood - General and methods 15001
Virology - General and methods 33502
Immunology - General and methods 34502
General biology - Miscellaneous 00532

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Immune System (Chemical Coordination and Homeostasis)

INDEX TERMS: Chemicals & Biochemicals
stromal cell-derived factor-1 [SDF-1]; ALX40-4C: CXCR4 antagonist; CXCR4: chemokine, recognition; D-arginine

INDEX TERMS: Miscellaneous Descriptors
amino acid sequence: peptide sequence

ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
HIV-1 [human immunodeficiency virus 1]: T-tropic entry
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses

REGISTRY NUMBER: **153127-49-2** (ALX40-4C)
339184-91-7 (CXCR4)
157-06-2 (D-arginine)

L11 ANSWER 12 OF 14 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1998:29703 BIOSIS
DOCUMENT NUMBER: PREV199800029703
TITLE: Development of an enzyme-linked immunosorbent assay for measurement of serum-associated ALX40-4C.
AUTHOR(S): Payette, P. J.; Cormier, M.; Dabek, B.; Yungblut, P.; Presseault, S.; Clime, S.; Sahai, J.; Cameron, W. D.; Filion, L. G. [Reprint author]
CORPORATE SOURCE: Dep. Microbiol. Immunol., Fac. Med., Univ. Ottawa, 451 Smyth Rd., Ottawa, ON K1H 8M5, Canada
SOURCE: Clinical and Diagnostic Laboratory Immunology, (Nov., 1997) Vol. 4, No. 6, pp. 671-675. print.
ISSN: 1071-412X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Jan 1998
Last Updated on STN: 14 Jan 1998

ABSTRACT:ALX40-4C is an antiretrovirus agent that has been found to have some inhibitory properties against human immunodeficiency virus (HIV) replication in vitro. The compound was designed as a competitor of the HIV Tat protein for TAR binding. In addition to its anti-HIV properties, it has demonstrated the ability to inhibit in vitro replication of herpes simplex virus types 1 and 2 as well as human cytomegalovirus. Subsequently, in vivo pharmacokinetic evaluation of ALX40-4C necessitated the establishment of a detection system for the measurement of ALX40-4C in subject serum. For this purpose, an

indirect-competition enzyme-linked immunosorbent assay with generated rabbit anti-ALX40-4C antiserum was developed. The original assay took 12 h to complete and required many manipulations. Herein, we describe alterations to the system that resulted in the overall reduction in assay time and manipulation. We demonstrate that our alterations do not affect the specificity or sensitivity of the assay compared to that of the original system. ALX40-4C levels in spiked serum samples as well as drug levels from patient samples were used to validate the assay.

CONCEPT CODE: Chemotherapy - Antiviral agents 38506
Biochemistry studies - General 10060
Biophysics - Methods and techniques 10504
Enzymes - General and comparative studies: coenzymes 10802
Metabolism - General metabolism and metabolic pathways 13002
Blood - General and methods 15001
Pharmacology - Drug metabolism and metabolic stimulators 22003
Medical and clinical microbiology - Virology 36006

INDEX TERMS: Major Concepts
Pharmacology

INDEX TERMS: Chemicals & Biochemicals
human immunodeficiency virus Tat protein; ALX40-4C: antiretroviral agent, pharmacokinetics; TAR: binding

INDEX TERMS: Methods & Equipment
enzyme-linked immunosorbent assay

ORGANISM: Classifier
Herpesviridae 03115
Super Taxa
dsDNA Viruses; Viruses; Microorganisms
Organism Name
herpes simplex virus type 1: pathogen
herpes simplex virus type 2: pathogen
human cytomegalovirus: pathogen
Taxa Notes
Double-Stranded DNA Viruses, Microorganisms, Viruses

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human: patient
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

ORGANISM: Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses; Microorganisms
Organism Name
human immunodeficiency virus [HIV]: pathogen
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms, Viruses

REGISTRY NUMBER: 153127-49-2 (ALX40-4C)

L11 ANSWER 13 OF 14 PROUSDDR COPYRIGHT 2005 PROUS SCIENCE on STN
ACCESSION NUMBER: 2003:2047 PROUSDDR
DOCUMENT NUMBER: 330403

Searched by Barb O'Bryen, STIC 2-2518

CHEMICAL NAME: D-Arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-argininamide
DRUG NAME: D6R
GENERIC NAME: Hexa-D-Arginine
CAS REGISTRY NUMBER: **206350-77-8**
MOLECULAR FORMULA: C36 H75 N25 O6
HIGHEST DEV. PHASE: PRECLINICAL
ORIGINATOR: Louisiana State University
Torrey Pines Institute Molecular Studies
CLASSIFICATION CODE: Antibacterial Drugs
ENTRY DATE: Entered STN: 9 May 2004
Last Updated on STN: 19 Jul 2005

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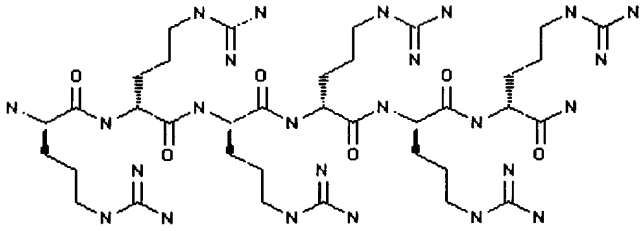

PROUS REFERENCES:
RefID: 711894 (Text Available)
Drug Data Report, Vol. 25, No. 2, pp 161, 2003

REFERENCE TEXT: RefID: 711894
ACTION - Antibacterial agent, an inhibitor of the proprotein convertase furin proven to block Pseudomonas exotoxin A (PEA)-induced cell lysis at 1-10 mcM in CHO cells, with no cytotoxicity at up to 100 mcM. Compound (1 nmol i.p.) significantly protected mice from death induced by PEA (50% survival at 7 days) and reduced the elevated production of TNF-alpha in PEA-treated animals, without inducing a cytokine response itself. As furin has been implicated in the activation of other bacterial toxins including diphtheria toxin, Shiga toxin, proaerolysin, anthrax toxin and Clostridium toxins, the compound may also be effective in infections caused by a variety of viruses and bacteria; preliminary data demonstrated its ability to inhibit the proteolytic activation of the anthrax protective antigen protein.

REFERENCES:
(1) RefID: 706975, Periodic Publication
"The furin inhibitor hexa-D-arginine blocks the activation of Pseudomonas aeruginosa exotoxin A in vivo"
Sarac, M.S.; Cameron, A.; Lindberg, I., Infect Immun, Vol. 70, No. 12, pp 7136, 2002

(2) RefID: 910583, Periodic Publication
"Cross-inhibition between furin and lethal factor inhibitors"
Peinado, J.R.; Kacprzak, M.M.; Leppla, S.H.; Lindberg, I., Biochem Biophys Res Commun, Vol. 321, No. 3, pp 601, 2004

L11 ANSWER 14 OF 14 PROUSDDR COPYRIGHT 2005 PROUS SCIENCE on STN
ACCESSION NUMBER: 1994:36 PROUSDDR
DOCUMENT NUMBER: 193149
CHEMICAL NAME: Nalpha-Acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginylamide acetate
DRUG NAME: 4C
ALX40-4C
CAS REGISTRY NUMBER: [redacted] (free acid)
MOLECULAR FORMULA: C58 H117 N37 O12
HIGHEST DEV. PHASE: PHASE II
ORIGINATOR: NPS Allelix
CLASSIFICATION CODE: Anti-HIV Agents
ACTION MECHANISM: Tat Inhibitors
ENTRY DATE: Entered STN: 9 May 2004
Last Updated on STN: 3 Aug 2005

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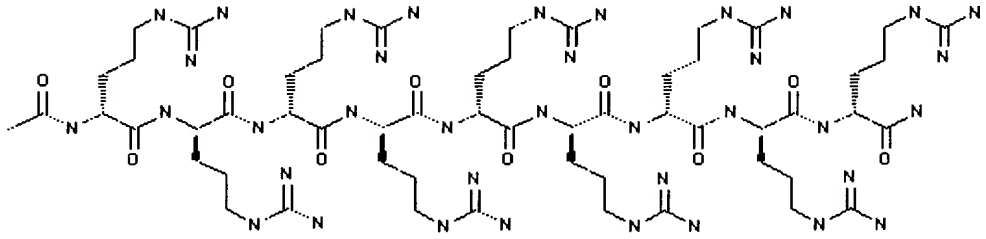

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PROUS REFERENCES:
RefID: 251315 (Text Available)
Drug Data Report, Vol. 16, No. 6, pp 579, 1994

REFERENCE TEXT: RefID: 251315
ACTION - Peptide anti-HIV agent that competitively inhibits the TAT/TAR interaction required for HIV transactivation. Pretreatment with title compound reduced p24 antigen levels in mononuclear cells infected with HTLV-IIIB with IC50 values on days 7 and 10 of 1.26 and 1.46 mcM, respectively, and IC90 values of 4.66 and 4.68 mcM, respectively; it showed minimal cytotoxicity at up to 20 mcM. Clinical trials are planned.

PATENT REFERENCES:

TITLE: Peptide-based inhibitors of HIV replication
INVENTOR(S): Sumner-Smith, M.; Barnett, R.W.; Reid, L.S.; Sonenberg, N.
PATENT ASSIGNEE(S): NPS Allelix
PATENT INFORMATION: US 5646120 19970708
WO 92007871 19920514
PRIORITY INFORMATION: US 1990-602953 19901024
US 1991-779735 19911023
US 1994-357056 19941214

Searched by Barb O'Bryen, STIC 2-2518

REFERENCES:

(1) RefID: 201588, Company Communication
Allelix Biopharmaceuticals Inc. Annual Report, 1992

(2) RefID: 204295, Company Communication
Allelix Biopharmaceuticals Inc. First Quarter Report, 1992

(3) RefID: 216114, Company Communication
Allelix Biopharmaceuticals Inc. Second Quarter Report, 1993

(4) RefID: 223349, Company Communication
Allelix Biopharmaceuticals Inc. Third Quarter Report, 1993

(5) RefID: 227294, Company Communication
"Allelix HIV drug approved for clinical trial"
Allelix Biopharmaceuticals Inc. Press Release, September 20, 1993

(6) RefID: 237360, Company Communication
Allelix Biopharmaceuticals Inc. Annual Report, 1993

(7) RefID: 241547, Company Communication
Allelix Biopharmaceuticals Inc. First Quarter Report, 1994

(8) RefID: 250889, Periodic Publication
"Antiretroviral activity of N-alpha-acetyl-nona-D arginine amide acetate (ALX40-4C)"
Conway, B.; et al., Antivir Res, Vol. 23, No. Suppl. 1, pp Abst 36, 1994

(9) RefID: 256553, Company Communication
"Allelix's HIV therapeutic completes phase I clinical trial"
Allelix Biopharmaceuticals Inc. Press Release, March 29, 1994

(10) RefID: 256554, Company Communication
Allelix Biopharmaceuticals Inc. Second Quarter Report, 1994

(11) RefID: 267372, Company Communication
Allelix Biopharmaceuticals Inc. Third Quarter Report, 1994

(12) RefID: 269944, Congress Literature
"ALX40-4C: Anti-HIV, cell uptake and pharmacokinetic analyses"
Sumner-Smith, M.; et al., Int Conf AIDS (10th Edition), Aug 7 1994-Aug 12 1994, Yokohama, (Abst 425A)

(13) RefID: 285833, Company Communication
Allelix Biopharmaceuticals Inc. Annual Report, 1994

(14) RefID: 285836, Company Communication
"Allelix's HIV drug receives approval to begin second clinical trial - Allelix also announces fourth quarter financial results"
Allelix Biopharmaceuticals Inc. Press Release, November 16, 1994

(15) RefID: 291818, Company Communication
Allelix Biopharmaceuticals Inc. First Quarter Report, 1995

(16) RefID: 304193, Company Communication
Allelix Biopharmaceuticals Inc. Second Quarter Report, 1995

(17) RefID: 323285, Congress Literature

"A phase I, single-dose evaluation of ALX40-4C in HIV-positive patients"
Sahai, J.; et al., Intersci Conf Antimicrob Agents Chemother (ICAAC) (35th Edition), Sept 17 1995-Sept 20 1995, San Francisco, (Abst A127)

(18) RefID: 323648, Periodic Publication
"Antiherpetic activities of N-alpha-acetyl-nona-D-arginine amide acetate"
Sumner-Smith, M.; et al., Drugs Exp Clin Res, Vol. 21, No. 1, pp 1, 1995

(19) RefID: 330573, Company Communication
Allelix Biopharmaceuticals Inc. Third Quarter Report, 1995

(20) RefID: 341915, Periodic Publication
"Anti-tumor effects of a fluorescent oxadiazole compound on leukemia, neuroblastoma, melanoma and colon carcinoma cells"
Meyer, T.; et al., Blood, Vol. 86, No. 10, Suppl. 1, pp Abst 2928, 1995

(21) RefID: 343605, Company Communication
Allelix Biopharmaceuticals Inc. Annual Report, 1995

(22) RefID: 343622, Company Communication
"Allelix's ALX40-4C begins phase I/II clinical trial for cytomegalovirus"
Allelix Biopharmaceuticals Inc. Press Release, July 31, 1995

(23) RefID: 343626, Company Communication
"Allelix announces fourth quarter results - Annual revenues up 72% over last year"
Allelix Biopharmaceuticals Inc. Press Release, November 16, 1995

(24) RefID: 346554, Periodic Publication
"A phase I evaluation of ALX40-4C in HIV-positive patients"
Sahai, J.; et al., Can J Infect Dis, Vol. 6, No. Suppl. B, pp Abst 243, 1995

(25) RefID: 347147, Company Communication
Allelix Biopharmaceuticals Inc. First Quarter Report, 1996

(26) RefID: 357436, Company Communication
"Allelix second quarter fiscal 1996 results"
Allelix Biopharmaceuticals Inc. Press Release, April 10, 1996

(27) RefID: 360786, Company Communication
"New treatment strategy to block HIV holds promise"
Ucla AIDS Institute Press Release, May 1, 1996

(28) RefID: 382504, Congress Literature
"Single and multiple dose pharmacokinetics of ALX40-4C in HIV-infected patients"
Sahai, J.; et al., Intersci Conf Antimicrob Agents Chemother (ICAAC) (36th Edition), Sept 15 1996-Sept 18 1996, New Orleans, (Abst A55)

(29) RefID: 382505, Congress Literature
"Effect of ALX40-4C on zidovudine (ZDV) pharmacokinetics in HIV-infected patients"
Sahai, J.; et al., Intersci Conf Antimicrob Agents Chemother (ICAAC) (36th Edition), Sept 15 1996-Sept 18 1996, New Orleans, (Abst A30)

(30) RefID: 392342, Company Communication
"Allelix refocuses its transcription therapeutics program"
Allelix Biopharmaceuticals Inc. Press Release, January 20, 1997

(31) RefID: 525056, Periodic Publication
"A small-molecule inhibitor directed against the chemokine receptor CXCR4 prevents its use as an HIV-1 coreceptor"
Doranz, B.J.; Grovit-Ferbas, K.; Sharron, M.P.; Mao, S.-H.; Bidwell Goetz, M.; Daar, E.S.; Doms, R.W.; O'Brien, W.A., J Exp Med, Vol. 186, No. 8, pp 1395, 1997

(32) RefID: 892294, Periodic Publication
"Safe use of the CXCR4 inhibitor ALX40-4C in humans"
Doranz, B.J.; Filion, L.G.; Diaz-Mitoma, F.; et al., AIDS Res Hum Retroviruses, Vol. 17, No. 6, pp 475, 2001

(33) RefID: 687198, Periodic Publication
"A point mutation that confers constitutive activity to CXCR4 reveals that T140 is an inverse agonist and that AMD3100 and ALX40-4C axe weak partial agonists"
Zhang, W.B.; et al., J Biol Chem, Vol. 277, No. 27, pp 24515, 2002

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BINARY DATA HAVE BEEN DOWNLOADED TO MULTIPLES FILES 'IMAGEnnn.TIF'

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STRUCTURE FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9
DICTIONARY FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer

to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s l4 and (143413-49-4 or 206350-77-8 or 153127-49-2 or 216584-13-3)

1 143413-49-4
(143413-49-4/RN)
1 206350-77-8
(206350-77-8/RN)
1 153127-49-2
(153127-49-2/RN)
1 216584-13-3
(216584-13-3/RN)

Sequence records for hits from Biosis & Prousddr

L12 4 L4 AND (143413-49-4 OR 206350-77-8 OR 153127-49-2 OR 216584-13-3)

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L12 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN
RN **216584-13-3** REGISTRY - Use Registry # to match sequence with citation
CN D-Arginine, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN 88: PN: WO0183554 SEQID: 139 claimed protein
CN D-Arginine heptamer
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 7

PATENT ANNOTATIONS (PNTE):

Sequence Source	Patent Reference
Not Given	WO2001083554 claimed SEQID 139

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RELATED SEQUENCES AVAILABLE WITH SEQLINK
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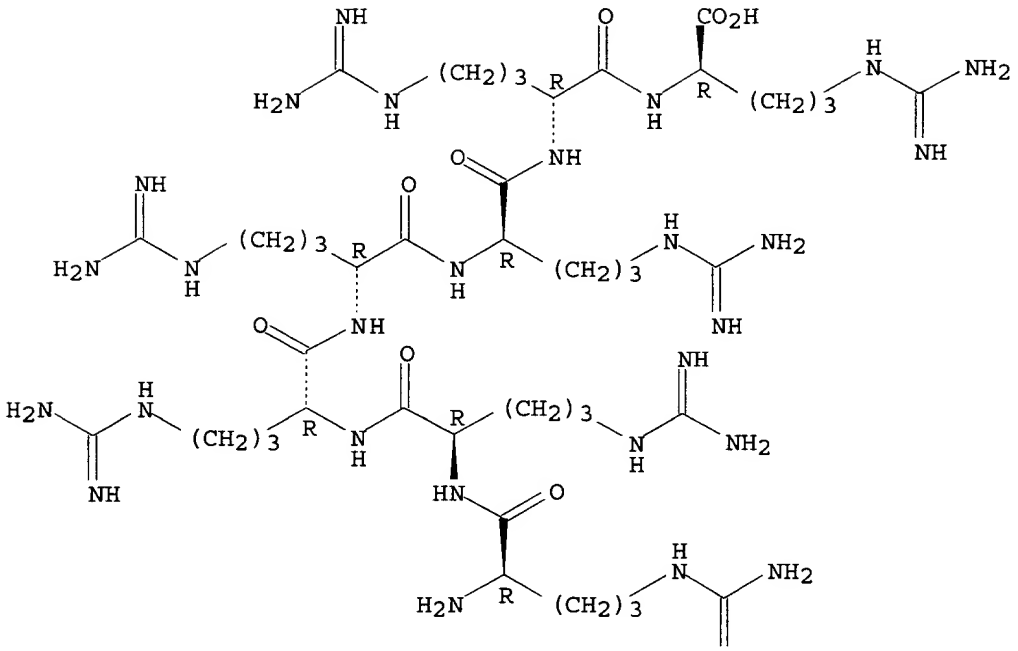
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

9 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
9 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN
RN **206350-77-8** REGISTRY
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
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NTE modified

type	------ location ------	description
terminal mod.	Arg-6 -	C-terminal amide

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HITS AT: 1-6

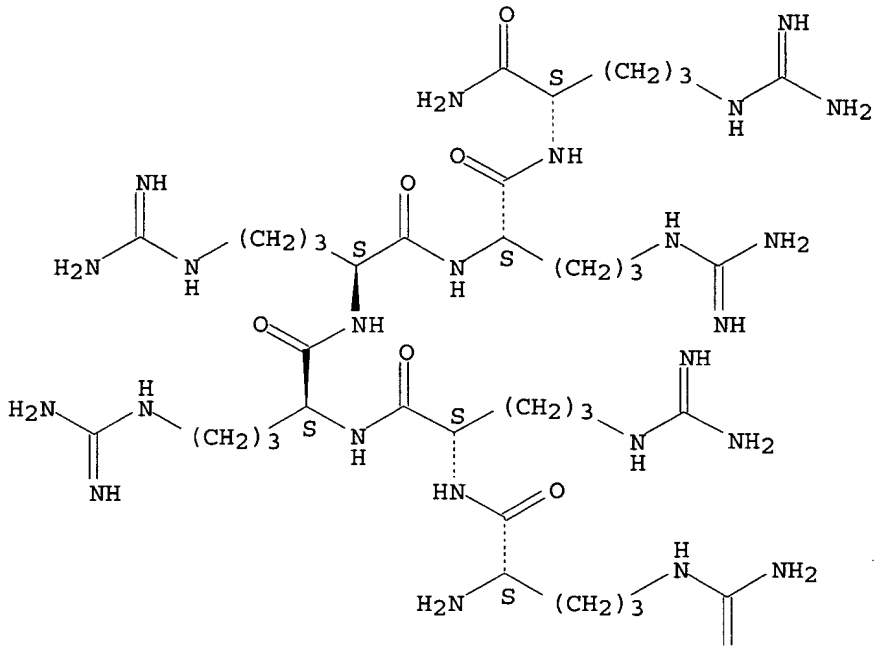
RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF C36 H75 N25 O6
SR CA

Searched by Barb O'Bryen, STIC 2-2518

LC STN Files: CA, CAPLUS, PROUSDDR, TOXCENTER
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



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3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN
RN 153127-49-2 REGISTRY
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)
OTHER NAMES:
CN ALX 40-4C
FS PROTEIN SEQUENCE; STEREOSEARCH
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terminal mod.	Arg-9	-	C-terminal amide

modification - - undetermined modification

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LC STN Files: BIOSIS, CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, PHAR, TOXCENTER, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)

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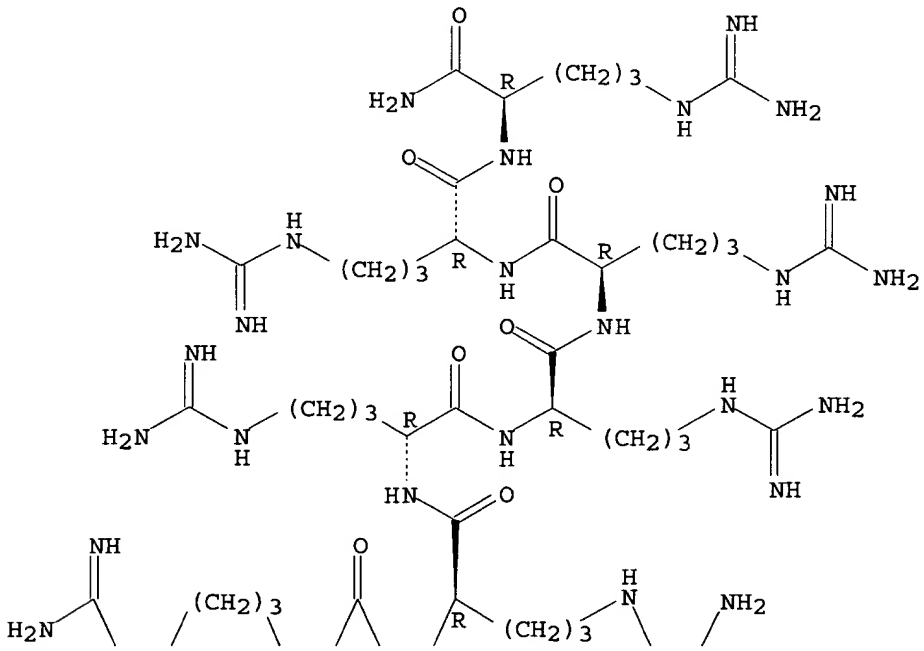
Absolute stereochemistry.

PAGE 1-A



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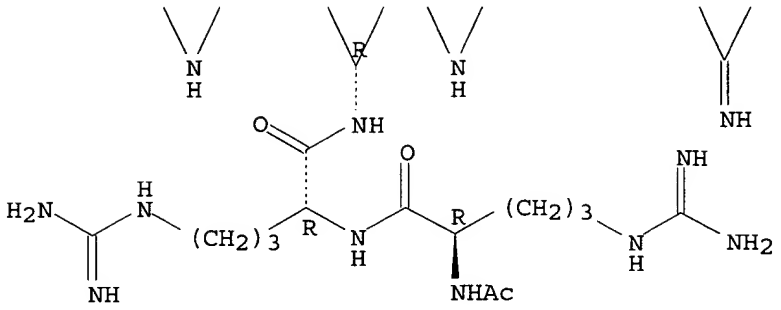

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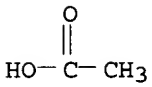

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17 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2005 ACS on STN
RN 143413-49-4 REGISTRY
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 9
NTE modified

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terminal mod.	Arg-1	-	N-acetyl
terminal mod.	Arg-9	-	C-terminal amide

SEQ 1 RRRRRRRRR
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HITS AT: 1-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK
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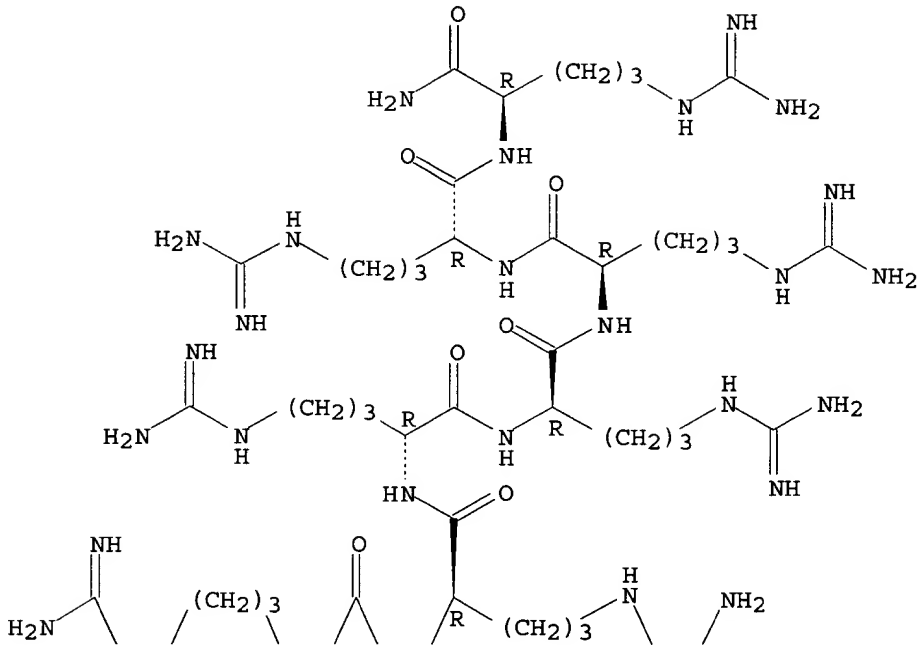
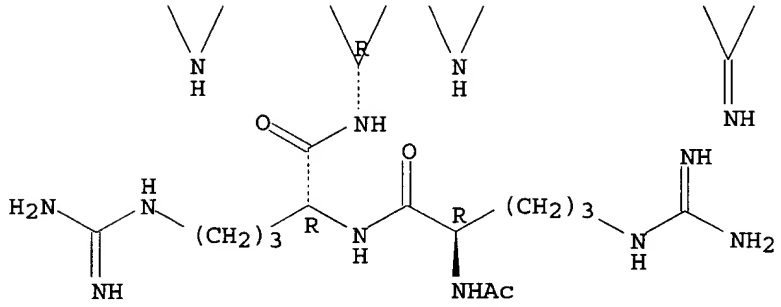
CI COM
SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, PROUSDDR, TOXCENTER, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study)
RL.NP Roles from non-patents: PRP (Properties)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



6 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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STRUCTURE FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9
DICTIONARY FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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L13 1 SEA FILE=REGISTRY ABB=ON L4 AND SQL>20

Sequence length greater than 20 to guarantee at least one G

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L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 444901-57-9 REGISTRY
CN L-Cysteinamide, N2,N6-bis[N2,N6-bis(L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl)-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE
SQL **29**,10,7,6,6
NTE multichain
modified

type	location		description
terminal mod.	Cys-10	-	C-terminal amide
bridge	Lys-7	- Arg-6''	amide bridge
bridge	Lys-8	- Lys-7'	amide bridge
bridge	Lys-7'	- Arg-6'''	amide bridge

SEQ 1 RRRRRRKKGC

SEQ 1 RRRRRRK

SEQ 1 RRRRRR
======

HITS AT: 1-6

SEQ 1 RRRRRR
======
HITS AT: 1-6
MF C167 H335 N105 O29 S
CI MAN
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PRP (Properties)
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil capl; s l13
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FILE LAST UPDATED: 6 Sep 2005 (20050906/ED)

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L14 1 L13

=> d iall

L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:409334 CAPLUS
DOCUMENT NUMBER: 137:136445
ENTRY DATE: Entered STN: 02 Jun 2002
TITLE: Translocation of branched-chain arginine peptides through cell membranes: Flexibility in the spatial disposition of positive charges in membrane-permeable peptides
AUTHOR(S): Futaki, Shiroh; Nakase, Ikuhiko; Suzuki, Tomoki; Zhang, Youjun; Sugiura, Yukio
CORPORATE SOURCE: Institute for Chemical Research, Kyoto University, Uji Kyoto, 611-0011, Japan
SOURCE: Biochemistry (2002), 41(25), 7925-7930
CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 6-1 (General Biochemistry)
Section cross-reference(s): 9, 34, 63

ABSTRACT:
A basic peptide derived from HIV-1 Tat has been reported to have the ability to translocate through cell membranes and to bring exogenous proteins into cells. The authors have demonstrated that these features could be observed among many arginine-rich peptides, and the presence of a ubiquitous internalization mechanism for arginine-rich oligopeptides has been suggested. In this report, the authors report that these features are also applicable to the peptides having branched-chain structures. Peptides that have arginine residues on four branched chains (Rn)4 [n (number of arginine residues) = 0-6] were prepared Fluorescence microscopic observation revealed that the (R2)4 peptide exhibited the most efficient translocation. The dependence on the number of arginine residues of the translocation efficiency and cellular localization was also observed for the branched-chain peptides as was seen in the linear peptides. Quite interestingly, efficient translocation was also recognized in the (RG3R)4 peptide, where three glycine residues intervened between two arginine residues on each chain of (R2)4. The results strongly suggested that a linear structure was not indispensable for the translocation of arginine-rich peptides and that there could be considerable flexibility in the location of the arginine residue in the mols.

SUPPL. TERM: translocation branched chain arginine peptide protein conjugate cell membrane

INDEX TERM: Peptides, biological studies
ROLE: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(arginine-containing, branched-chain; translocation of branched-chain arginine peptides and conjugates with carbonic anhydrase through HeLa cell membranes)

INDEX TERM: Biological transport
(internalization; translocation of branched-chain arginine peptides and conjugates with carbonic anhydrase through HeLa cell membranes)

INDEX TERM: HeLa cell
Human
(translocation of branched-chain arginine peptides and conjugates with carbonic anhydrase through HeLa cell membranes)

INDEX TERM: 9001-03-0D, Carbonic anhydrase, conjugates with branched-chain arginine peptides 444811-61-4D, conjugates with carbonic anhydrase 444811-64-7D, conjugates with carbonic anhydrase
ROLE: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(translocation of branched-chain arginine peptides and conjugates with carbonic anhydrase through HeLa cell membranes)

INDEX TERM: 350829-76-4 444811-59-0 444811-60-3 444811-61-4 444811-62-5 444811-63-6 444811-64-7 **444901-57-9**
ROLE: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(translocation of branched-chain arginine peptides and conjugates with carbonic anhydrase through HeLa cell membranes)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD.

REFERENCE(S):
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(2) Derossi, D; J Biol Chem 1994, V269, P10444 CAPLUS
(3) Derossi, D; Trends Cell Biol 1998, V8, P84 CAPLUS
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(5) Fawell, S; Proc Natl Acad Sci U S A 1994, V91, P664 CAPLUS
(6) Florence, A; Adv Drug Delivery Rev 2001, V50, PS69 CAPLUS
(7) Futaki, S; Bioconjugate Chem 2001, V12, P1005 CAPLUS
(8) Futaki, S; Bioorg Med Chem 1997, V5, P1883 CAPLUS
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(15) Polyakov, V; Bioconjugate Chem 2000, V11, P762 CAPLUS
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(21) Vives, E; J Biol Chem 1997, V272, P16010 CAPLUS
(22) Vocero-Akbani, A; Nat Med 1999, V5, P29 CAPLUS
(23) Wagner, E; Adv Drug Delivery Rev 1999, V38, P279 CAPLUS
(24) Wender, P; Proc Natl Acad Sci U S A 2000, V97, P13003 CAPLUS

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=> □

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L4          146 SEA FILE=REGISTRY ABB=ON  ^G{0,8}R{5,20}^/SQSP
L6          203 SEA FILE=CAPLUS ABB=ON  L4
L15          38 SEA FILE=CAPLUS ABB=ON  L6 NOT PY>1999

=> d ibib ed abs hitseq

L15  ANSWER 1 OF 38  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        1999:745981  CAPLUS
DOCUMENT NUMBER:         132:222835
TITLE:                   Peptide-formation on cysteine-containing peptide
                         scaffolds
AUTHOR(S):               Chu, Barbara C. F.; Orgel, Leslie E.
CORPORATE SOURCE:        The Salk Institute for Biological Studies, San Diego,
                         CA, 92186-5800, USA
SOURCE:                  Origins of Life and Evolution of the Biosphere (1999),
                         29(5), 441-449
                         CODEN: OLEBEM; ISSN: 0169-6149
PUBLISHER:               Kluwer Academic Publishers
DOCUMENT TYPE:           Journal
LANGUAGE:                English
ED   Entered STN:  24 Nov 1999
AB   Monomeric cysteine residues attached to cysteine-containing peptides by
     disulfide bonds can be activated by carbonyldiimidazole.  If two monomeric
     cysteine residues attached to a "scaffold" peptide H-Gly-Cys-(Gly)n-Cys-
     (Glu)10-OH (n = 0-3) are activated, then they react to form the dipeptide
     H-Cys-Cys-OH in 25-65% yield.  Similarly, the activation of a cysteine
     residue attached to the "scaffold" peptide H-Gly-Cys-Gly-(Glu)10-OH in the
     presence of H-(Arg)5-OH leads to the formation of H-Cys-(Arg)5-OH in 50%
     yield.  The significance of these results for prebiotic chemical is
```

References published prior to 2000

discussed.

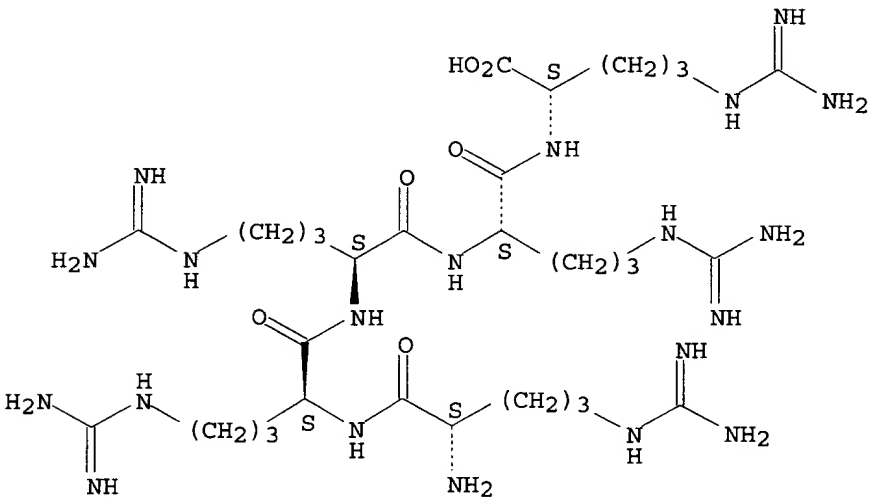
IT **135941-07-0**, H-(Arg)5-OH
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide formation on cysteine-containing peptide scaffolds)

RN 135941-07-0 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRR

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L15 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:634870 CAPLUS
DOCUMENT NUMBER: 132:48807
TITLE: The Role of Positively Charged Residues in CXCR4 Recognition Probed with Synthetic Peptides
AUTHOR(S): Luo, Zhaowen; Zhou, Naiming; Luo, Jiansong; Hall, James W.; Huang, Ziwei
CORPORATE SOURCE: Kimmel Cancer Institute, Jefferson Medical College, Thomas Jefferson University, Philadelphia, PA, 19107, USA
SOURCE: Biochemical and Biophysical Research Communications (1999), 263(3), 691-695
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 07 Oct 1999
AB A high pos. charge is the common characteristic shared by the β-sheet region of stromal cell-derived factor-1 (SDF-1) and CXCR4 antagonists such as ALX40-4C consisting of nine D-arginines. This raises the question that

the pos. charged residues may play a role in recognition of CXCR4. To test this hypothesis, two studies were carried out using synthetic peptides. In the first study, peptide analogs possessing amino acid sequences from both the N-terminus and the β-sheet region of SDF-1 were used as models to study the functional role of the β-sheet region of SDF-1. The attachment of pos. charged residues to the N-terminal peptide sequence of SDF-1 was found to enhance the ability of the peptides in CXCR4 binding and inhibiting CXCR4-mediated T-tropic HIV-1 entry. In the second study, two peptides containing nine arginines and the N-terminal signal sequence of SDF-1 were used as models to study the receptor binding mechanism of CXCR4 antagonists of high pos. charges such as ALX40-4C. One peptide did not show signaling activity as indicated by the lack of calcium influx while another peptide induced unusual calcium influx distinct from that induced by the SDF-1 N-terminal peptide. In addition, the signal induced by the SDF-1 N-terminal peptide was inhibited by ALX40-4C. Therefore, the first study provides exptl. support for the role of the highly pos. β-sheet region of SDF-1 in CXCR4 binding. The second study suggests that the binding site of ALX40-4C in CXCR4 may partially overlap with that of the SDF-1 N-terminal peptide. Both findings should be valuable for the design of SDF-1 agonists and antagonists. (c) 1999 Academic Press.

IT **143413-49-4**
RL: PRP (Properties)
(peptide analogs of β-sheet region of stromal cell-derived factor-1 and CXCR4 antagonist to probe role of pos. charged residues in CXCR4 recognition and binding)

RN 143413-49-4 CAPLUS

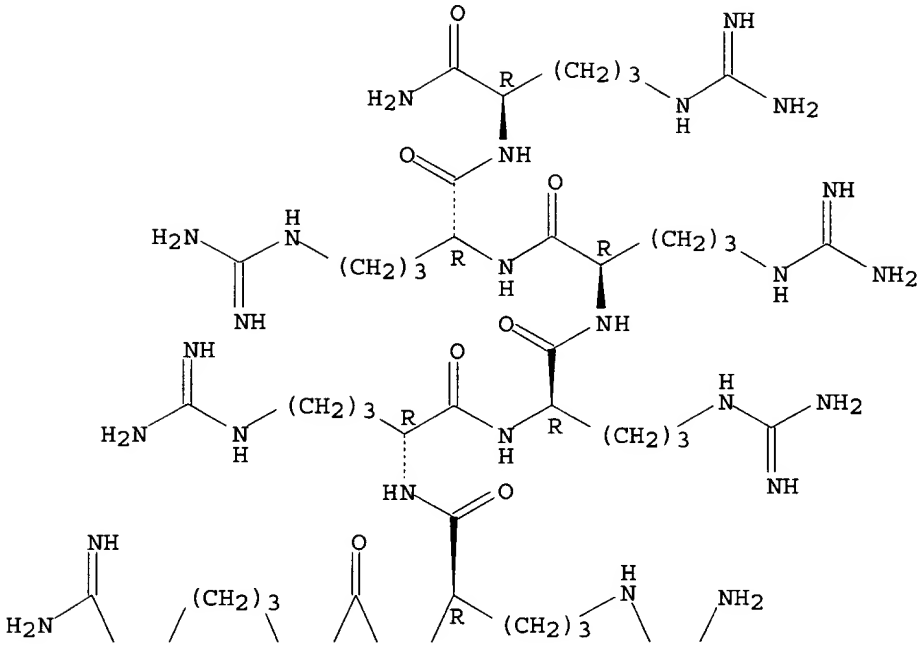
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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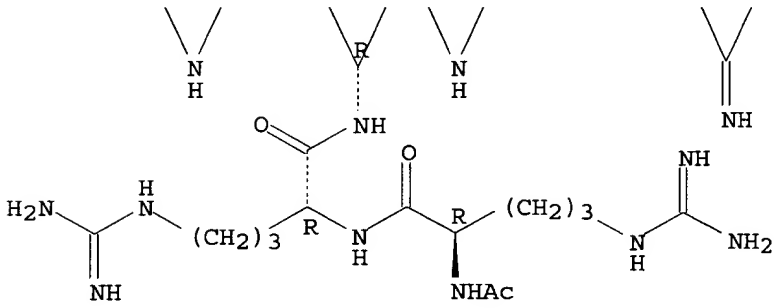


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:348259 CAPLUS
DOCUMENT NUMBER: 131:124936
TITLE: Adenosine-5'-carboxylic acid peptidyl derivatives as inhibitors of protein kinases
AUTHOR(S): Loog, Mart; Uri, Asko; Raidaru, Gerda; Jarv, Jaak; Ek, Pia
CORPORATE SOURCE: Institute of Chemical Physics, Tartu University, Tartu, 51014, Estonia
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(10), 1447-1452
CODEN: BMCLE8; ISSN: 0960-894X

Searched by Barb O'Bryen, STIC 2-2518

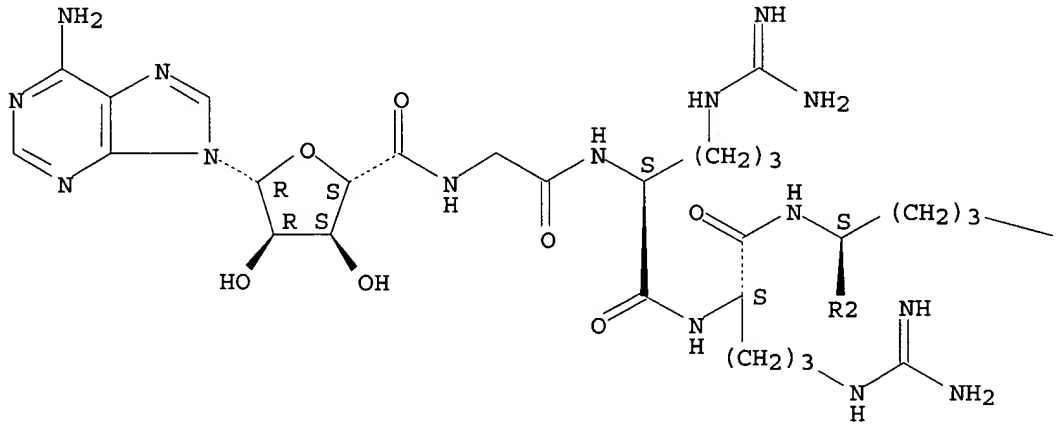
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 08 Jun 1999
AB A new class of protein kinase bisubstrate-analog inhibitors was designed on the basis of adenosine-5'-carboxylic acid derivs., where a short peptide was attached to the 5'-carbon atom of the adenosine sugar moiety via a linker chain. The potency and selectivity of these inhibitors were adjusted by relevant combination of these structural fragments, resembling the structure of the bisubstrate complex of the peptide phosphorylation reaction.
IT **234780-02-0 234780-10-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine-5'-carboxylic acid peptidyl derivs. as inhibitors of protein kinases)
RN 234780-02-0 CAPLUS
CN L-Arginine, N-[1-(6-amino-9H-purin-9-yl)-1-deoxy-β-D-ribofuranuronoyl]glycyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-(9CI) (CA INDEX NAME)

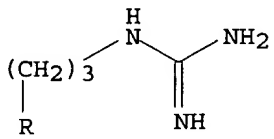
NTE modified (modifications unspecified)

SEQ 1 GRRRRRR

Absolute stereochemistry.

PAGE 1-A





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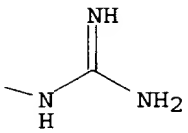

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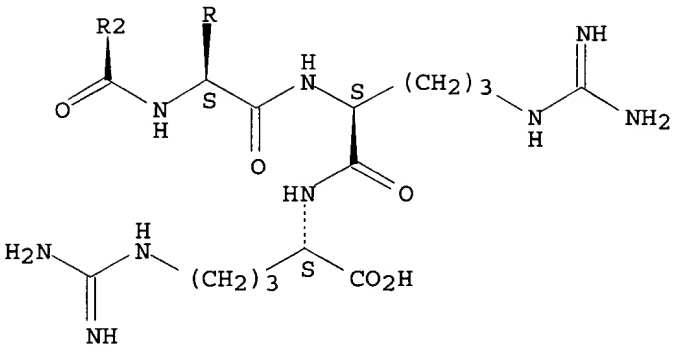


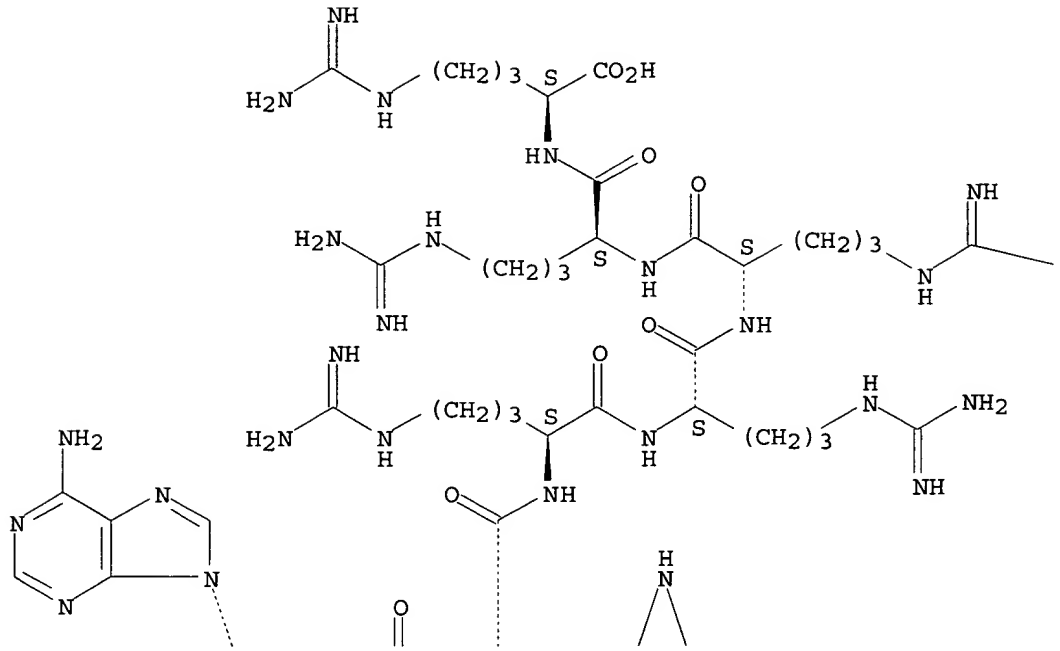
RN 234780-10-0 CAPLUS
CN L-Arginine, N2-[1-(6-amino-9H-purin-9-yl)-1-deoxy-β-D-ribofuranuronoyl]-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



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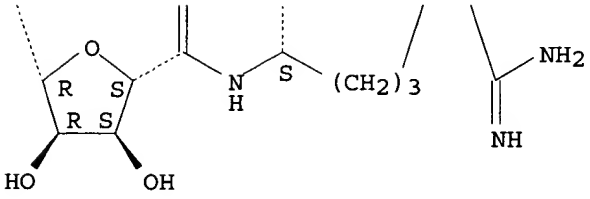


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

Searched by Barb O'Bryen, STIC 2-2518

ACCESSION NUMBER: 1998:603187 CAPLUS
DOCUMENT NUMBER: 129:198016
TITLE: Neuroprotective poly-guanidino compounds, and preparation thereof, for blocking presynaptic N and P/Q calcium channels
INVENTOR(S): Marangos, Paul J.; Sullivan, Brian W.; Wiemann, Torsten; Danks, Anne M.; Sragovicz, Marina; Makings, Lewis R.
PATENT ASSIGNEE(S): Cypros Pharmaceutical Corp., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

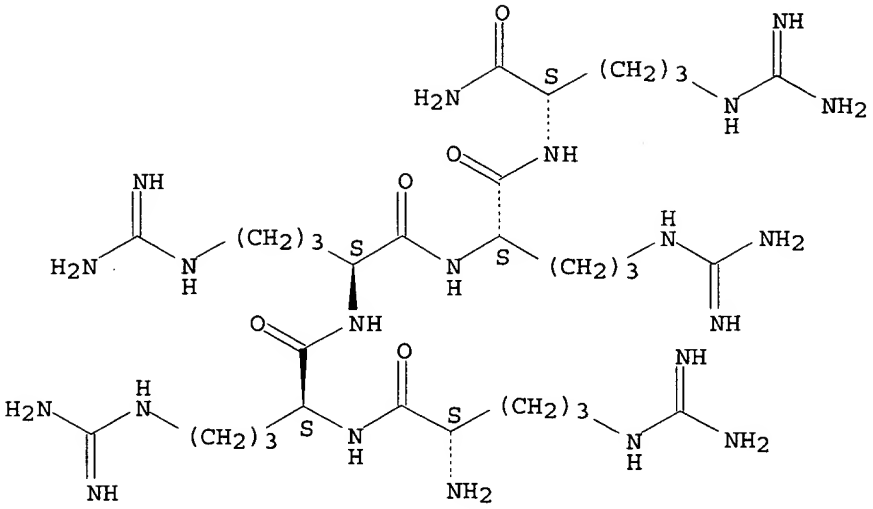
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9836743	A1	19980827	WO 1998-US3174	19980218

W: CA, JP
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1997-804213 A 19970221
ED Entered STN: 23 Sep 1998
AB Neuroprotective drugs are disclosed with at least 3 branches extending outwardly from a center atom or group, each branch having a guanidino group at its terminus. All branches preferably should be identical, and distributed around the center atom or group in a radial manner. Three branches can be bonded to a nitrogen atom, or four branches can be coupled to a carbon atom; other center groups include stable aromatic, cycloalkyl, heterocyclic, or bicyclic structures. Starting reagents are disclosed with a center atom or group, and with reactive groups (such as primary amines or hydroxyl groups) at the ends of short "spacer chains" bonded to the center atom or group. Reagents derived from arginine (an amino acid having a terminal guanidino group) can be bonded to these center components, using protective groups on the arginyl reagents to ensure desired final products with accessible guanidino groups at the ends of spacer chains. Alternately, guanylating agents can be used to directly convert primary amine groups at the ends of spacer chains, on starting reagents, into guanidino groups. These drugs can be injected i.v. into patients suffering from ischemic or hypoxic crises (stroke, cardiac arrest, loss of blood, suffocation, etc.), and can penetrate the blood-brain barrier and suppress the entry of calcium into CNS neurons via N-type and P/Q type calcium channels, thereby reducing excitotoxic damage in the CNS. These drugs are also useful for suppressing other types of unwanted excessive neuronal activation, such as neuropathic pain.
IT **212183-34-1 212183-36-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(poly-guanidino compound neuroprotectants, and preparation thereof, for blocking presynaptic N and P/Q calcium channels)
RN 212183-34-1 CAPLUS
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRR

Absolute stereochemistry.



RN 212183-36-3 CAPLUS
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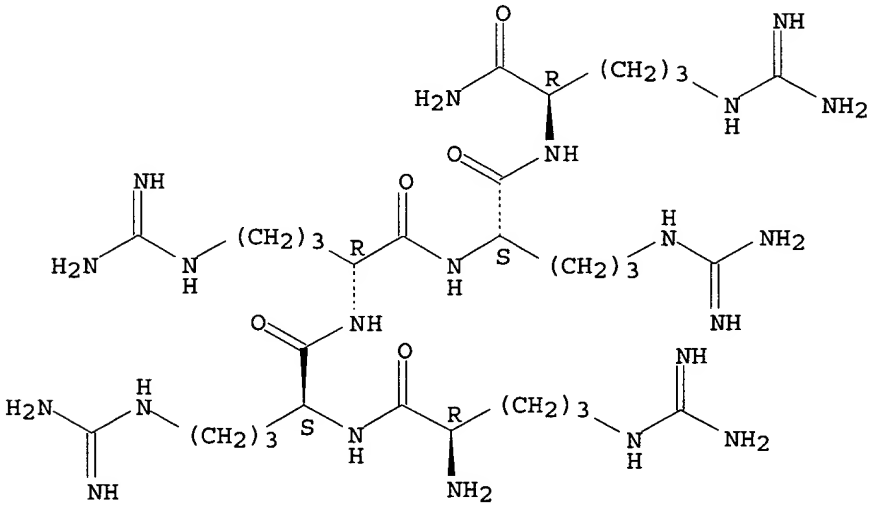
NTE modified

SEQ 1 RRRRR

Absolute stereochemistry.



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L15 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:446934 CAPLUS
DOCUMENT NUMBER: 129:185531
TITLE: Promotion of Microtubule Assembly by Oligocations:

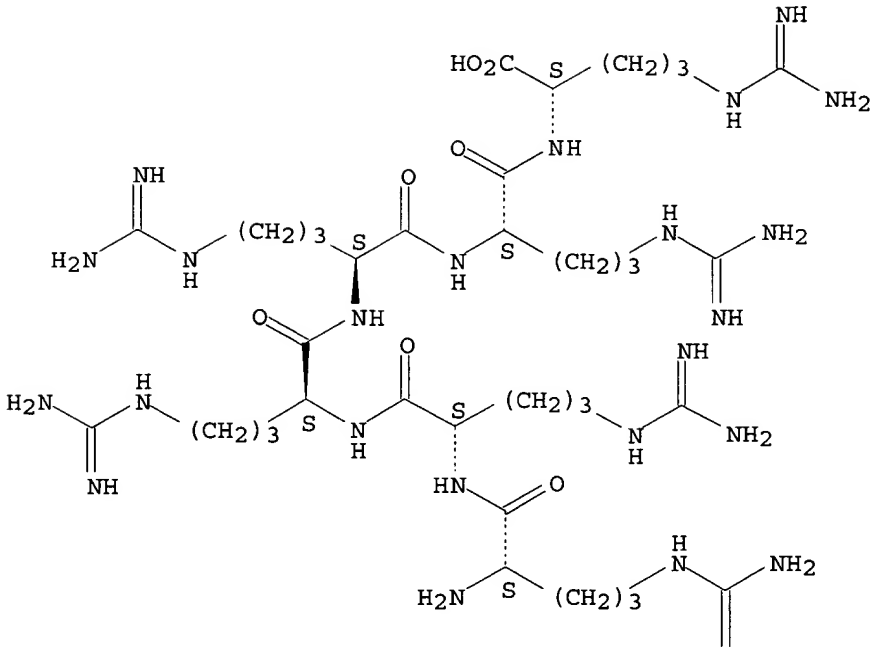
Searched by Barb O'Bryen, STIC 2-2518

Cooperativity between Charged Groups
AUTHOR(S): Wolff, J.
CORPORATE SOURCE: Laboratory of Biochemistry and Genetics, National Institutes of Health, Bethesda, MD, 20892, USA
SOURCE: Biochemistry (1998), 37(30), 10722-10729
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 20 Jul 1998
AB The rate and, to a lesser degree, the extent of microtubule assembly from rat brain tubulin is enhanced by oligocations such as polyamines, melittin, polybasic drugs, oligolysines, and oligoarginines. The effect is cooperative for ds.p. up to seven for oligolysines and up to five for oligoarginines and is interpreted as an interaction with up to seven closely spaced anionic charges. Microtubules so formed appear to be normal by electron microscopy, and by salt, colchicine, and cold sensitivities. Lysyl residues in excess of seven (or five for arginine) in larger oligomers interact nearly noncooperatively. Separation of lysyl charges by intercalation of alanyl residues reduced assembly promoting potency for hexalysines. The cooperative portion of the response is most likely associated with the highly acidic extreme C termini of tubulin because their removal with limited subtilisin treatment markedly reduces oligolysine potency. However, some cooperative interactions with oligocations can also occur with more widely spaced anionic charges elsewhere in tubulin. The potential role of oligocations in the intracellular regulation of microtubule assembly is discussed.
IT **96337-25-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(promotion of microtubule assembly by diamines, polyamines, oligolysines and oligoarginines)
RN 96337-25-6 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



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L15 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:396335 CAPLUS
DOCUMENT NUMBER: 129:203229
TITLE: Synthesis and cytotoxic activity of new peptides containing basic amino acid residues
AUTHOR(S): Chillemi, Francesco; Francescato, Pierangelo; Fraccari, Alessandra; Galatulas, Iraklis
CORPORATE SOURCE: Dipartimento di Chimica Organica e Industriale, Milan, 20133, Italy
SOURCE: Anticancer Research (1998), 18(2A), 757-758
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 29 Jun 1998
AB In search of more potent compds. endowed with a cytotoxic activity, a new series of basic peptides was synthesized using solid-phase methods. All peptides were purified by preparative reverse-phase HPLC and characterized by electrospray mass spectrometry. The cytotoxic activity was determined in cultured HeLa cells. The hexadecapeptides H-Arg-His-His-Lys-Arg-Lys-His-Lys-Arg-His-Lys-Lys-Arg-His-His-Lys-OH and H-Lys-Arg-Lys-His-His-Lys-Arg-

Lys-Arg-His-Lys-Lys-Arg-His-His-Lys-OH showed a 50% inhibition at the concentration of 30 μg/mL. The peptide salmine and oligomers H-(Arg)16-OH, H-(His)16-OH, and H-(Lys)16-OH were virtually inactive. This demonstrates that a specific peptide sequence is necessary to obtain a pos. response in HeLa test.

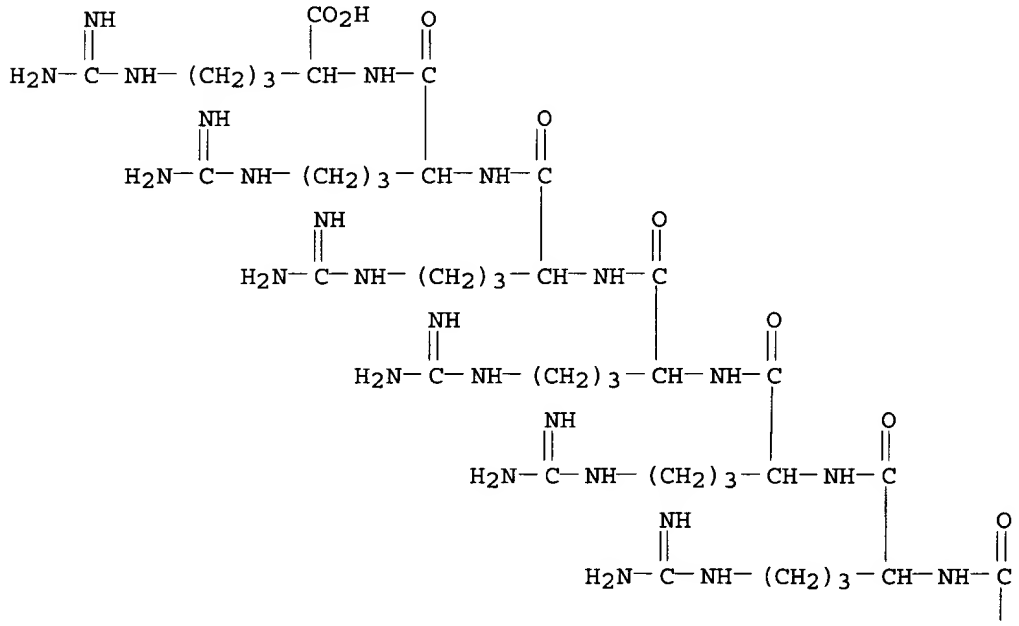
IT **74386-12-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and cytotoxic activity of new peptides containing basic amino acid residues)

RN 74386-12-2 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRRRRRR RRRRRR

PAGE 1-A



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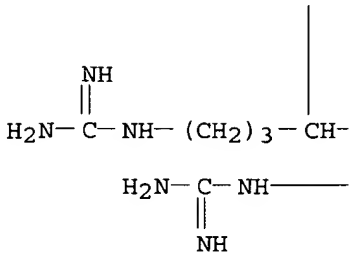

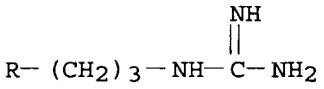

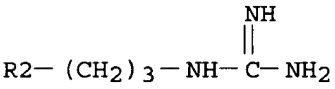

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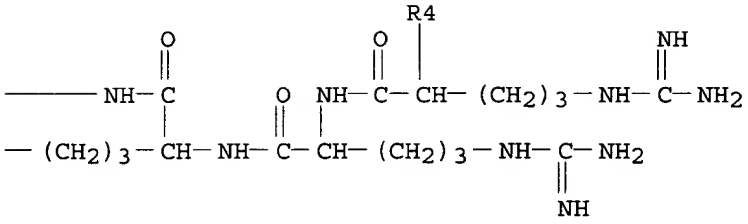

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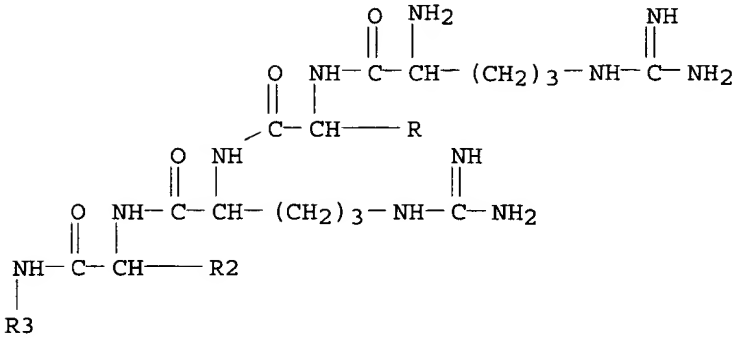
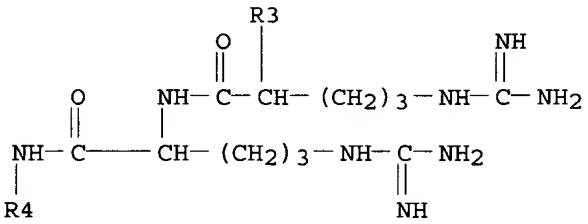




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

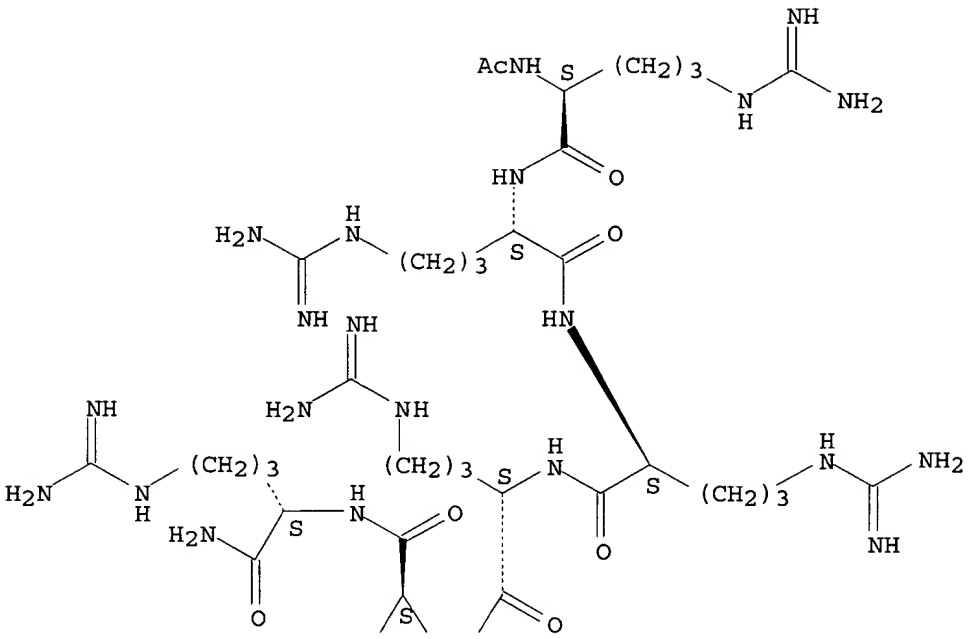
L15 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:255444 CAPLUS
DOCUMENT NUMBER: 129:51255
TITLE: Peptide inhibitors of cathepsin C designed through the use of combinatorial libraries
AUTHOR(S): Horn, Martin; Pavlik, Manfred; Mares, Michael
CORPORATE SOURCE: Institute of Organic Chemistry and Biochemistry, Czech Academy of Sciences, Prague, 16610, Czech Rep.
SOURCE: Biomedical and Health Research (1997), 13(Proteolysis in Cell Functions), 137-140
CODEN: BIHREN; ISSN: 0929-6743
PUBLISHER: IOS Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 06 May 1998
AB Cathepsin C is one of the lysosomal cathepsins which is interesting due to its unique structural and functional features. The authors present a de novo design of low mol. weight inhibitors using peptide combinatorial chemical to study its specificity and active site.
IT **208645-99-2 208646-00-8 208646-01-9**
208646-02-0 208646-03-1 208646-04-2
208646-05-3 208646-06-4 208646-07-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(peptide inhibitors of cathepsin C designed through use of combinatorial libraries)
RN 208645-99-2 CAPLUS
CN L-Àrgininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



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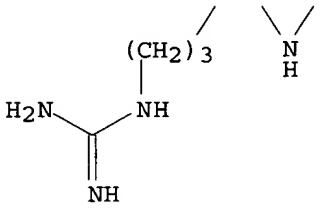


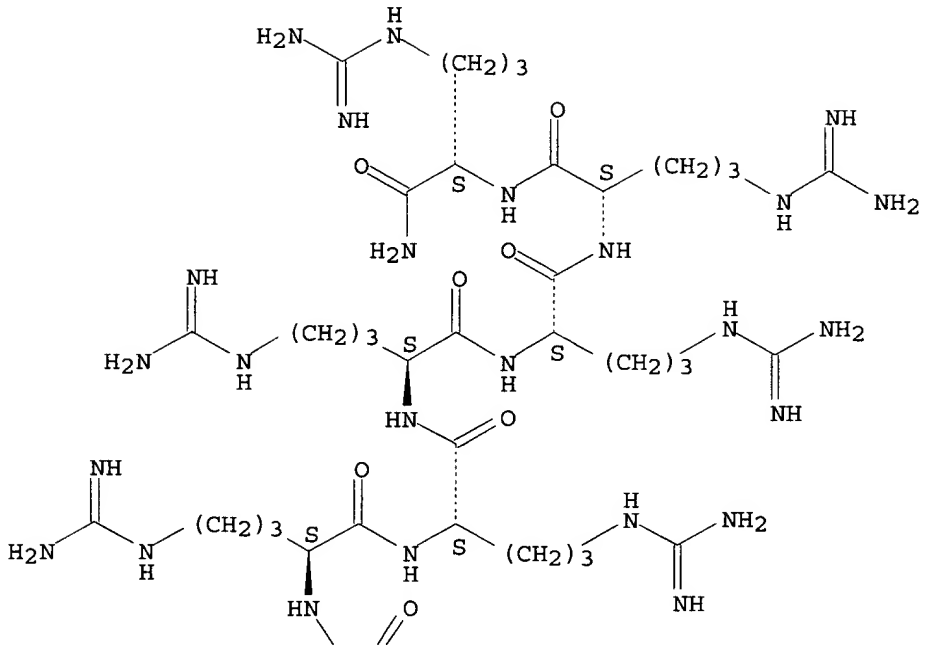
RN 208646-00-8 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRR

Absolute stereochemistry.

PAGE 1-A



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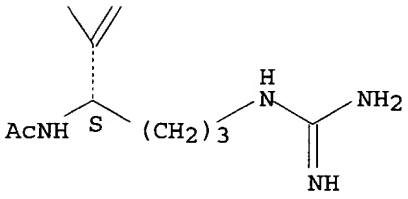


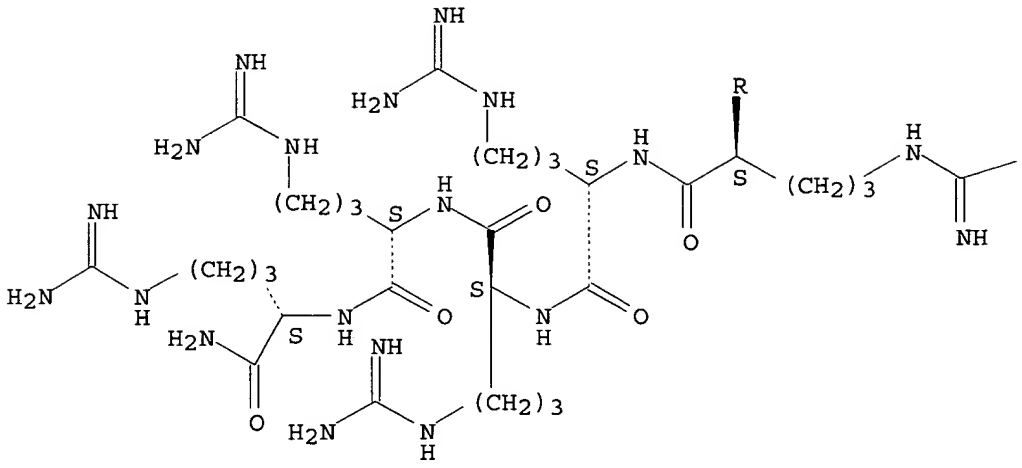
RN 208646-01-9 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRR

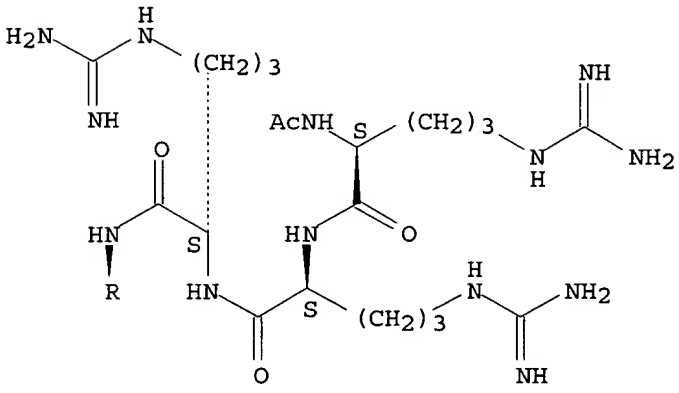
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A



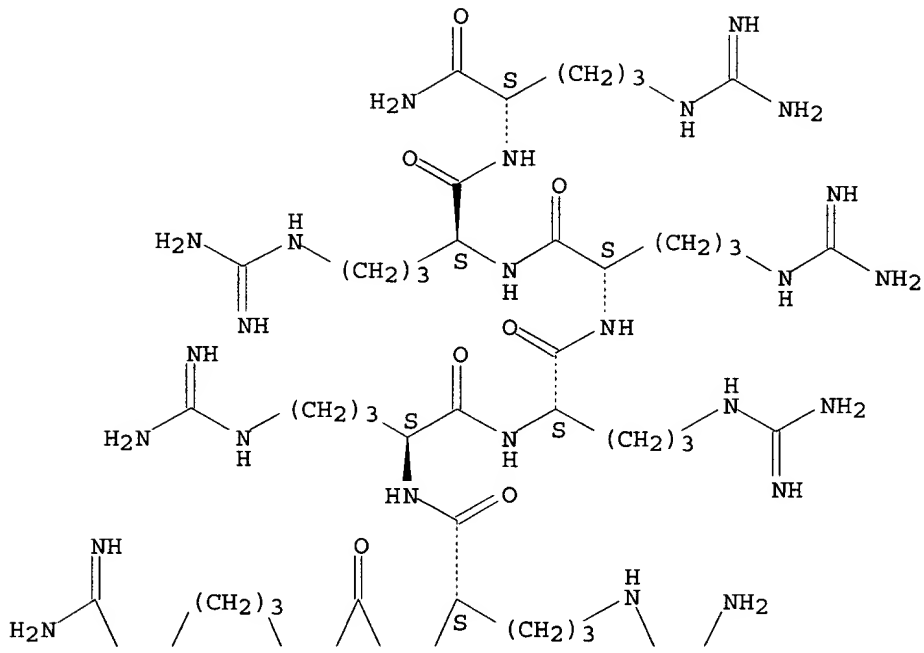
RN 208646-02-0 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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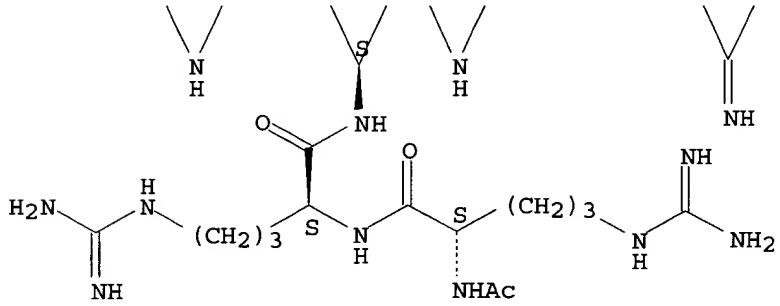


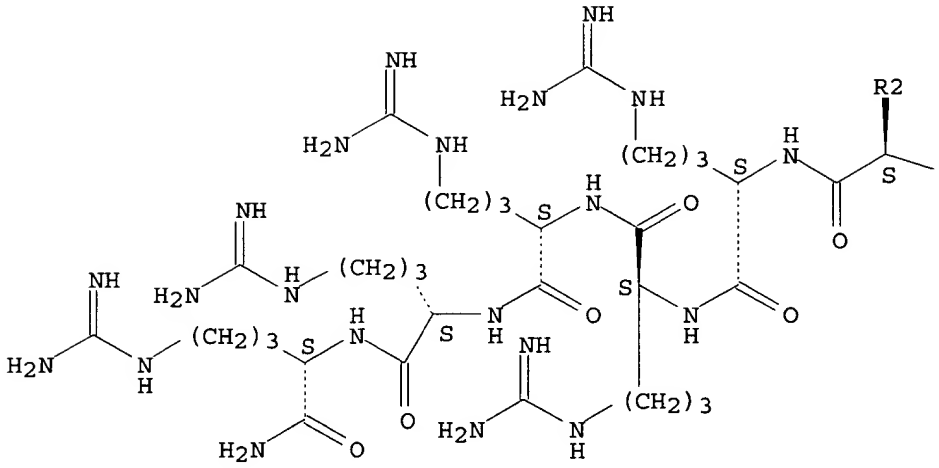
RN 208646-03-1 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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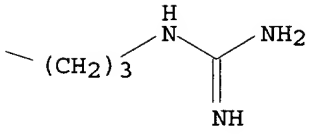
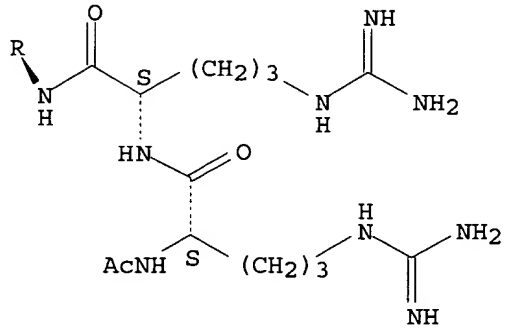


PAGE 2-A



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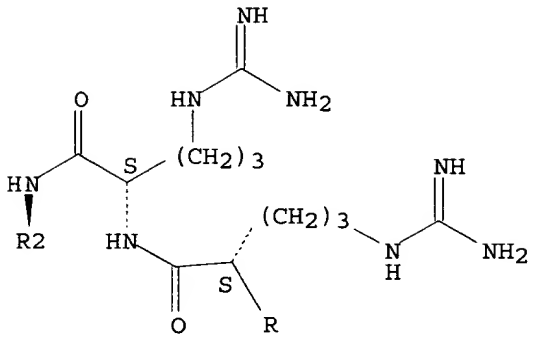


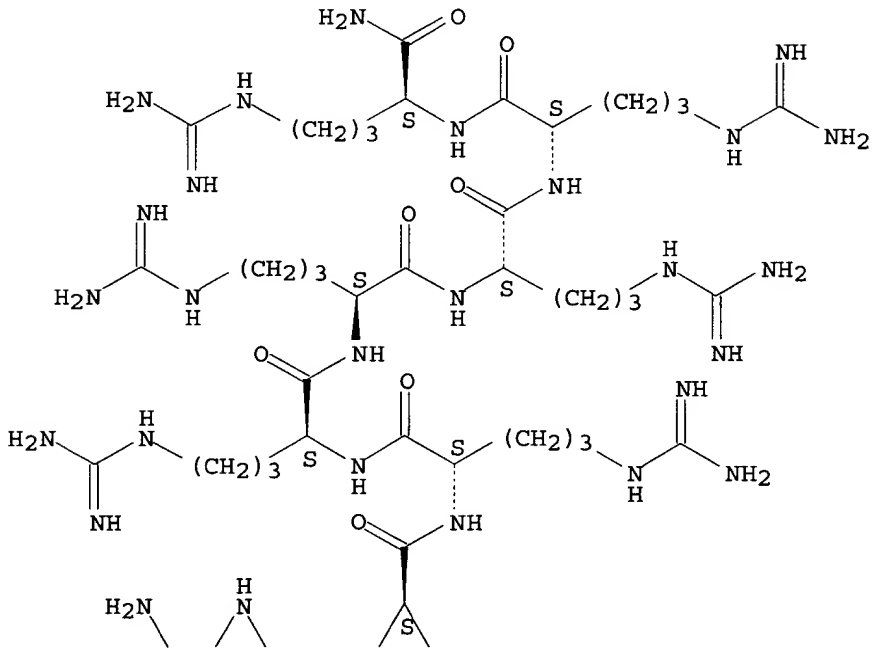
RN 208646-04-2 CAPLUS
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRR

Absolute stereochemistry.

PAGE 1-A



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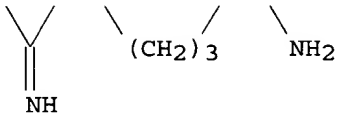


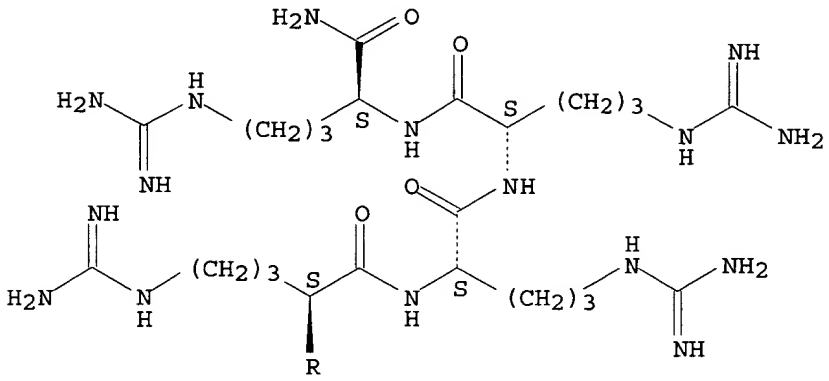
RN 208646-05-3 CAPLUS
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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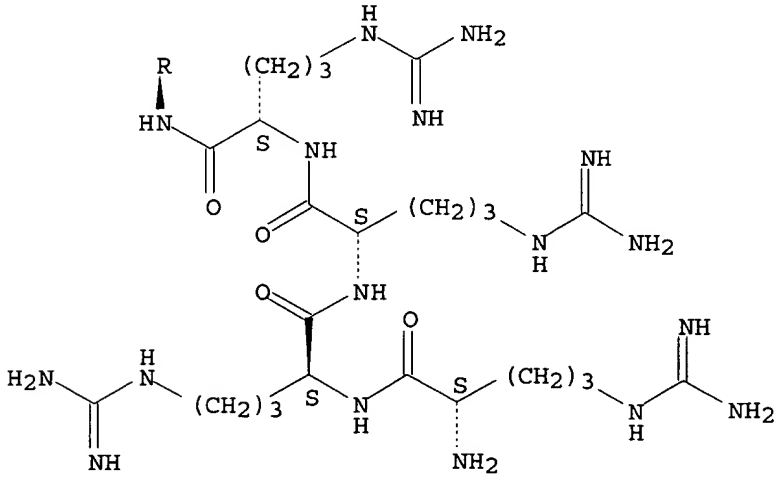

RN 208646-06-4 CAPLUS
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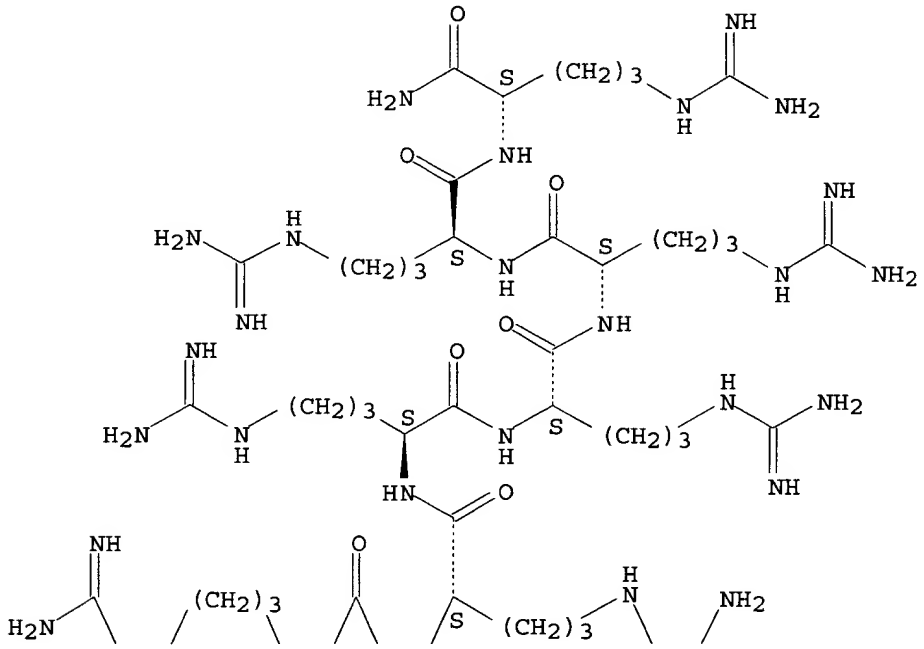
NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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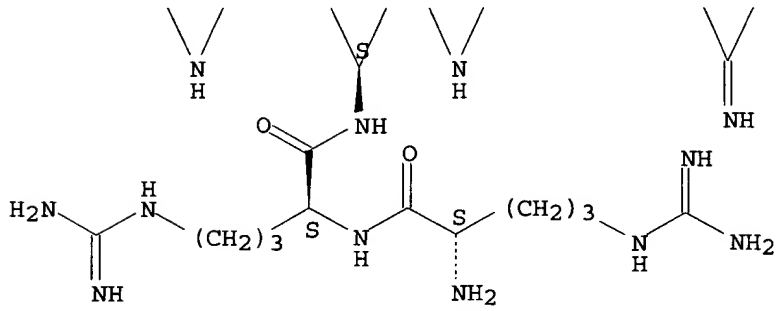


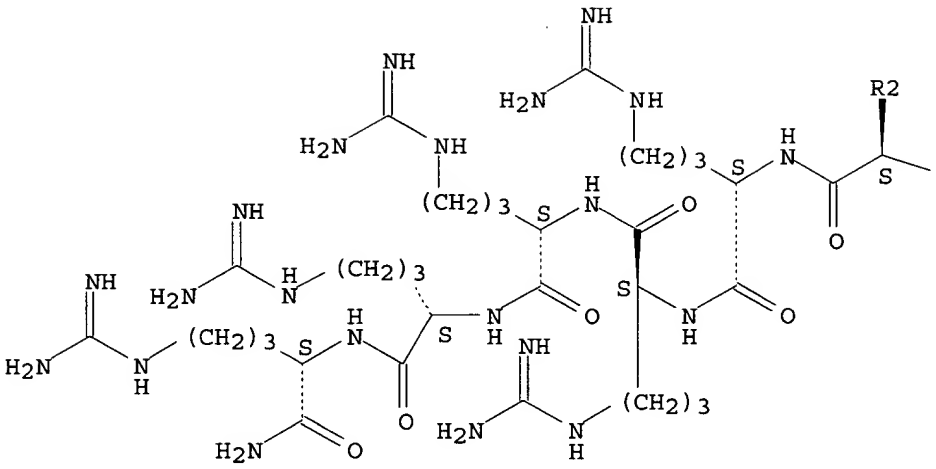
RN 208646-07-5 CAPLUS
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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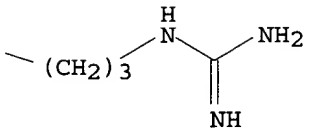

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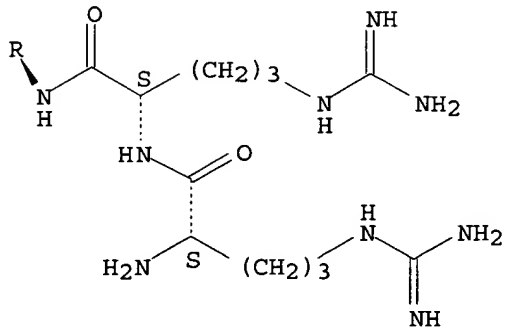

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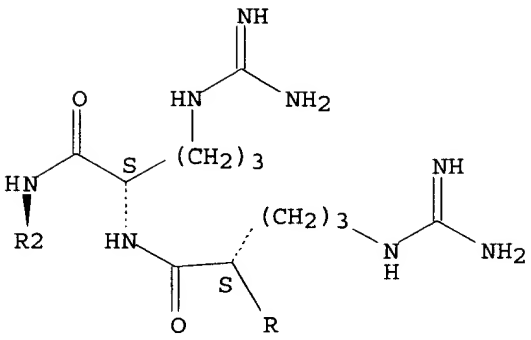

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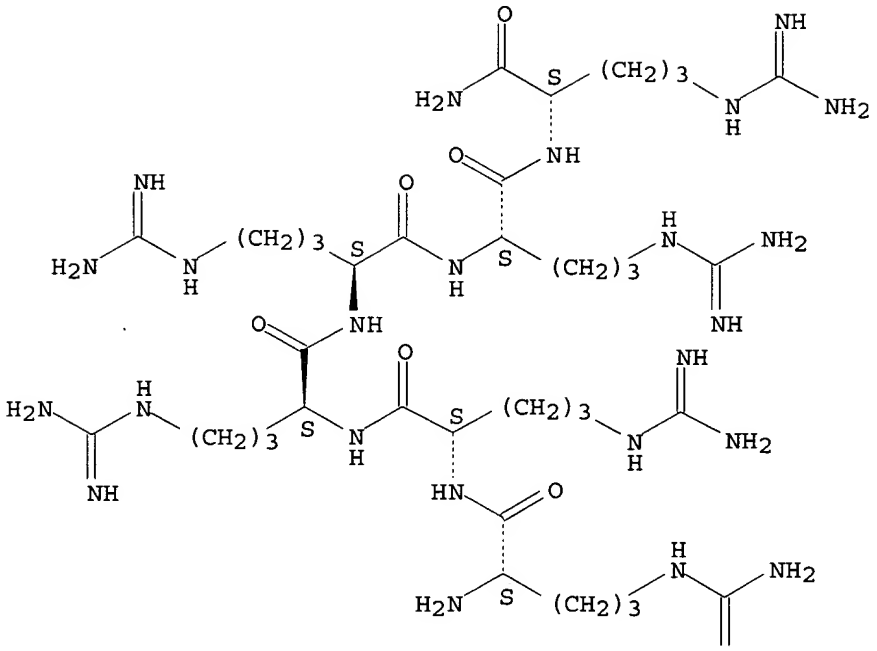
L15 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:181809 CAPLUS
DOCUMENT NUMBER: 128:303622
TITLE: Selected peptides targeted to the NMDA receptor channel protect neurons from excitotoxic death
AUTHOR(S): Ferrer-Montiel, Antonio V.; Merino, Jaime M.; Blondelle, Sylvie E.; Perez-Paya, Enrique; Houghten, Richard A.; Montal, Mauricio
CORPORATE SOURCE: Dep. Biol., Univ. California, San Diego, La Jolla, CA, 92093-0366, USA
SOURCE: Nature Biotechnology (1998), 16(3), 286-291
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature America
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 28 Mar 1998
AB Excitotoxic neuronal death, associated with neurodegeneration and stroke, is triggered primarily by massive Ca2+ influx arising from overactivation of glutamate receptor channels of the N-methyl-D-aspartate (NMDA) subtype. To search for channel blockers, synthetic combinatorial libraries were assayed for block of agonist-evoked currents by the human NR1-NR2A NMDA receptor subunits expressed in amphibian oocytes. A set of arginine-rich hexapeptides selectively blocked the NMDA receptor channel with IC60 approx. 100 nM, a potency similar to clin. tolerated blockers such as memantine, and only marginally blocked on non-NMDA glutamate receptors. These peptides prevent neuronal cell death elicited by an excitotoxic insult on hippocampal cultures.
IT **206350-77-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selected peptides targeted to NMDA receptor channel protect neurons from excitotoxic death)
RN 206350-77-8 CAPLUS
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:130314 CAPLUS
DOCUMENT NUMBER: 128:242037
TITLE: Modeling quantitative structure-activity relationships between animal behavior and environmental signal molecules
AUTHOR(S): Browne, Kenneth A.; Tamburri, Mario N.; Zimmer-Faust, Richard K.
CORPORATE SOURCE: Department of Biology, University of California, Los Angeles, CA, 90095-1606, USA
SOURCE: Journal of Experimental Biology (1998), 201(2), 245-258
CODEN: JEBIAM; ISSN: 0022-0949
PUBLISHER: Company of Biologists Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 05 Mar 1998
AB Quant. structure-activity relationships (QSARs) between the physicochem. properties of environmental signal mols. and animal behavior have been

determined Past work has shown that oyster and barnacle larval settlement and mud crab abdominal pumping (for larval dispersal) are stimulated by small peptide cues. In all the peptides examined that were active at ecol. relevant concns., arginine or lysine was found at the C-terminus, but the amino acids found at preceding positions were highly variable. The authors used the multivariate partial least squares algorithm to relate composite properties for the hydrophilicity, size and charge of each amino acid and the sequence position to oyster, barnacle and crab behavior patterns. From the information in these QSAR models, the apparent variability in amino acid sequences eliciting behavioral responses was explained in each case, and more potent peptide analogs are hypothesized on the basis of untested amino acid sequences. Remarkably, these peptide signals are all structurally related to the C-terminal sequence of mammalian C5a anaphylatoxin, a potent white blood cell chemoattractant. Even more striking is the fact that these different animal species should rely on apparently similar environmental signal mols. when residing within a common habitat (southeastern US estuaries). Through the physicochem. properties of amino acids, the current QSAR models clearly differentiate between the optimal sequences for eliciting oyster, barnacle and mud crab behavior. Thus, QSARs provide a novel and powerful method not only for relating the physicochem. properties of mols. to animal behavior but also for differentiating responses to chems. by individuals of different species.

IT **135941-07-0**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(modeling quant. structure-activity relationships between animal behavior and environmental signal mols.)

RN 135941-07-0 CAPLUS

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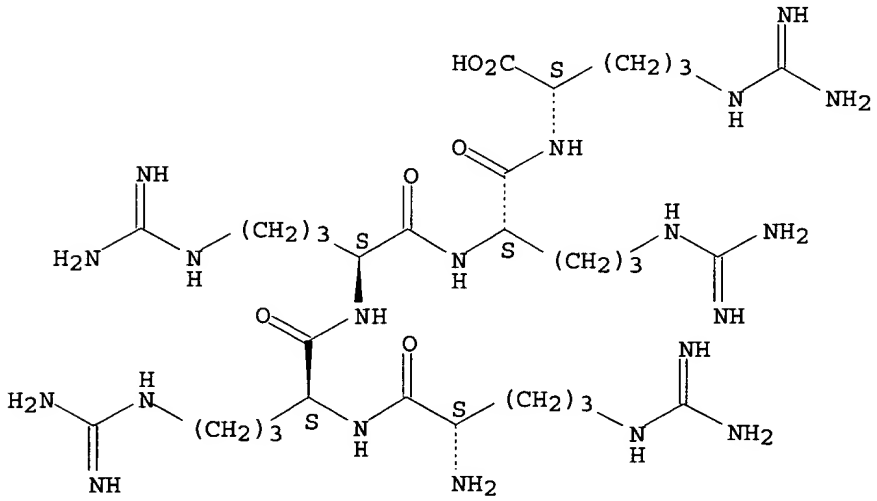
SEQ 1 RRRRR

Absolute stereochemistry.



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:767876 CAPLUS
DOCUMENT NUMBER: 128:70334
TITLE: Development of an enzyme-linked immunosorbent assay for measurement of serum-associated ALX40-4C
AUTHOR(S): Payette, P. J.; Cormier, M.; Dabek, B.; Yungblut, P.; Presseault, S.; Climie, S.; Sahai, J.; Cameron, W. D.; Filion, L. G.
CORPORATE SOURCE: Departments of Microbiology and Immunology, Faculty of Medicine, University of Ottawa, Ottawa, ON, K1H 8M5, Can.
SOURCE: Clinical and Diagnostic Laboratory Immunology (1997), 4(6), 671-675
CODEN: CDIMEN; ISSN: 1071-412X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 10 Dec 1997
AB ALX40-4C is an antiretrovirus agent that has been found to have some inhibitory properties against human immunodeficiency virus (HIV) replication in vitro. The compound was designed as a competitor of the HIV Tat protein for TAR binding. In addition to its anti-HIV properties, it has demonstrated the ability to inhibit in vitro replication of herpes simplex virus types 1 and 2 as well as human cytomegalovirus. Subsequently, in vivo pharmacokinetic evaluation of ALX40-4C necessitated the establishment of a detection system for the measurement of ALX40-4C in subject serum. For this purpose, an indirect-competition ELISA with generated rabbit anti-ALX40-4C antiserum was developed. The original assay took 12 h to complete and required many manipulations. Herein, we describe alterations to the system that resulted in the overall reduction in assay time and manipulation. We demonstrate that our alterations do not affect the specificity or sensitivity of the assay compared to that of the original system. ALX40-4C levels in spiked serum samples as well as drug levels from patient samples were used to validate the assay.
IT **153127-49-2**, ALX40-4C
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(ALX40-4C determination in blood by ELISA)
RN 153127-49-2 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

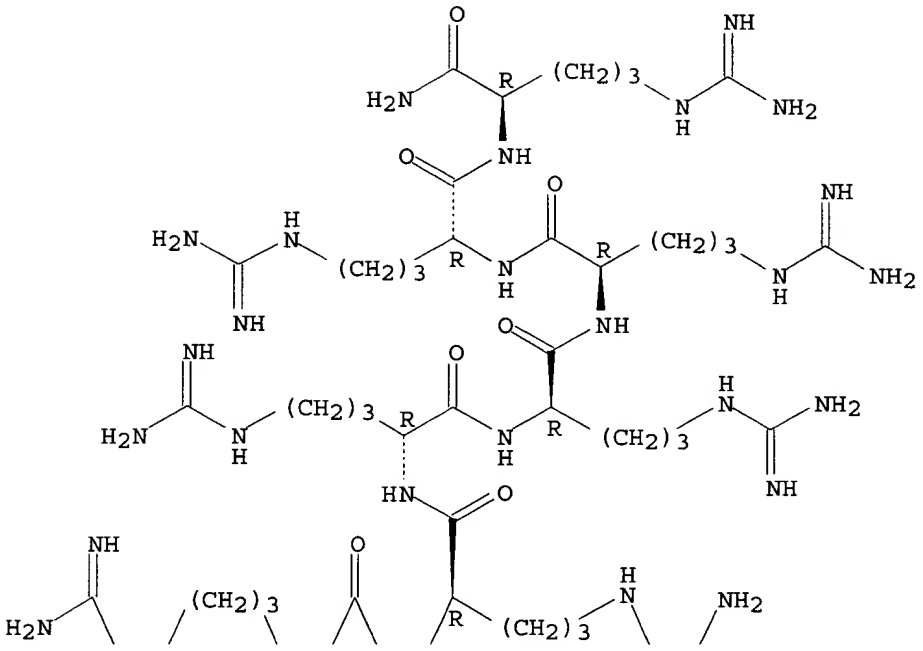
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

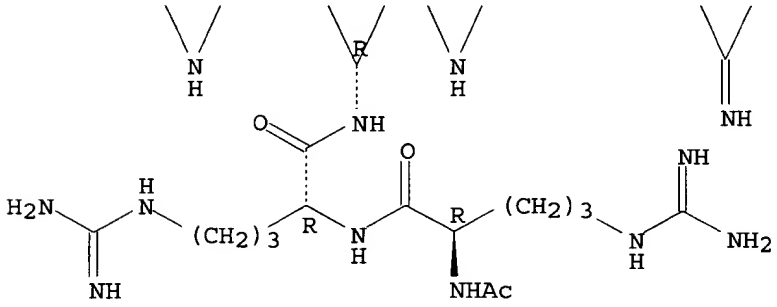
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A

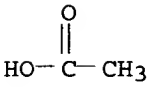


PAGE 2-A



CM 2

CRN 64-19-7
CMF C2 H4 O2



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:683726 CAPLUS
DOCUMENT NUMBER: 127:355069
TITLE: A small-molecule inhibitor directed against the chemokine receptor CXCR4 prevents its use as an HIV-1 coreceptor
AUTHOR(S): Doranz, Benjamin J.; Grovit-Ferbas, Kathie; Sharron, Matthew P.; Mao, Si-Hua; Goetz, Matthew Bidwell; Daar, Eric S.; Doms, Robert W.; O'Brien, William A.
CORPORATE SOURCE: Department of Pathology and Laboratory Medicine, University of Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE: Journal of Experimental Medicine (1997), 186(8), 1395-1400
CODEN: JEMEAV; ISSN: 0022-1007
PUBLISHER: Rockefeller University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 29 Oct 1997
AB The chemokine receptor CXCR4 is the major coreceptor used for cellular entry by T cell-tropic human immunodeficiency virus (HIV)-1 strains, whereas CCR5 is used by macrophage (M)-tropic strains. Here we show that a small-mol. inhibitor, ALX40-4C, inhibits HIV-1 envelope (Env)-mediated membrane fusion and viral entry directly at the level of coreceptor use. ALX40-4C inhibited HIV-1 use of the coreceptor CXCR4 by T- and dual-tropic HIV-1 strains, whereas use of CCR5 by M- and dual-tropic strains was not inhibited. Dual-tropic viruses capable of using both CXCR4 and CCR5 were inhibited by ALX40-4C only when cells expressed CXCR4 alone. ALX40-4C blocked stromal-derived factor (SDF)-1α-mediated activation of CXCR4 and binding of the monoclonal antibody 12G5 to cells expressing CXCR4. Overlap of the ALX40-4C binding site with that of 12G5 and SDF implicates direct blocking of Env interactions, rather than downregulation of receptor, as the mechanism of inhibition. Thus, ALX40-4C represents a small-mol. inhibitor of HIV-1 infection that acts directly against a chemokine receptor at the level of Env-mediated membrane fusion.
IT **153127-49-2**, Alx40-4c
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(small-mol. inhibitor directed against the chemokine receptor CXCR4 prevents its use as an HIV-1 coreceptor)
RN 153127-49-2 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

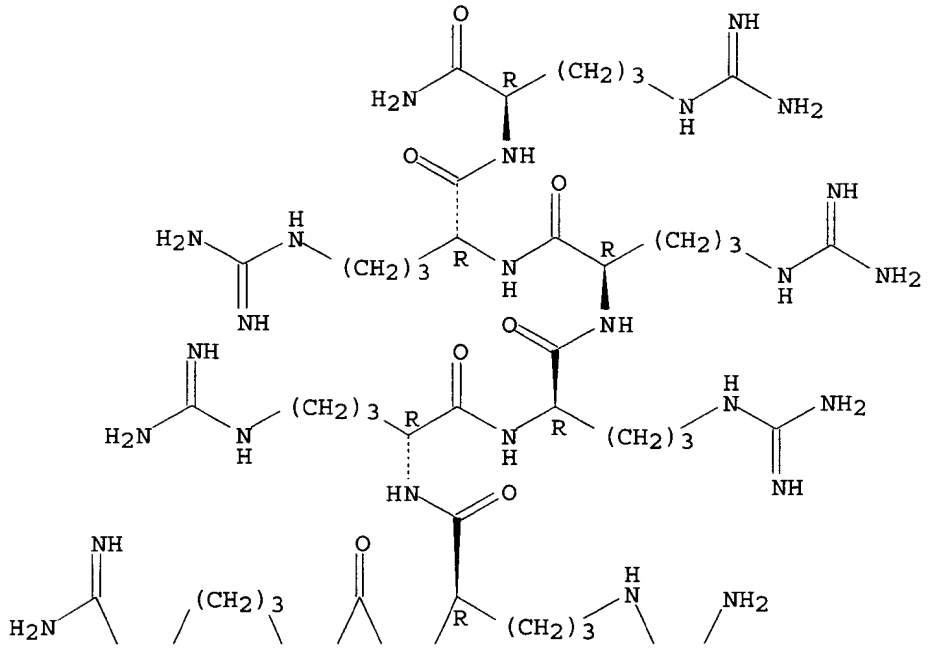
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

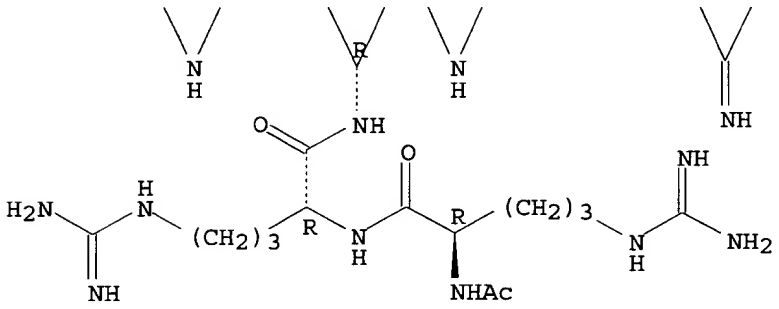
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A

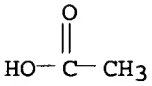


PAGE 2-A



CM 2

CRN 64-19-7
CMF C2 H4 O2



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:471302 CAPLUS
DOCUMENT NUMBER: 127:90497
TITLE: arginicontaining peptides for treatment of cytomegalovirus infection
INVENTOR(S): Twist, Michael; Sumner-Smith, Martin
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals, Inc., Can.
SOURCE: U.S., 20 pp., Cont.-in-part of U.S. Ser. No. 139,757, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5633230	A	19970527	US 1994-332518	19941030
US 5646120	A	19970708	US 1994-357056	19941214
US 5674849	A	19971007	US 1995-370545	19950109
US 5831001	A	19981103	US 1995-378709	19950126
US 5789531	A	19980804	US 1995-475583	19950607
PRIORITY APPLN. INFO.:			US 1990-602953 B2	19901024
			US 1991-779735 B2	19911023
			US 1992-872398 B2	19920423
			US 1992-995742 B2	19921222
			US 1993-139757 B2	19931022
			US 1994-357056 A1	19941214

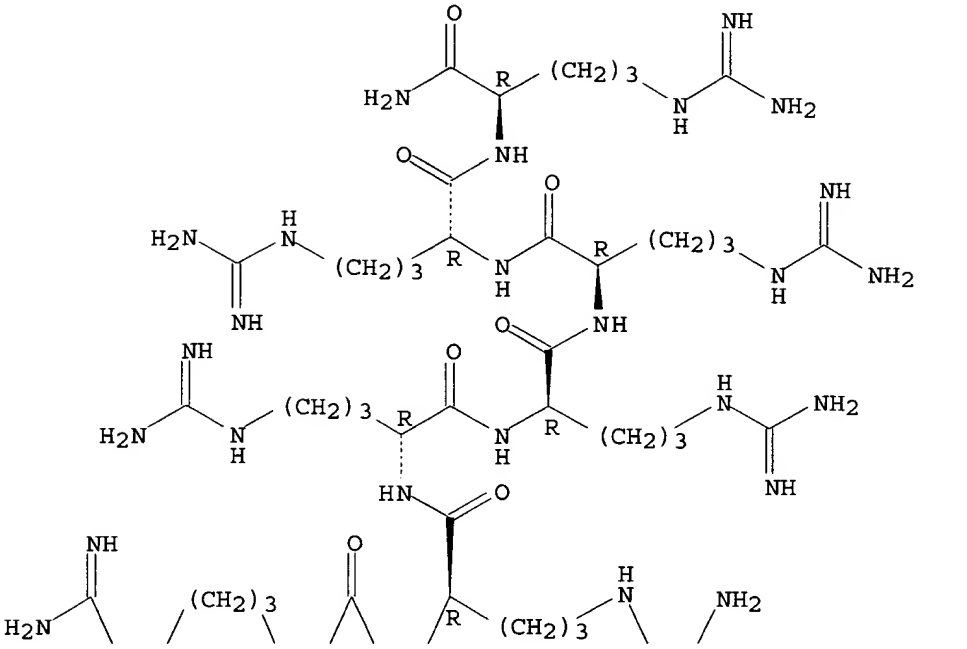
OTHER SOURCE(S): MARPAT 127:90497
ED Entered STN: 26 Jul 1997
AB Described herein are anti-cytomegalovirus peptides of the formula R1-[X]-R2 [R1 = H, N-terminal protecting group; R2 = OH, C-terminal protecting group; X is an oligopeptide consisting of 'n' amino acids (n = 6-12), having a net pos. charge of 'n', 'n-1', or 'n-2', at least six and no less than n-3 arginine residues, and consists essentially of D-amino acids]. In a preferred embodiment, the peptide is acetyl-[D-Arg]9-NH2 and the preparation, distribution, and antiviral activity of its acetate salt are described. The use of the peptide, either per se or in combination with other anti-cytomegalovirus compds. in immunocompromized conditions, is disclosed as an effective method for controlling cytomegalovirus infection.
IT **153127-49-2P**
RL: ADV (Adverse effect, including toxicity); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(anti-cytomegaloviral peptide preparation and activity alone or in combination in immunocompromized conditions)
RN 153127-49-2 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)

CM 1

CRN 143413-49-4
CMF C56 H113 N37 O10

Absolute stereochemistry.

PAGE 1-A



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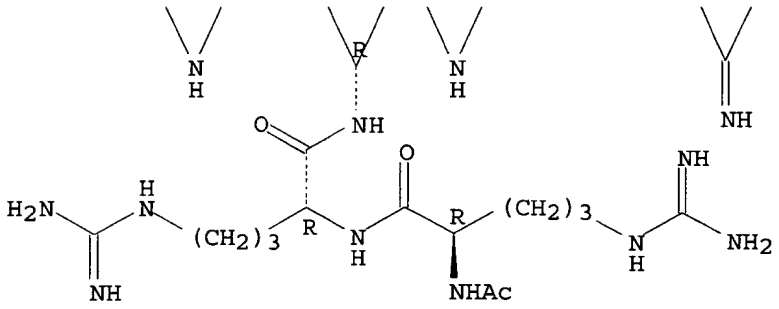
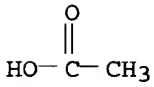


CM 2

CRN 64-19-7
CMF C2 H4 O2



IT **143413-49-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

Searched by Barb O'Bryen, STIC 2-2518

(anti-cytomegaloviral peptide preparation and activity alone or in combination in immunocompromized conditions)

RN 143413-49-4 CAPLUS

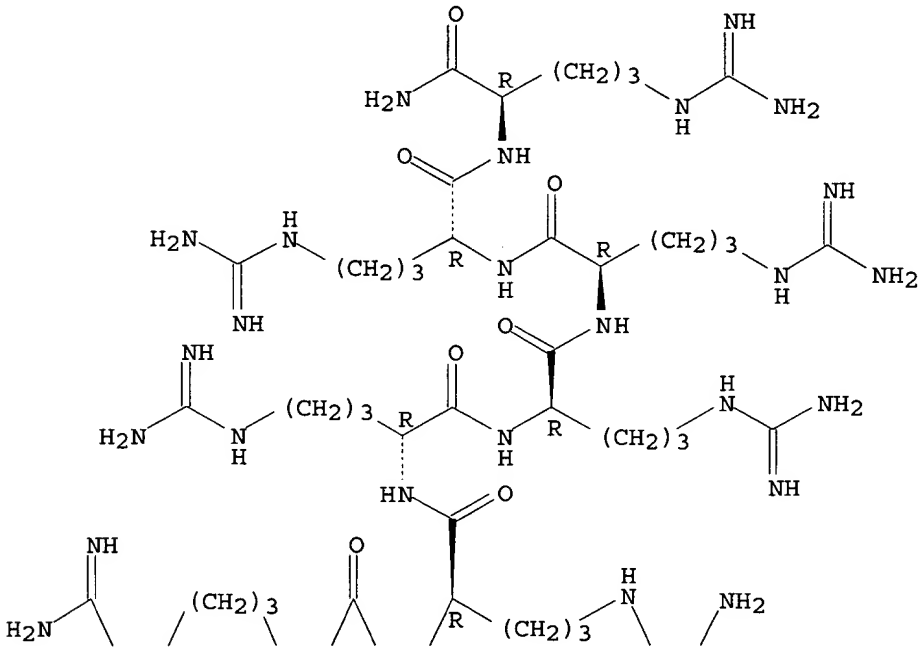
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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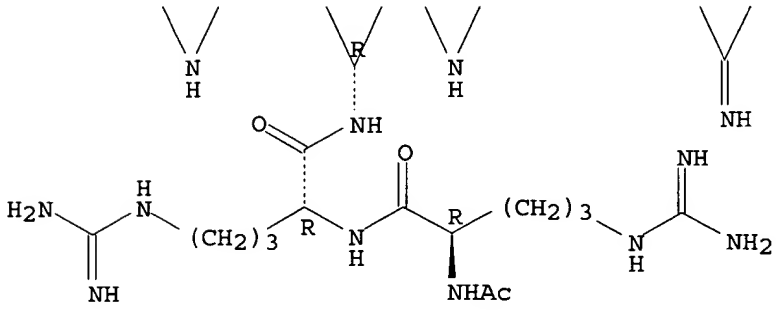


L15 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

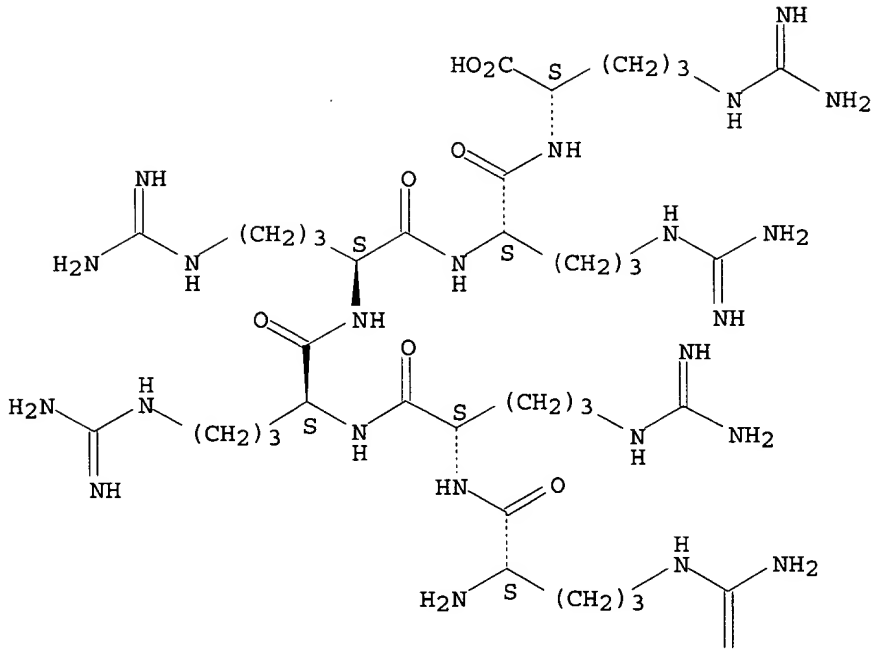
ACCESSION NUMBER: 1996:522917 CAPLUS

DOCUMENT NUMBER: 125:276517
TITLE: Modeling the maximum charge state of arginine-containing peptide ions formed by electrospray ionization
AUTHOR(S): Schnier, Paul D.; Price, William D.; Williams, Evan R.
CORPORATE SOURCE: Dep. Chemistry, Univ. California, Berkeley, CA, 94720, USA
SOURCE: Journal of the American Society for Mass Spectrometry (1996), 7(9), 972-976
CODEN: JAMSEF; ISSN: 1044-0305
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 30 Aug 1996
AB A model for the gas-phase proton transfer reactivity of multiply protonated mols. is used to quant. account for the maximum charge states of a series of arginine-containing peptide ions measured by Downard and Biemann; the calcns. account exactly for the maximum charge state for 7 of the 10 peptides and are off by 1 charge for the remaining 3. These calcns. predict the trend in maximum charge states for these peptides and provide further evidence that the maximum charge state of ions formed by electrospray ionization is determined by their gas-phase proton transfer reactivity.
IT **96337-25-6**, H-Arg-Arg-Arg-Arg-Arg-Arg-OH
RL: PRP (Properties)
(modeling the maximum charge state of arginine-containing peptide ions formed
by electrospray ionization)
RN 96337-25-6 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L15 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:227260 CAPLUS
DOCUMENT NUMBER: 124:306611
TITLE: Anti-human immunodeficiency virus type 1 activity of an oligocationic compound mediated via gp120 V3 interactions
AUTHOR(S): O'Brien, William A.; Sumner-Smith, Martin; Mao, Si-Hua; Sadeghi, Saeed; Zhao, Jia-Qi; Chen, Irvin S. Y.
CORPORATE SOURCE: Dep. Med., Univ. California at Los Angeles Sch. Med., Los Angeles, CA, 90073, USA
SOURCE: Journal of Virology (1996), 70(5), 2825-31
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 18 Apr 1996
AB An oligocationic peptide compound (ALX40-4C) was developed for consideration in the treatment of human immunodeficiency virus type 1 (HIV-1) infection. This compound was designed to mimic the basic domain of the HIV-1 transactivation protein, Tat, and will competitively inhibit Tat binding to its specific RNA hairpin target (TAR [transactivation region]), found at the 5' end of all HIV-1 transcripts. Blocking Tat-TAR interactions can

abrogate HIV-1 replication. ALX40-4C was shown to inhibit replication of HIV-1NL4-3 in a range of cell types, including primary cells and transformed cell lines, by as much as 104-fold. In some expts., virus rescue was not possible even after removal of ALX40-4C from the cultures. Strain-dependent resistance has been demonstrated for all antiretroviral agents tested; therefore, we tested for variable sensitivity to ALX40-4C. The cloned primary strains, HIV-1JR-CSF and HIV-1JR-FL, were less sensitive to ALX40-4C inhibition. Unexpectedly, determinants for efficient ALX40-4C inhibition were mapped by using recombinant virus strains to the V3 region of gp120 and were shown to act at early events in viral replication, which include viral entry. If entry and reverse transcription are bypassed by transfection, a more modest, virus strain-independent inhibition is shown: this inhibition is likely due to blocking of Tat-TAR interaction. Thus, the highly basic oligocationic Tat inhibitor ALX40-4C appears to interfere with initial virus-target cell interactions which involve HIV-1 gp120 V3 determinants, most efficiently for T-cell line adapted strains.

IT **153127-49-2**, ALX40-4C
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-human immunodeficiency virus type 1 activity of oligocationic peptide ALX40-4C mediated via gp120 V3 interactions)

RN 153127-49-2 CAPLUS

CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

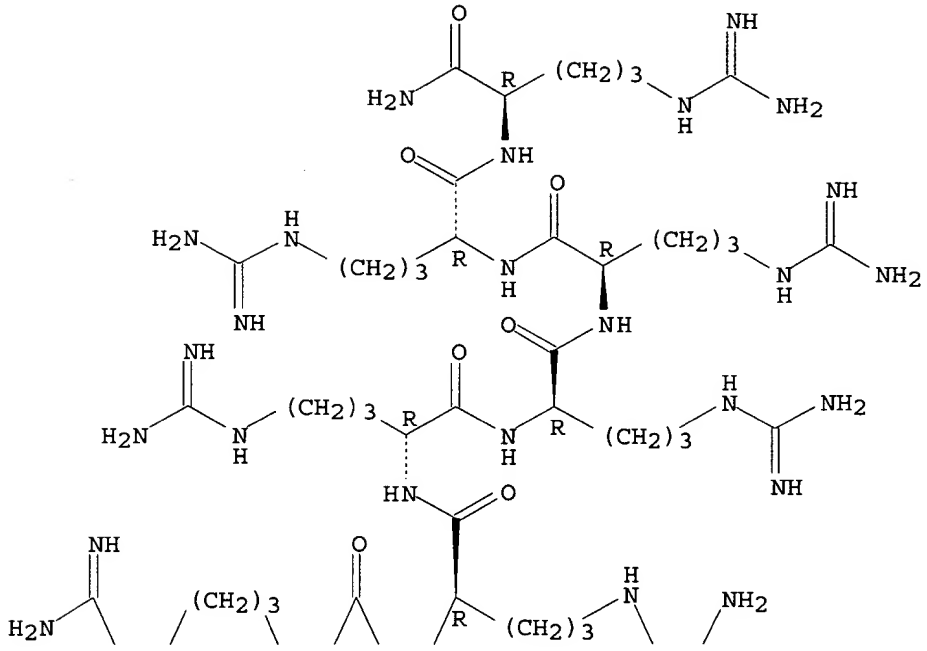
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

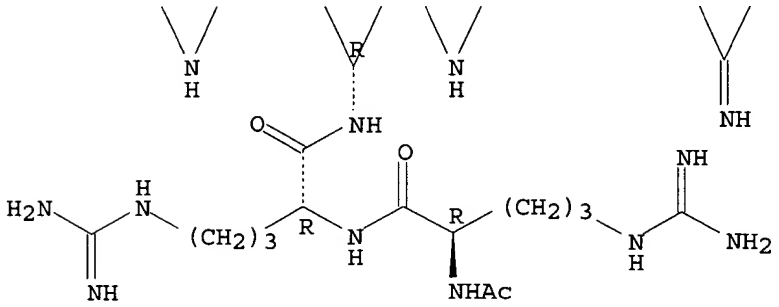
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A

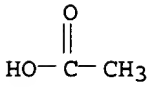


PAGE 2-A



CM 2

CRN 64-19-7
CMF C2 H4 O2



L15 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:157010 CAPLUS

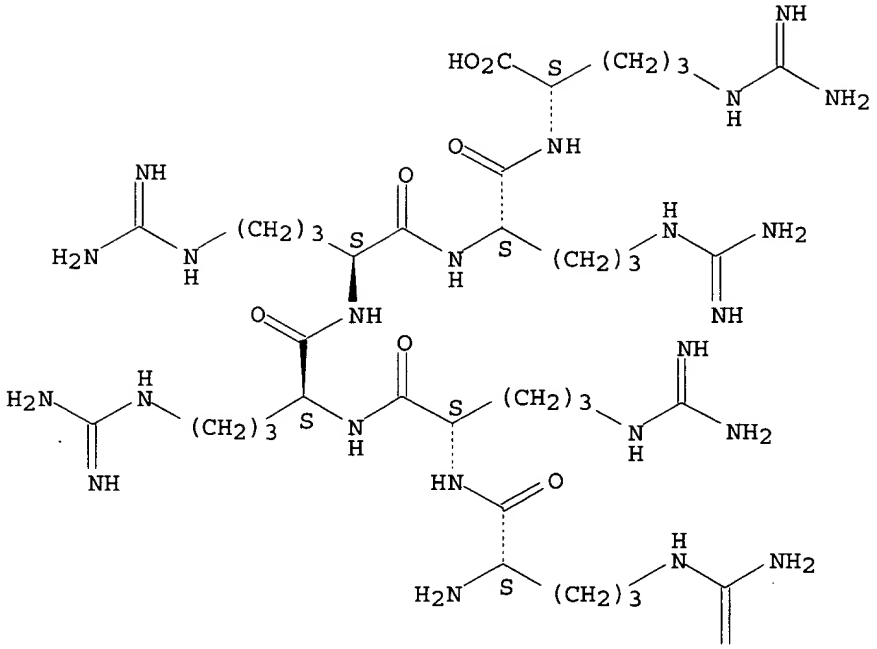
Searched by Barb O'Bryen, STIC 2-2518

DOCUMENT NUMBER: 124:255179
TITLE: Improved refolding of an immobilized fusion protein
AUTHOR(S): Stempfer, Guenter; Hoell-Neugebauer, Baerbel; Rudolph, Rainer
CORPORATE SOURCE: Boehringer Mannheim Therapeutics, Penzberg, D-82377, Germany
SOURCE: Nature Biotechnology (1996), 14(3), 329-34
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature Publishing Co.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 19 Mar 1996
AB Fusion proteins of monomeric α-glucosidase from Saccharomyces cerevisiae containing N- or C-terminal hexa-arginine peptides were expressed in the cytosol of Escherichia coli in soluble form. The polycationic peptide moieties allow noncovalent binding of the denatured fusion proteins to a polyanionic solid support. Upon removal of the denaturant, refolding of the matrix-bound protein can proceed without perturbation by aggregation. However, nonspecific interactions of the denatured polypeptide, or of folding intermediates, with the matrix cause a drastic decrease in renaturation under suboptimal folding conditions. At low salt concns., ionic interactions of the refolding polypeptide with the matrix result in lower yields of renaturation. At higher salt concns., renaturation is prevented by hydrophobic interactions with the matrix. Apart from ionic strength, renaturation of the denatured matrix-bound fusion protein must be optimized with respect to pH, temperature, cosolvents, and matrix material used. Under optimum conditions, immobilized α-glucosidase can be renatured with a high yield at protein concns. up to 5 mg/mL, whereas folding of the wild-type enzyme in solution is feasible only at an extremely low protein concentration (15 μg/mL). Thus, folding of immobilized α-glucosidase allows an extremely high yield of the renatured model protein. The technol. should be applicable to other proteins that tend to aggregate during refolding.
IT **96337-25-6D**, fusion products, immobilized
RL: PRP (Properties)
(improved refolding of immobilized fusion protein)
RN 96337-25-6 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L15 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:1002363 CAPLUS
DOCUMENT NUMBER: 124:176912
TITLE: Charging behavior of highly basic peptides during electrospray ionization a predilection for protons
AUTHOR(S): Downard, Kevin M.; Biemann, Klaus
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139-4307, USA
SOURCE: International Journal of Mass Spectrometry and Ion Processes (1995), 148(3), 191-202
CODEN: IJMPDN; ISSN: 0168-1176
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Dec 1995
AB The extent of charging (or protonation) during the electrospray ionization has been examined for a series of specifically constructed arginine-rich peptides, which differ in structure by the length of the peptide chain and the number and proximity of arginine residues. It has been found that although a small peptide of the series will protonate fully, supporting a charge on each arginine side chain, the same charging behavior is not observed for larger peptides with the same repeating primary structure. Furthermore, no significant increase in the extent of charging was observed

as the length of the peptide chain, or the distance between potential charge-bearing sites, was increased. The apparent sites of protonation in the [M + nH]n+ peptide ions have been examined for several representative peptides based on the extent of protonation compared to that of structurally related peptides, and their dissociation behavior. Despite the potential for proton migration during the collisional activation event, the fragmentation pattern of the peptide ions studied suggests that the charge-bearing protons are reasonably localized at the time of dissociation commensurate with our previous observations for singly and multiply charge peptide ions. The charging behavior of the model peptides is discussed in the context of a reported mechanism for the electrospray ionization process.

IT **96337-25-6**
RL: PRP (Properties)
(charging behavior of arginine-rich peptides during electrospray ionization mass spectrometry)

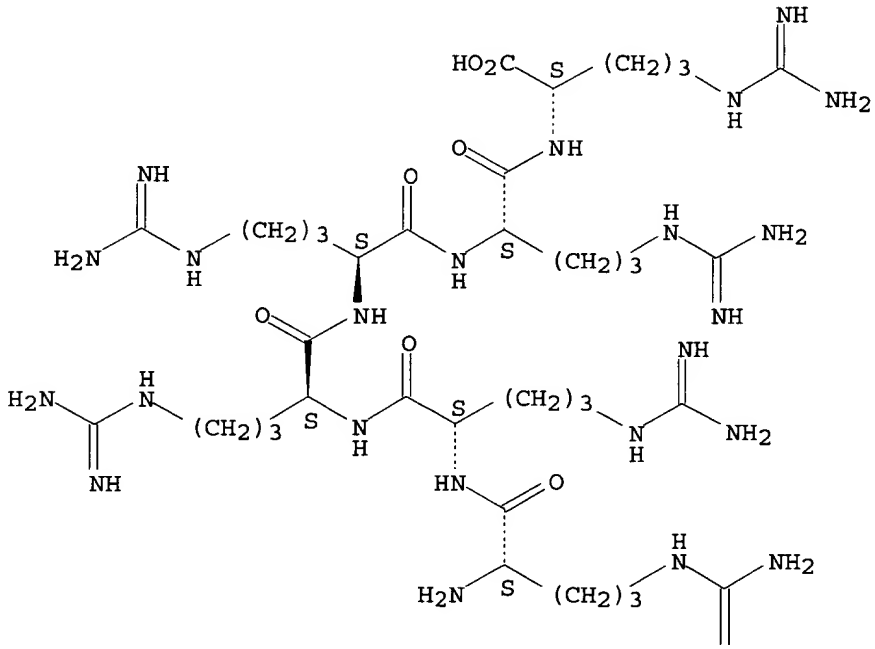
RN 96337-25-6 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L15 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:665157 CAPLUS
DOCUMENT NUMBER: 123:47891
TITLE: Peptides for treatment of cytomegalovirus infection
INVENTOR(S): Twist, Michael; Sumner-Smith, Martin
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511038	A1	19950427	WO 1994-CA590	19941021
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2152373	AA	19950427	CA 1994-2152373	19941021
CA 2152373	C	19981215		
EP 675731	A1	19951011	EP 1994-930888	19941021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 685862	B2	19980129	AU 1994-79876	19941021
PRIORITY APPLN. INFO.:			US 1993-139757 A	19931022
			WO 1994-CA590 W	19941021

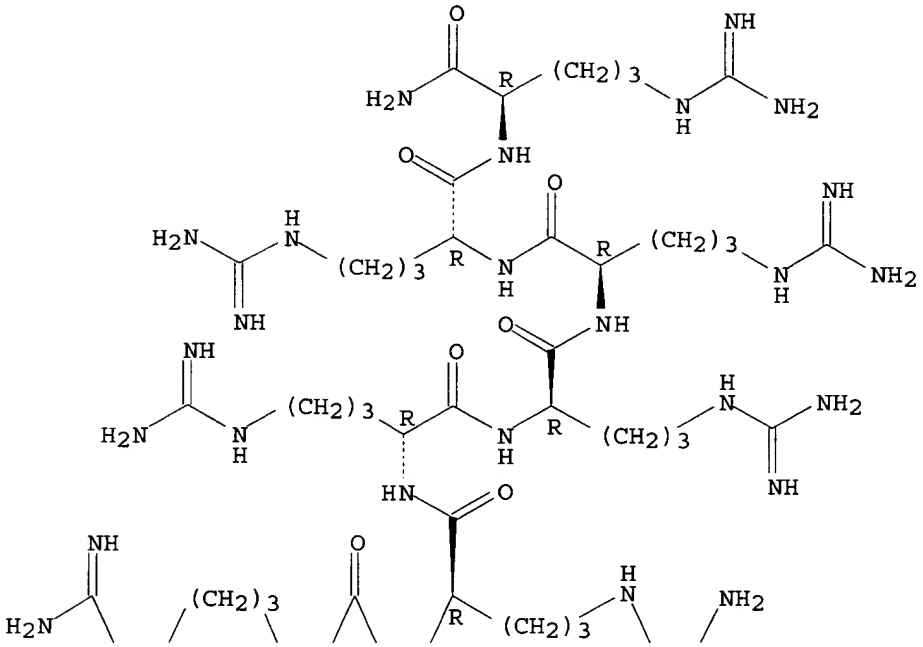
ED Entered STN: 12 Jul 1995
AB Described herein are anti-cytomegalovirus (CMV) peptides. In a preferred embodiment, the peptide is acetyl-[D-Arg]9-NH2 (I). The use of these peptides, either per se or in combination with other anti-CMV compds., is disclosed as an effective method for controlling CMV infection. Anti-CMV activity of I was assessed by a plaque reduction assay. I was also effective in controlling drug-resistant CMV strains.
IT **143413-49-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cytomegalovirus infection treatment with peptides and virucides)
RN 143413-49-4 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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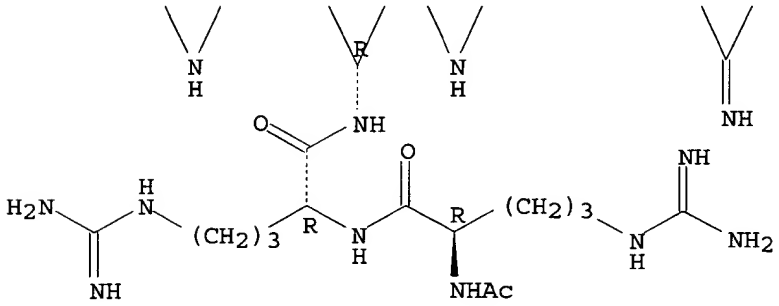


L15 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:574892 CAPLUS
DOCUMENT NUMBER: 123:79357
TITLE: Antiherpetic activities of N-α-acetyl-nona-D-arginine amide acetate
AUTHOR(S): Sumner-Smith, M.; Zheng, Y.; Zhang, Y.P.; Twist, E.M.; Climie, S.C.
CORPORATE SOURCE: Allelix Biopharmaceuticals Inc., Mississauga, ON, L4V 1V7, Can.
SOURCE: Drugs under Experimental and Clinical Research (1995), 21(1), 1-6
CODEN: DECRDP; ISSN: 0378-6501
PUBLISHER: Bioscience Ediprint
DOCUMENT TYPE: Journal

Searched by Barb O'Bryen, STIC 2-2518

LANGUAGE: English
ED Entered STN: 26 May 1995
AB N-α-acetyl-nona-D-arginine amide acetate (ALX40-4C) was developed as a competitive inhibitor of the binding of the HIV Tat protein to its RNA target TAR, which is an intracellular interaction dependent on a short, arginine-rich sequence in Tat. ALX40-4C is a simple mimic of that domain, which is stabilized against enzymic degradation through inclusion of D-amino acids and terminal protection. The drug inhibits HIV-1 in vitro and is currently being assessed in vivo. In the work reported here, potential activities of the compound against other viruses were examined As expected, there was little or no activity against most viruses examined, except against some herpesviruses: HSV-1, HSV-2 and CMV. Maximal inhibition of HSV-1 in a plaque reduction assay required pre-incubation with the drug. Maximal inhibition of HCMV, which replicates more slowly than HSV-1, requires exposure to the compound within the first few hours of infection. It appears that the drug inhibits an early step in HSV and HCMV infection. Such a mechanism is consistent with that of other cationic, herpes virus inhibitors.
IT **153127-49-2**, ALX 40-4C
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiherpetic activities of arginine amide derivative ALX40-4C)
RN 153127-49-2 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

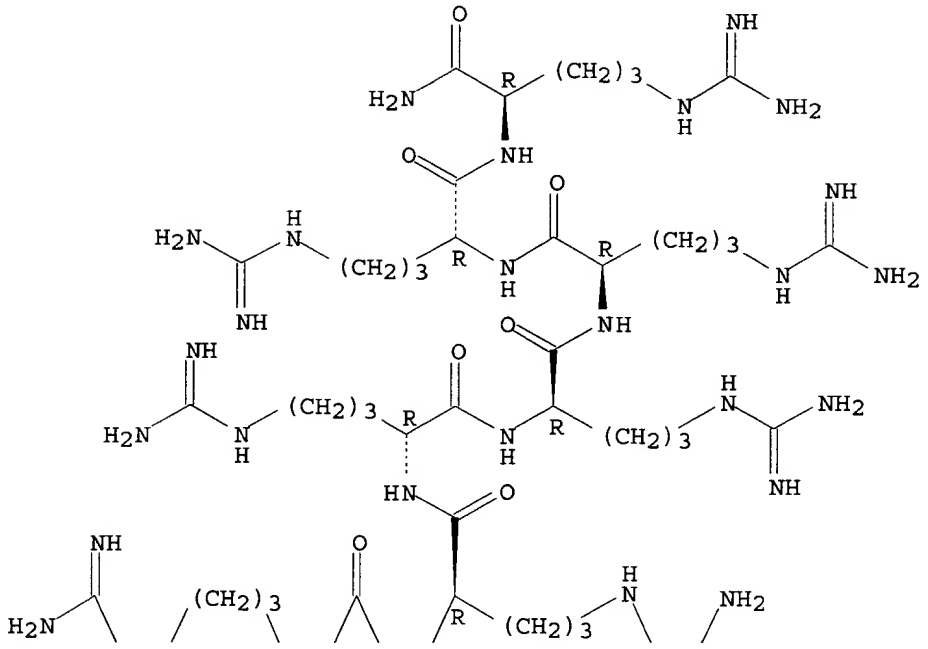
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

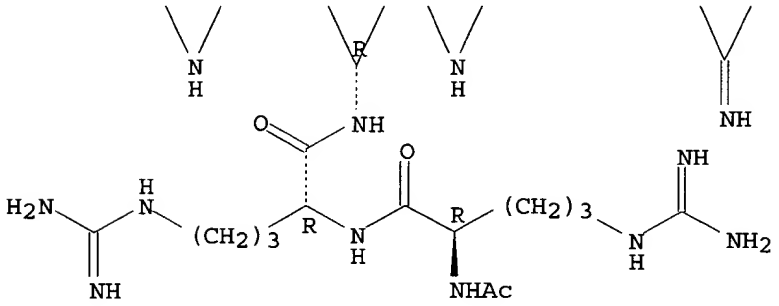
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A

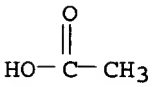


PAGE 2-A



CM 2

CRN 64-19-7
CMF C2 H4 O2



L15 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:672177 CAPLUS

Searched by Barb O'Bryen, STIC 2-2518

DOCUMENT NUMBER: 121:272177
TITLE: Tryptic fragments of glycocalicin for use in the control of the interaction of von Willebrand factor and platelet glycoprotein Ib
INVENTOR(S): Ruggeri, Zaverio M.; Ware, Jerry L.
PATENT ASSIGNEE(S): Scripps Research Institute, USA
SOURCE: U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 460,674 abandoned
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

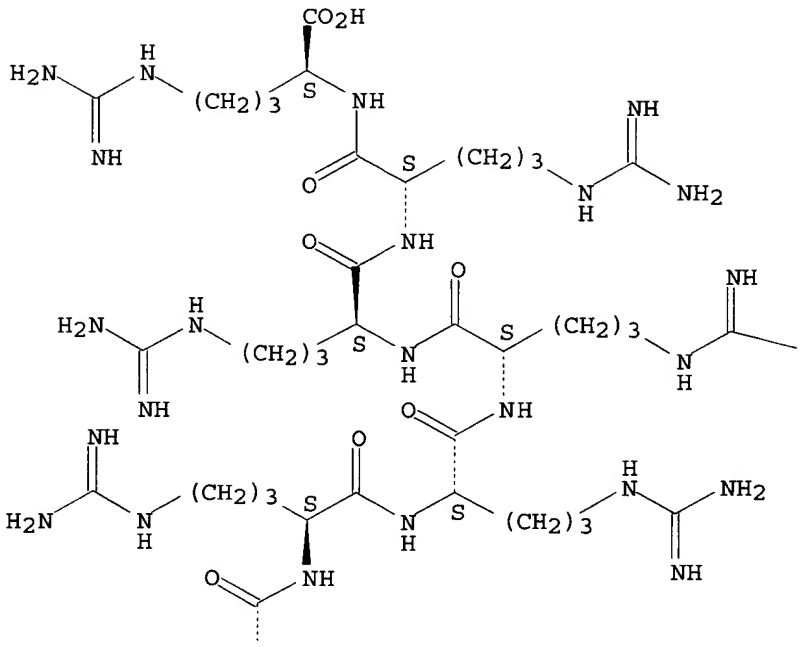
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5340727	A	19940823	US 1990-613083	19901114
CA 2072753	AA	19910705	CA 1991-2072753	19910104
WO 9109614	A1	19910711	WO 1991-US87	19910104
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9177458	A1	19910724	AU 1991-77458	19910104
EP 524260	A1	19930127	EP 1991-908416	19910104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05503708	T2	19930617	JP 1991-507976	19910104
PRIORITY APPLN. INFO.:			US 1987-121454 B2	19871117
			US 1990-460674 B2	19900104
			US 1990-613083 A	19901114
			WO 1991-US87 A	19910104

ED Entered STN: 10 Dec 1994
AB Tryptic peptides derived from the 45 kDa N-terminal fragment of glycocalicin (a hydrolysis product of platelet glycoprotein Ibα) are prepared for use as inhibitors of the interaction of platelet membrane glycoprotein Ib and von Willebrand factor in the prevention of thrombosis. Oligomers of lysylarginine (KR)n (n=2-10) or arginine (Rn) (n=2-20) and their derivs. are also described for the same purpose. Expression vectors for the corresponding cDNAs for manufacture of the protein in a suitable host are also described. A series of peptides were prepared by standard methods and tested for their inhibition of binding of asialo-von Willebrand factor to platelets with IC50s in the range 1.5-23 μM. The construction of expression vectors for the manufacture of glycocalicin in animal cells and the manufacture of the protein CHO-K1 cells is demonstrated.
IT **136268-89-8**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tryptic fragments of glycocalicin for use in the control of the interaction of von Willebrand factor and platelet glycoprotein Ib)
RN 136268-89-8 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRRRRRR R

Absolute stereochemistry.

PAGE 1-A



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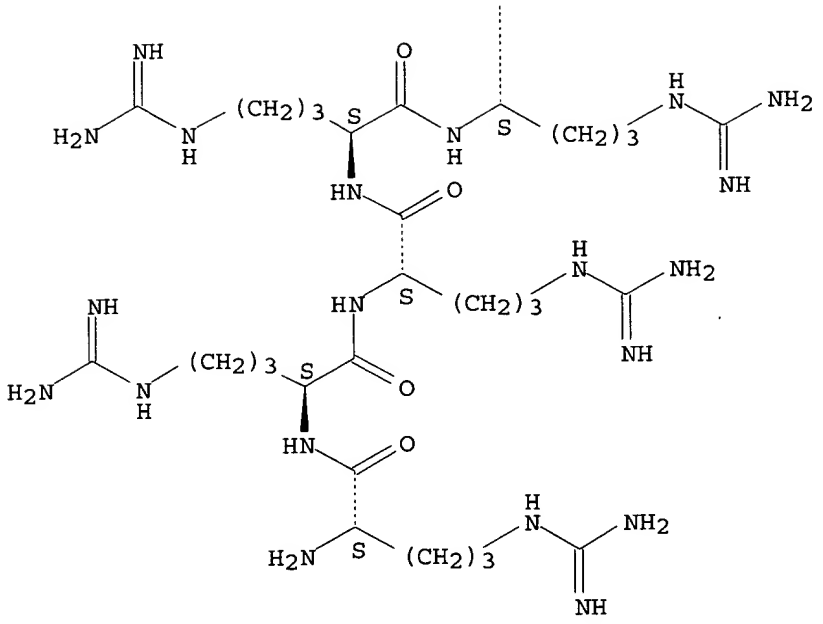
PAGE 2-A



L15 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:549051 CAPLUS
DOCUMENT NUMBER: 121:149051
TITLE: Synergistic compositions containing an antiviral nucleoside analog and an antiviral oligopeptide
INVENTOR(S): Twist, Michael Di; Sumner-Smith, Martin
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414464	A1	19940707	WO 1993-CA561	19931222
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2152387	AA	19940707	CA 1993-2152387	19931222
CA 2152387	C	19981027		
AU 9458299	A1	19940719	AU 1994-58299	19931222
PRIORITY APPLN. INFO.:			US 1992-995742 A	19921222
			WO 1993-CA561 W	19931222

ED Entered STN: 01 Oct 1994
AB An antiviral composition comprises a synergistic combination of an anti-viral nucleoside analog, which may inhibit a virus-specific enzyme, such as viral thymidine kinase and reverse transcriptase and an antiviral oligopeptide compound having 6-12 amino acid residues substantially all of which are D-arginine residues. For example, a synergistic antiviral

effect of AZT and acetyl-[D-Arg]9-NH2 was demonstrated.

IT **157376-80-2 157376-81-3 157376-82-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiviral activity of, synergistic)

RN 157376-80-2 CAPLUS

CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, mixt. with 3'-azido-3'-deoxythymidine (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

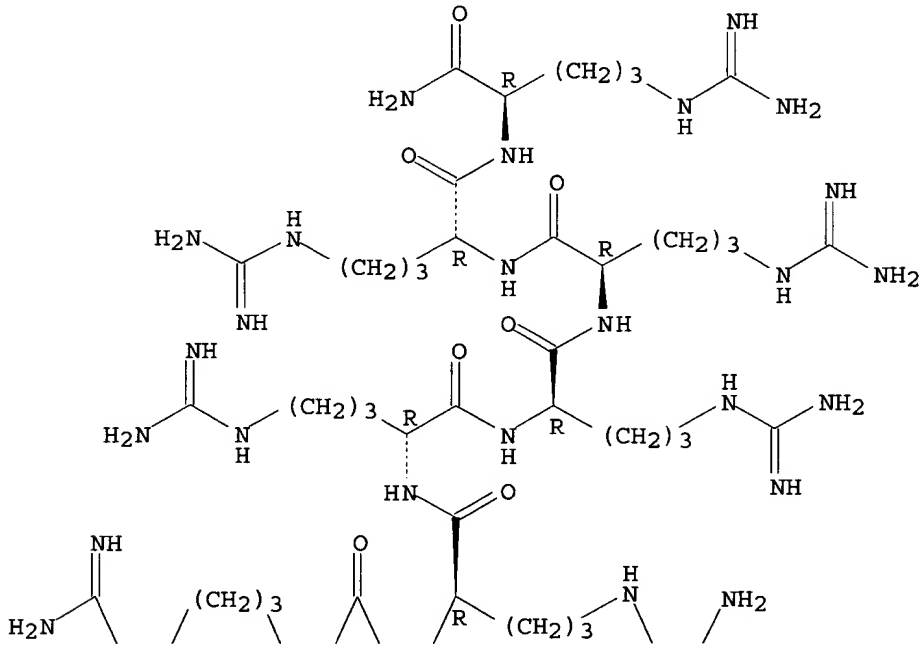
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

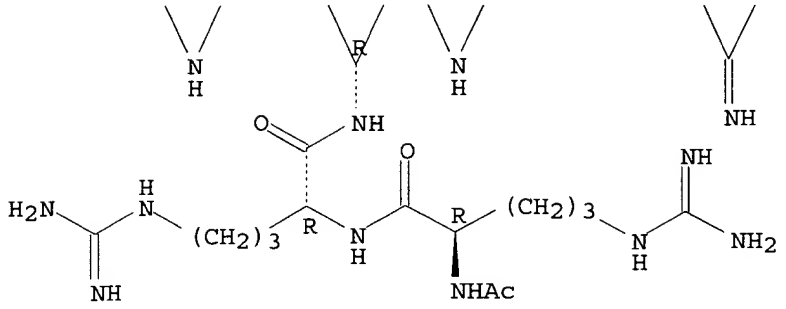
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



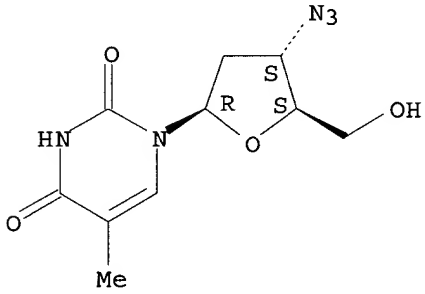
PAGE 2-A



CM 2

CRN 30516-87-1
CMF C10 H13 N5 O4

Absolute stereochemistry. Rotation (+).



RN 157376-81-3 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, mixt. with 2-amino-1,9-dihydro-9-[(2-hydroxyethoxy)methyl]-6H-purin-6-one (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

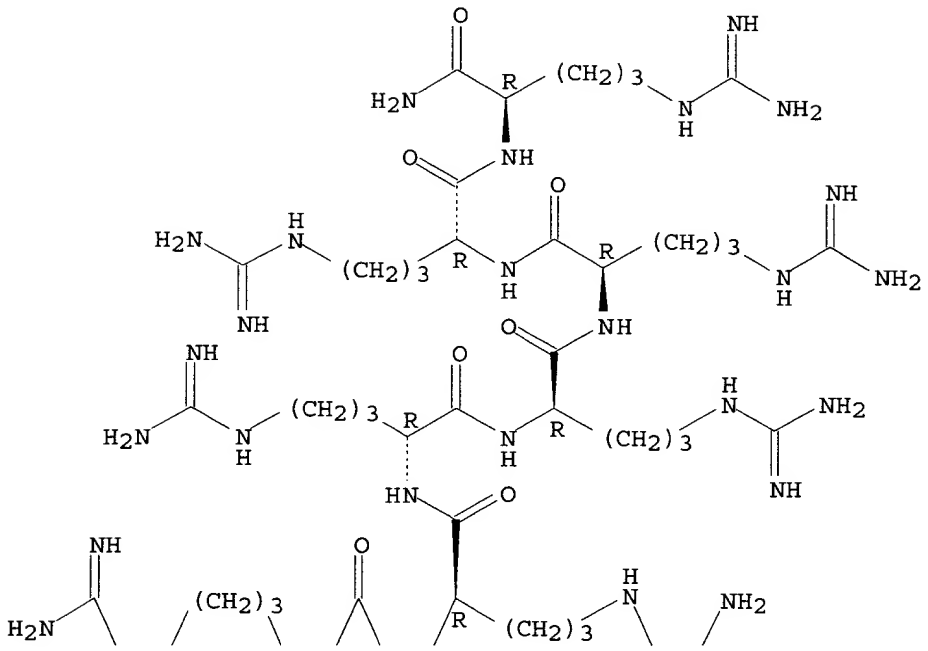
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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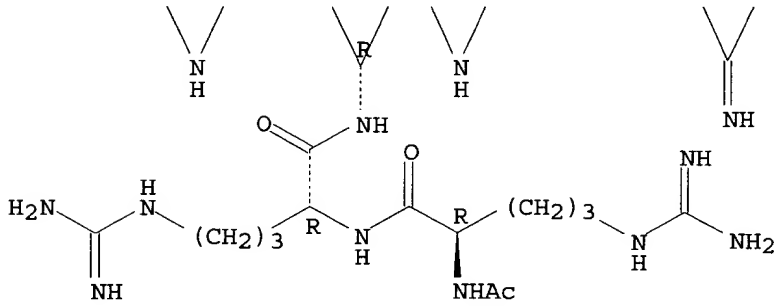
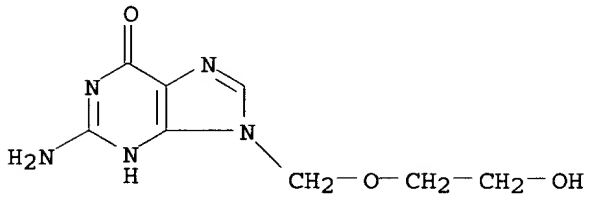


CM 2

CRN 59277-89-3
CMF C8 H11 N5 O3



Searched by Barb O'Bryen, STIC 2-2518

RN 157376-82-4 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, mixt. with (E)-5-(2-bromoethenyl)-2'-deoxyuridine (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

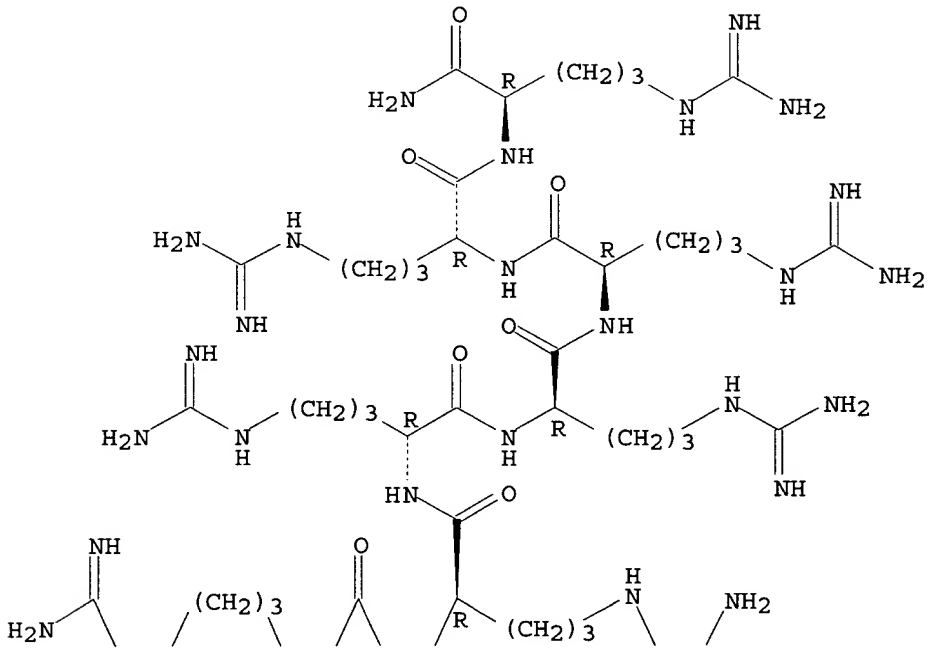
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

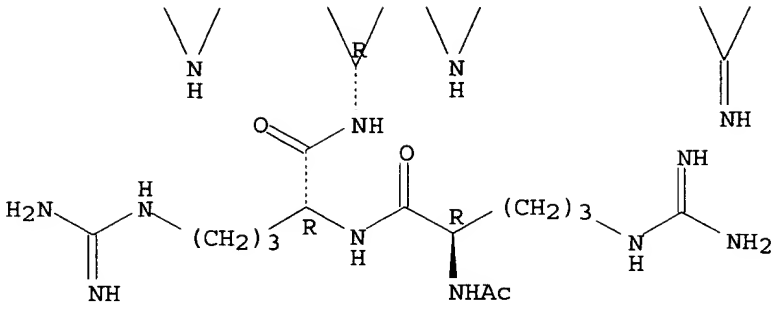
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



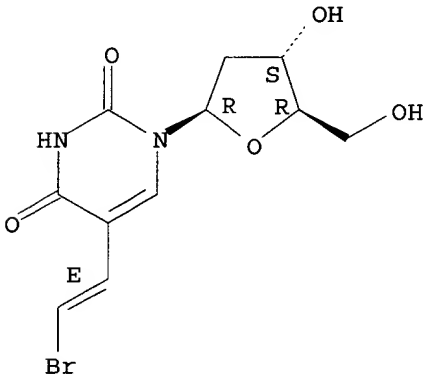
PAGE 2-A



CM 2

CRN 69304-47-8
CMF C11 H13 Br N2 O5

Absolute stereochemistry.
Double bond geometry as shown.



L15 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:450079 CAPLUS
DOCUMENT NUMBER: 121:50079
TITLE: Oligopeptides for treatment of herpes virus infection
INVENTOR(S): Twist, Michael; Barnett, Richard W.; Summer-Smith, Martin; Reid, Lorne S. Di
PATENT ASSIGNEE(S): Kirkwood, Sheryl Dana, USA; Allelix Biopharmaceuticals Inc.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321941	A1	19931111	WO 1993-CA166	19930421

W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL,

RO, RU, SD, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9340377	A1	19931129	AU 1993-40377	19930421
EP 637247	A1	19950208	EP 1993-911414	19930421
EP 637247	B1	19980819		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501060	T2	19960206	JP 1993-518785	19930421
AT 169822	E	19980915	AT 1993-911414	19930421
PRIORITY APPLN. INFO.:			US 1992-872398 A	19920423
			WO 1993-CA166 A	19930421

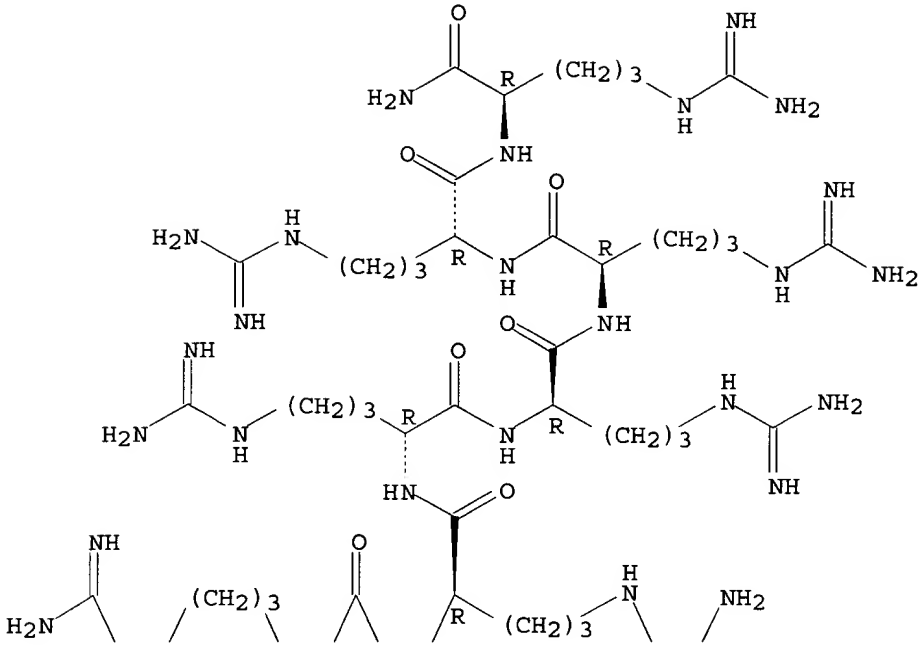
OTHER SOURCE(S): MARPAT 121:50079
ED Entered STN: 06 Aug 1994
AB Oligopeptides R1AXBR2 (R1 = H, N-terminal protecting group; R2 = OH, C-terminal protecting group; X = antiherpetic peptide with 6-12 residues having a pos. charge ≥2; A, B = peptide with 0-20 amino acid residues) are useful to inhibit replication of herpesviruses, especially herpes simplex viruses (HSV). Preferably, the oligopeptide is a D-arginine nonamer having N- and C-terminal protecting groups. Thus, Ac-(D-Arg)9-NH2 inhibited replication of HSV in Vero cells with an IC50 of 2 μM, and improved the survival of mice with footpad infections with HSV when injected at 5 μg 3 times a wk.
IT **143413-49-4 153127-44-7 153127-45-8**
153127-46-9 153127-47-0 153127-49-2
RL: BIOL (Biological study)
(herpes virus infection treatment with)
RN 143413-49-4 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

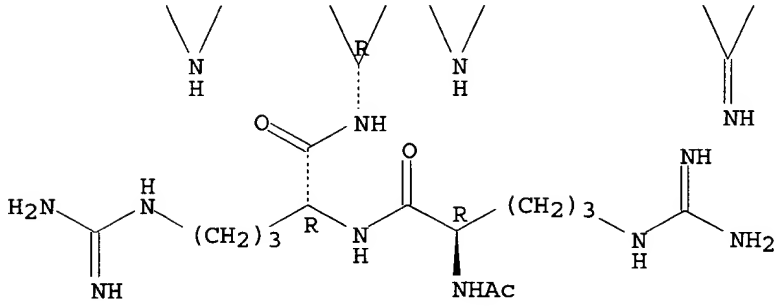
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

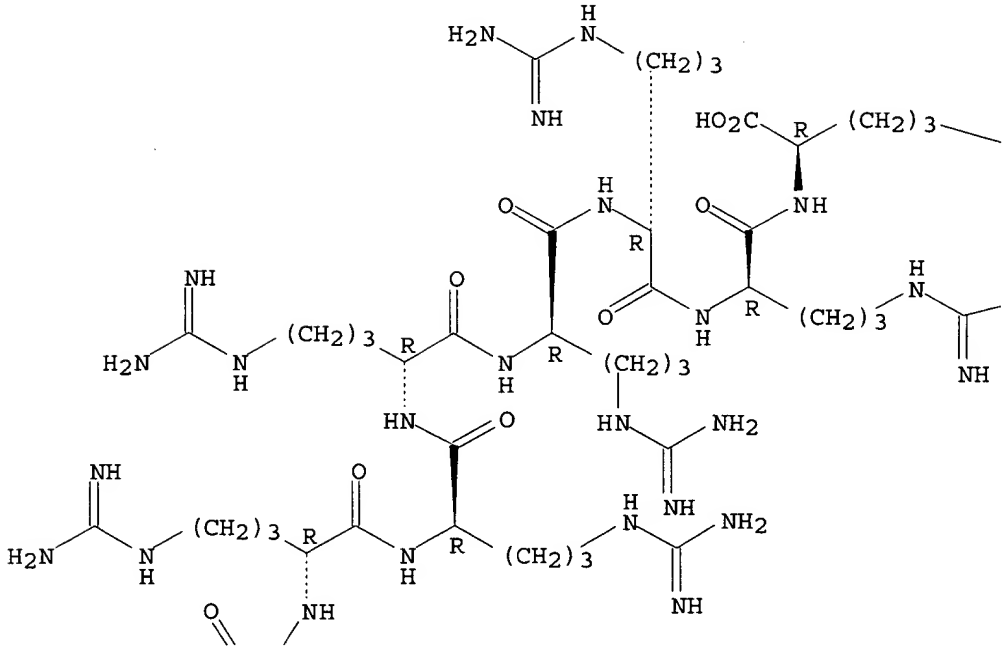


RN 153127-44-7 CAPLUS
CN D-Arginine, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

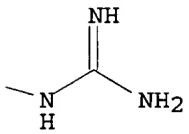
SEQ 1 RRRRRRRRR

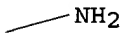
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





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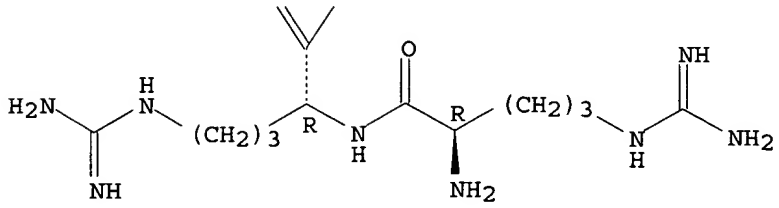


RN 153127-45-8 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

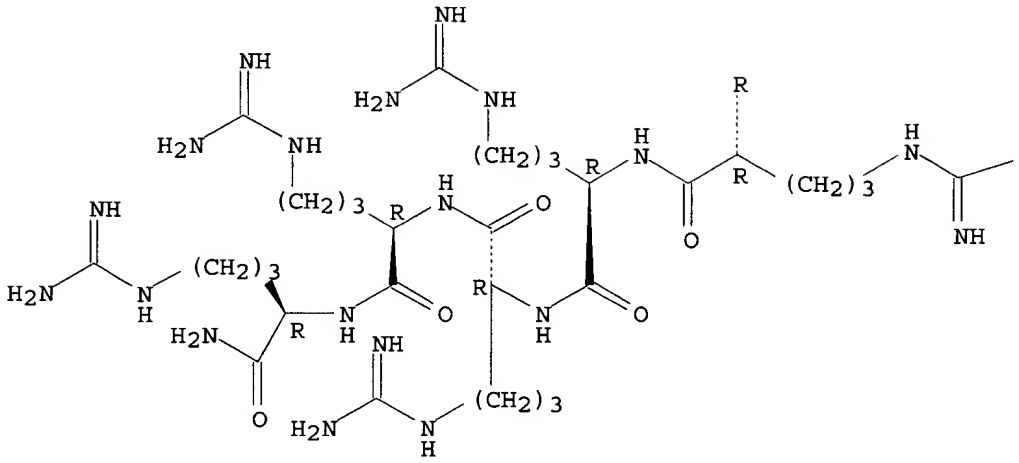
Searched by Barb O'Bryen, STIC 2-2518

NTE modified

SEQ 1 RRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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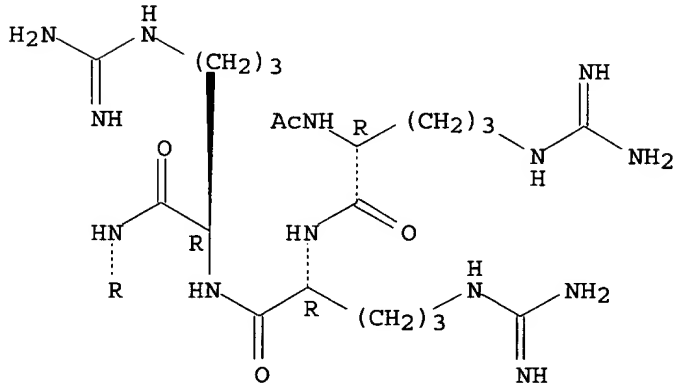
—NH_2

PAGE 2-A



RN 153127-46-9 CAPLUS

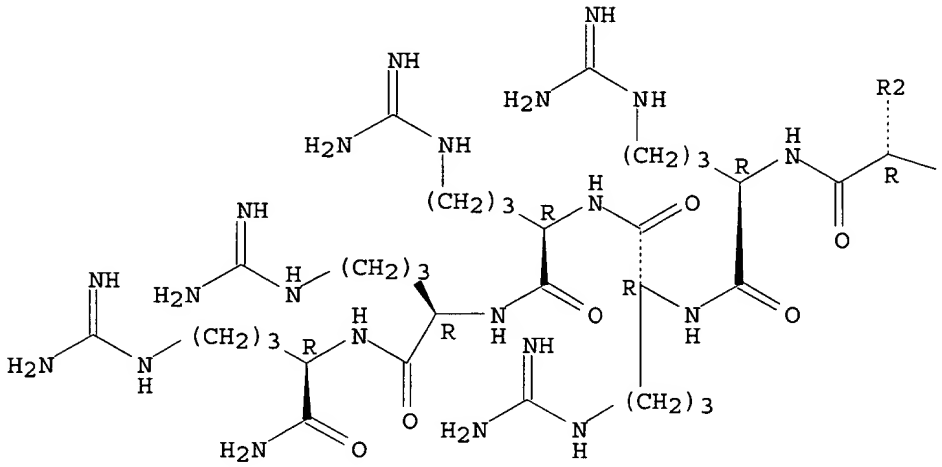
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

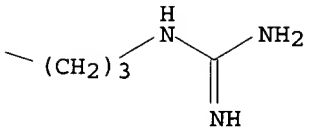
SEQ 1 RRRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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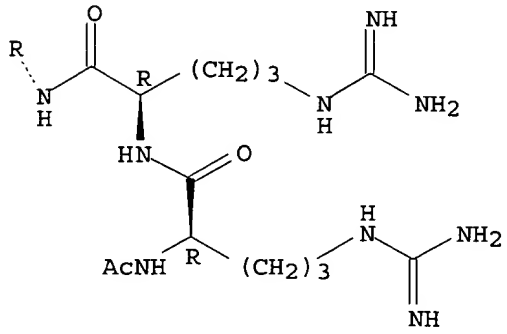
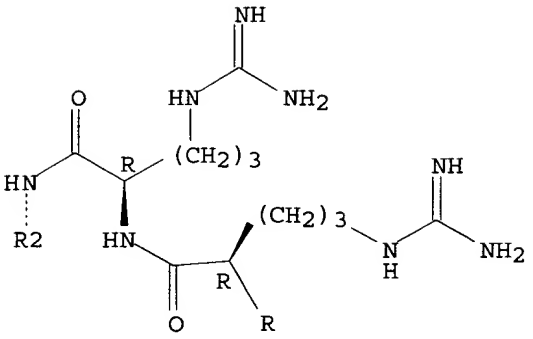


Searched by Barb O'Bryen, STIC 2-2518

PAGE 3-A



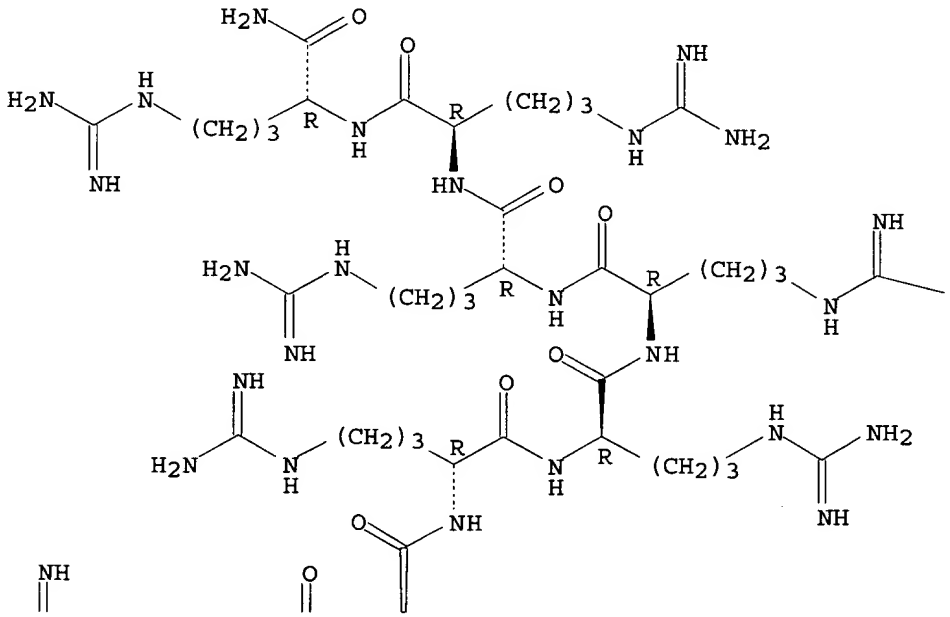
RN 153127-47-0 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRRR R

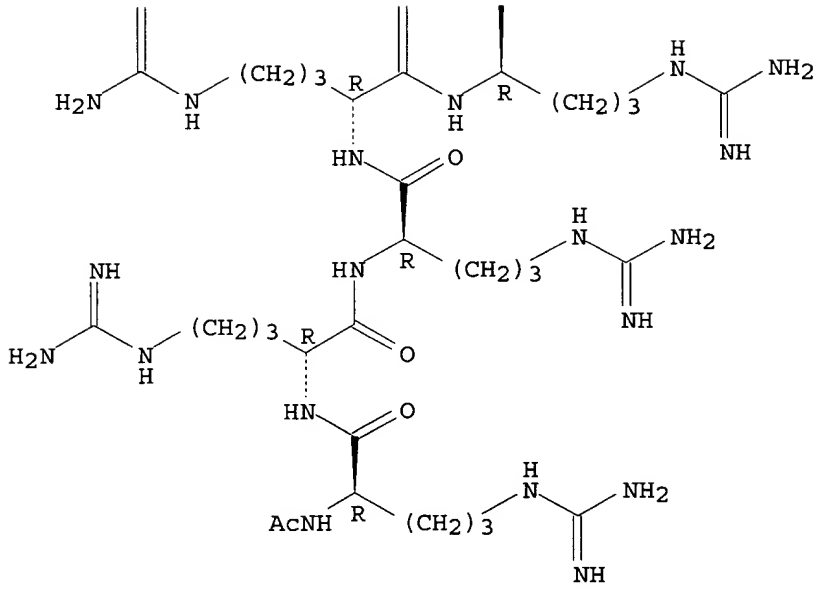
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A



RN 153127-49-2 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-, nonaacetate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

CM 1

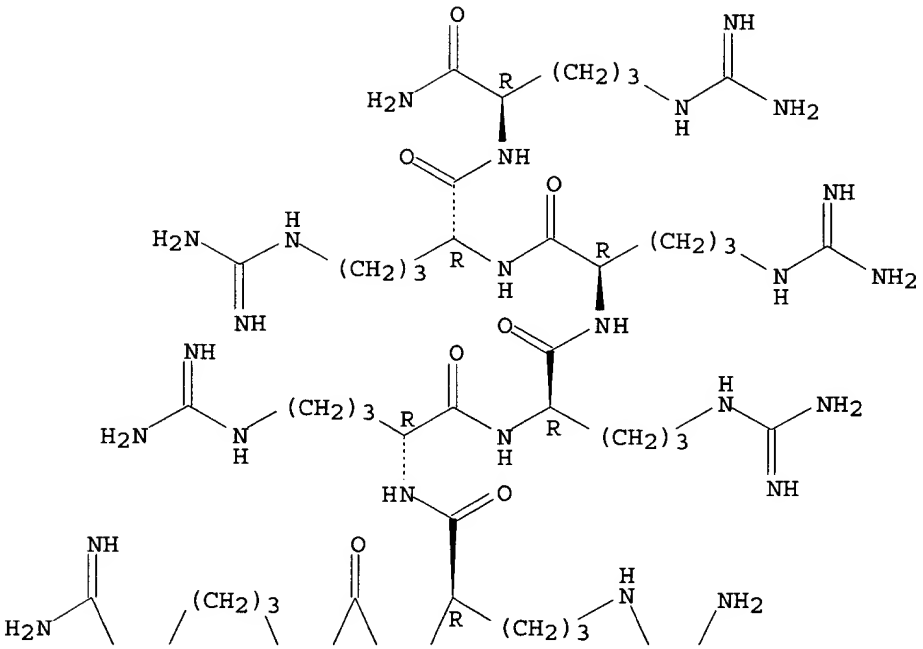
CRN 143413-49-4
CMF C56 H113 N37 O10

NTE modified

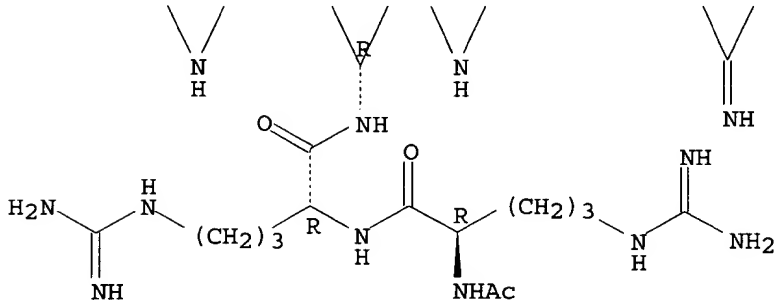
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A

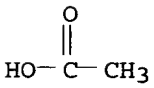


PAGE 2-A



CM 2

CRN 64-19-7
CMF C2 H4 O2



L15 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:280279 CAPLUS
DOCUMENT NUMBER: 120:280279
TITLE: Intracellular delivery of biochemical agents conjugated with peptides
INVENTOR(S): Summer-Smith, Martin; Barnett, Richard W.; Reid, Lorne S.; Twist, Michael
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: Can. Pat. Appl., 19 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 2094658	AA	19931024	CA 1993-2094658		19930422
PRIORITY APPLN. INFO.:			US 1992-872396	A	19920423

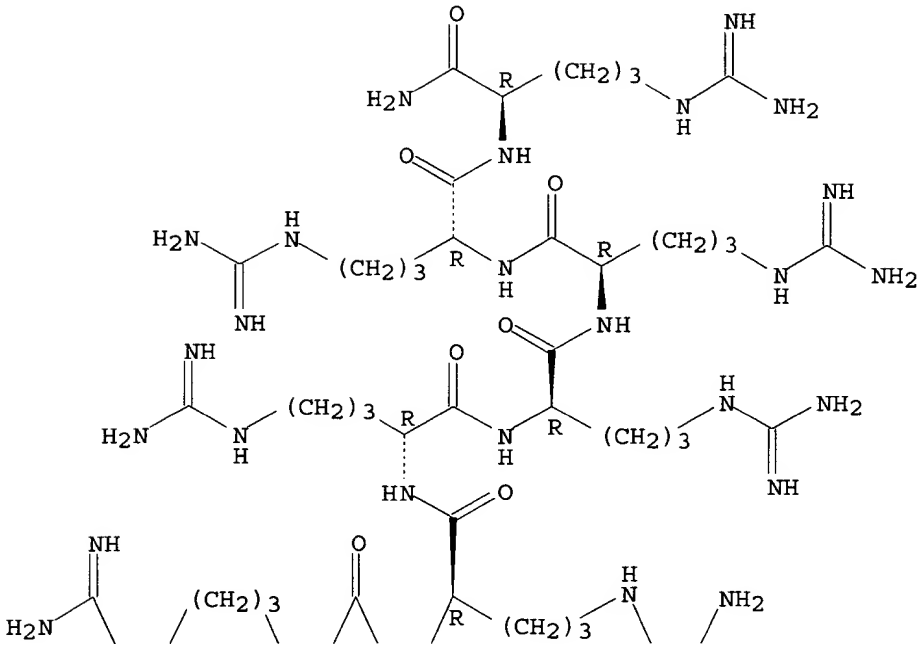
ED Entered STN: 28 May 1994
AB The intracellular delivery of biochem. agents, such as therapeutic peptides and oligonucleotides, is facilitated by a carrier peptide coupled therewith. The carrier peptide consists desirably of pos. charged D-amino acids. Acetyl-[D-Arg]9-NH2 (I) was prepared by conventional solid phase synthesis using p-methylbenzylhydrylamine resin as solid support. The uptake of I by cultured HeLa cells after 24 hs was 25.67%.
IT **143413-49-4D**, conjugates with biochem. agents **153127-44-7D**, conjugates with biochem. agents **154858-88-5D**, conjugates with biochem. agents **154858-89-6D**, conjugates with biochem. agents
RL: BIOL (Biological study)
(for intracellular delivery)
RN 143413-49-4 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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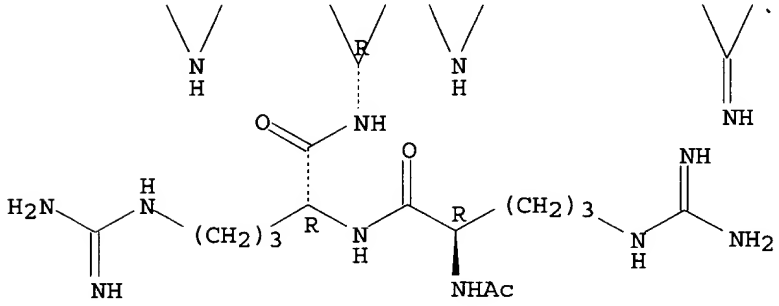
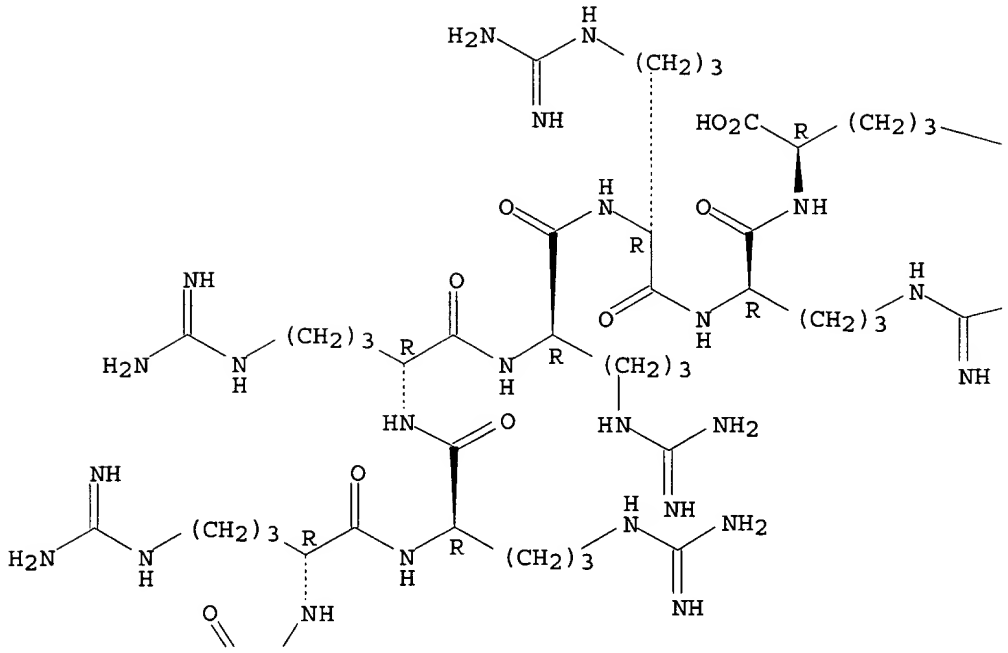


RN 153127-44-7 CAPLUS
CN D-Arginine, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

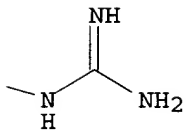
SEQ 1 RRRRRRRRR

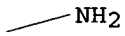
Absolute stereochemistry.

PAGE 1-A

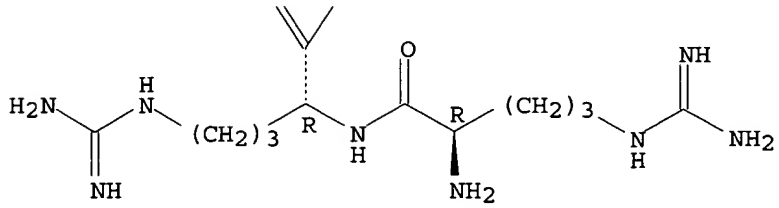


PAGE 1-B





PAGE 2-A



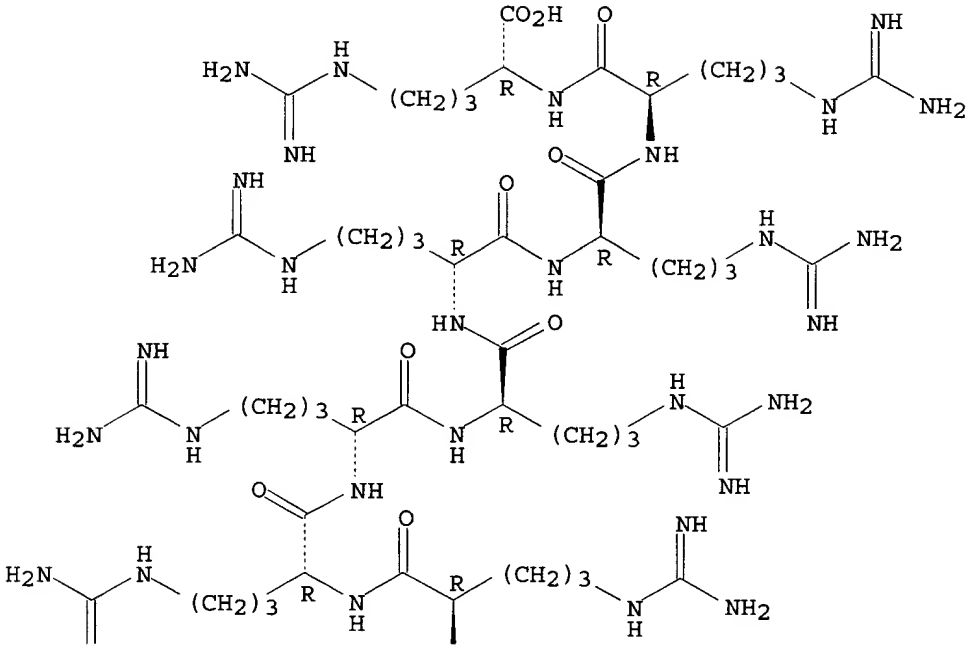
RN 154858-88-5 CAPLUS
CN D-Arginine, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

Searched by Barb O'Bryen, STIC 2-2518

SEQ 1 RRRRRRRR

Absolute stereochemistry.

PAGE 1-A



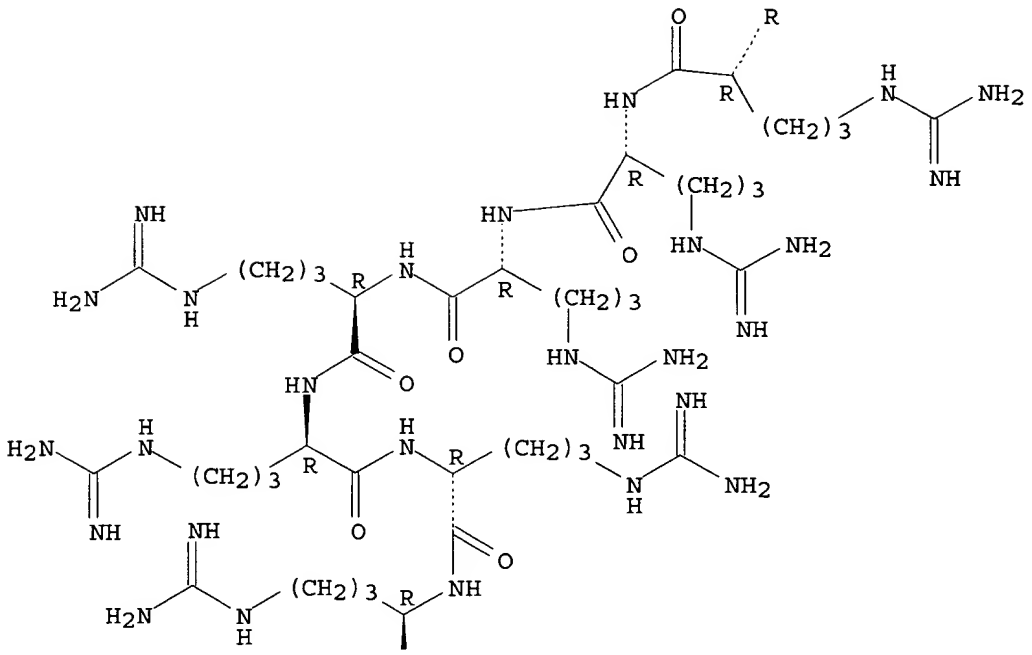
PAGE 2-A

RN 154858-89-6 CAPLUS
CN D-Arginine, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



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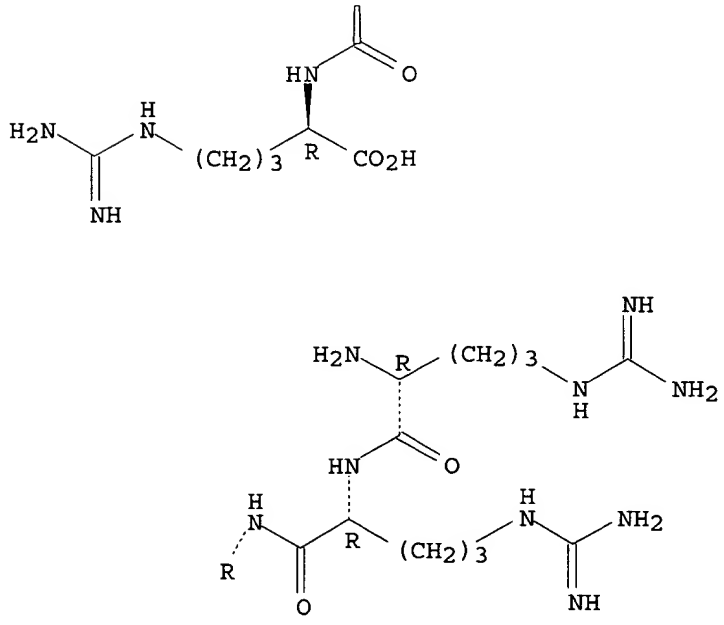


L15 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:462686 CAPLUS
DOCUMENT NUMBER: 119:62686

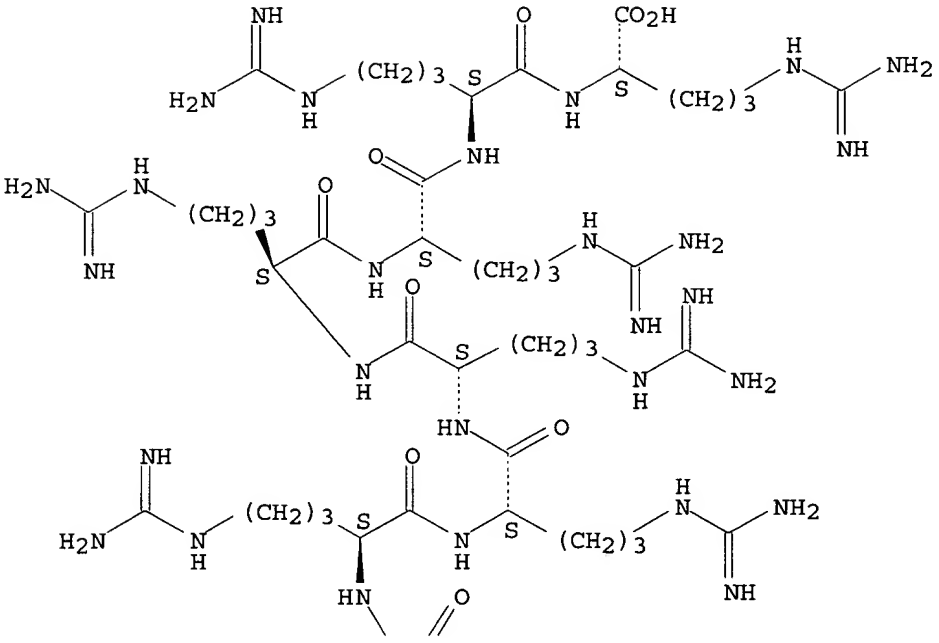
Searched by Barb O'Bryen, STIC 2-2518

TITLE: Synthetic peptides inhibit the interaction of von Willebrand factor-platelet membrane glycoproteins
AUTHOR(S): Mohri, Hiroshi; Zimmerman, Theodore S.; Ruggeri, Zaverio M.
CORPORATE SOURCE: Sch. Med., Yokohama City Univ., Yokohama, 236, Japan
SOURCE: Peptides (New York, NY, United States) (1993), 14(2), 125-9
CODEN: PPTDD5; ISSN: 0196-9781
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 21 Aug 1993
AB Peptides of the general formula Argn, Lysn, and (Lys-Arg)n inhibited the ristocetin-mediated binding of von Willebrand factor (vWF) to the blood platelet glycoprotein GPIb and the binding of asialo-vWF to human blood platelets. This inhibitory activity was proportional to the number of lysine and/or arginine residues/mol in the peptides. Peptides to which the sequence of Arg-Gly-Asp-Val (RGDV) had been added at the carboxy-terminus of (Lys-Arg)n, Lysn, or Argn also inhibited the vWF binding. Peptides with the RGDV sequence blocked the binding of 125I-labeled fibrinogen to ADP-stimulated platelets. Thus, peptides with the general formulas (Lys-Arg)n, Lysn, and Argn with the RGDV sequence inhibit the binding of fibrinogen to activated platelets as well as the binding of vWF to GPIb. These peptides may act as bifunctional antiplatelet agents.
IT **148796-86-5 148796-87-6**
RL: BIOL (Biological study)
(blood platelet binding of von Willebrand factor inhibition by, in human)
RN 148796-86-5 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

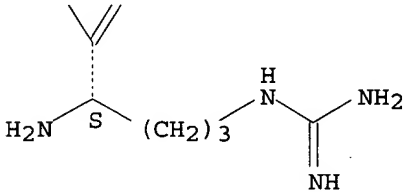
SEQ 1 RRRRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

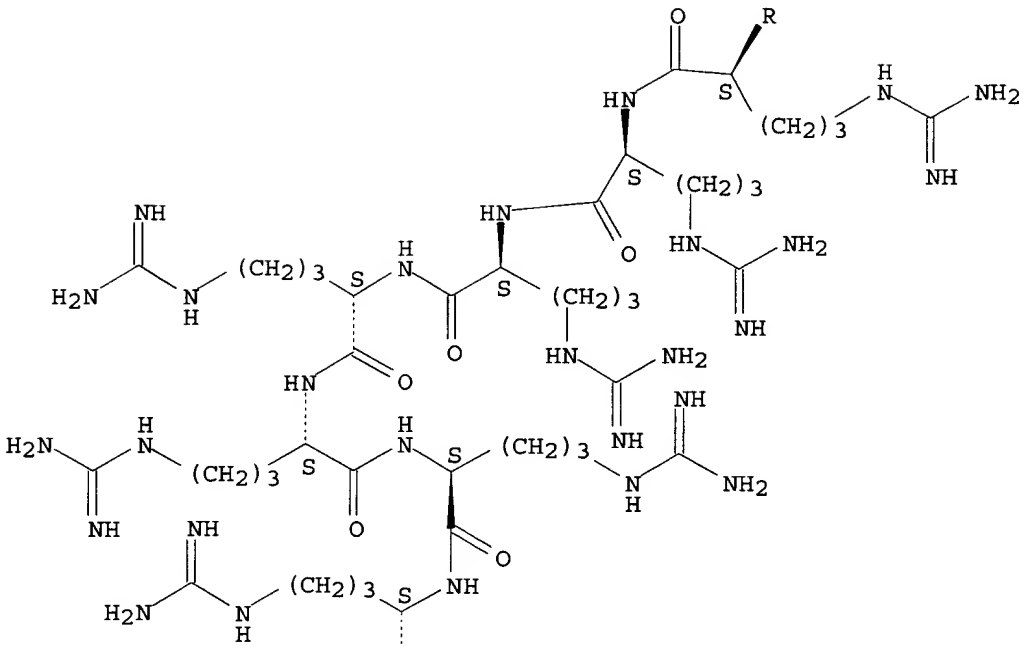


RN 148796-87-6 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

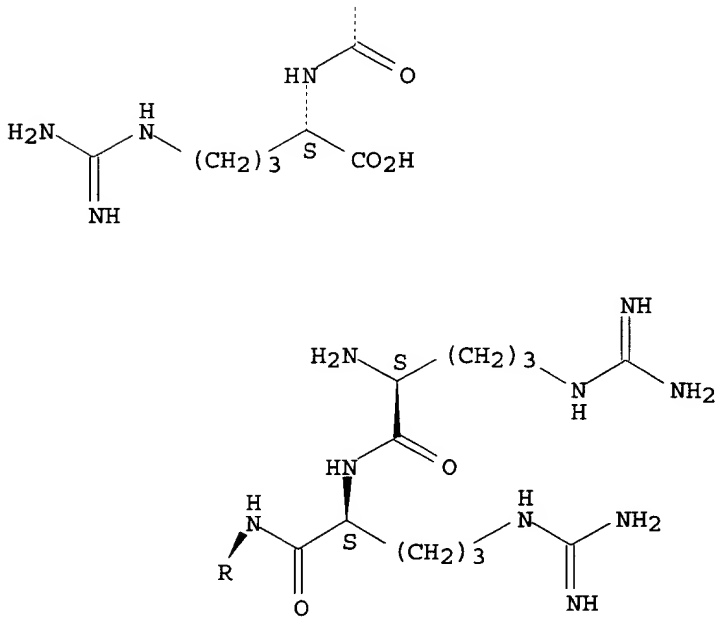
SEQ 1 RRRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L15 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:447346 CAPLUS
DOCUMENT NUMBER: 119:47346

Searched by Barb O'Bryen, STIC 2-2518

TITLE: Method for identifying useful polypeptide vaccines
INVENTOR(S): Sette, Alessandro; Buus, Soren; Grey, Howard M.
PATENT ASSIGNEE(S): National Jewish Center for Immunology and Respiratory Medicine, USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5200320	A	19930406	US 1987-130036	19871207
PRIORITY APPLN. INFO.:			US 1987-130036	19871207

ED Entered STN: 07 Aug 1993

AB A method for determining a polypeptide which potentially generates an immunogenic response comprises (1) contacting a 1st polypeptide which binds to a MHC antigen mol. and determining binding strength; (2) contacting the MHC mol. with a 2nd polypeptide differing from the 1st by having 1 less amino acid at 1 end and determining the binding strength; (3) continuing to contact the MHC mol. with a series of peptides, each differing from the one before it by having 1 less amino acid at 1 end, and determining the binding strength until a member of the series has a binding strength reduced by ≥1/2 relative to the polypeptide which preceded it in the series, this reduction in binding strength indicates that the preceding polypeptide contains a critical binding segment; (4) contacting the polypeptide determined to contain the critical binding segment to a sample of T-cells; and (5) measuring T-cell proliferation following the contact. A pos. T-cell proliferative response indicates potential immunogenicity of the polypeptide. A series of overlapping undecapeptides were synthesized spanning through residues 103-125 of sperm whale myoglobin, a region shown to be antigenic for both mouse MHC IAd- and IEd-restricted T-cells. The relative binding strengths to both MHC mols. were measured and C- and N-terminal limits were determined The core binding peptides were IHVLHS and IIHVLHSR for MHC IAd and IEd mols., resp., which are similar to the critical binding segment of chicken ovalbumin (VHAAHA).

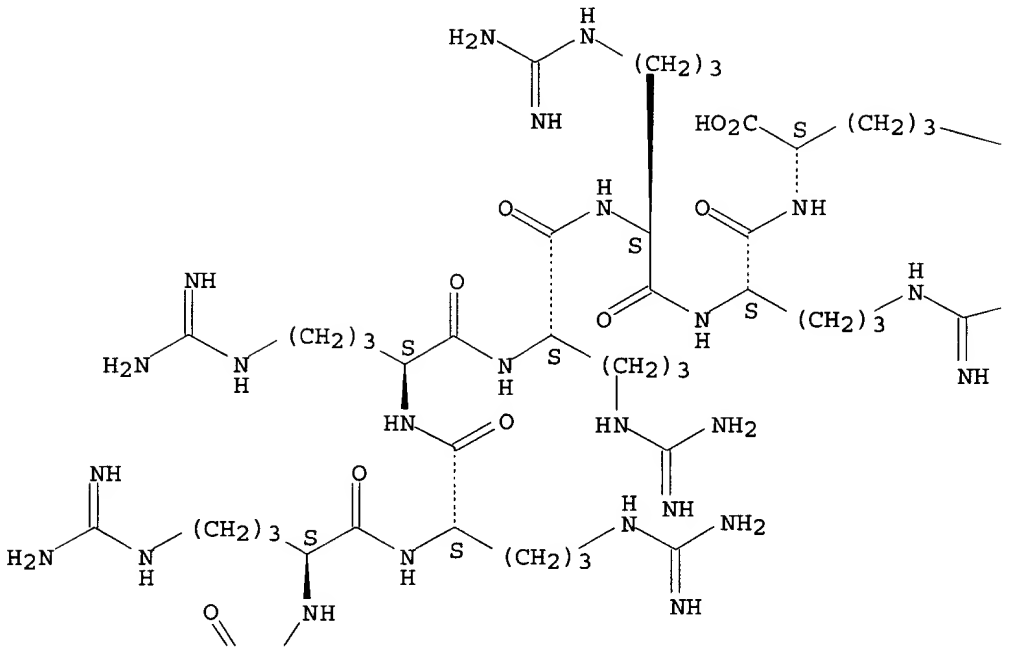
IT **143413-47-2**
RL: USES (Uses)
(MHC IAd antigen binding response to)

RN 143413-47-2 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

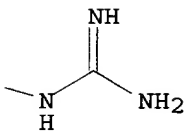
SEQ 1 RRRRRRRRR

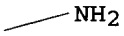
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





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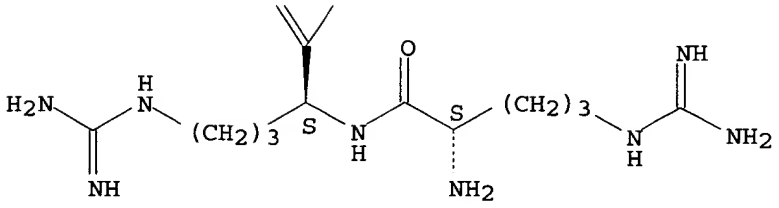


L15 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:531569 CAPLUS

Searched by Barb O'Bryen, STIC 2-2518

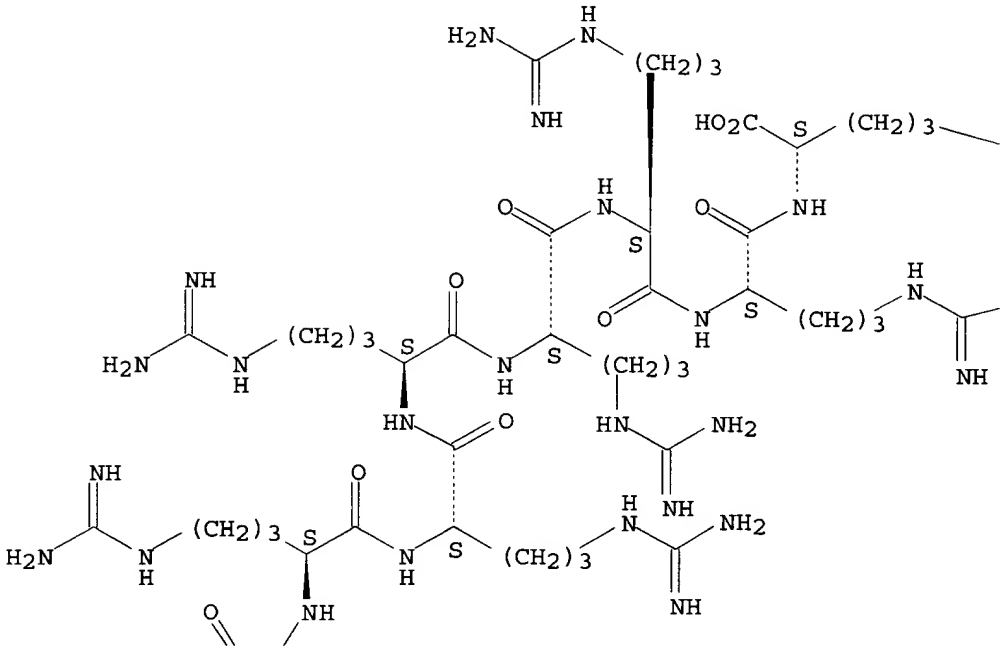
DOCUMENT NUMBER: 117:131569
TITLE: Peptide-based inhibitors of HIV replication
INVENTOR(S): Sumner-Smith, Martin; Barnett, Richard W.; Reid, Lorne S.; Sonenberg, Nahum
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207871	A1	19920514	WO 1991-CA378	19911023
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2092075	AA	19920425	CA 1991-2092075	19911023
AU 9187259	A1	19920526	AU 1991-87259	19911023
AU 660947	B2	19950713		
EP 554284	A1	19930811	EP 1991-917865	19911023
EP 554284	B1	19961218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06501938	T2	19940303	JP 1991-516338	19911023
AT 146483	E	19970115	AT 1991-917865	19911023
ES 2095959	T3	19970301	ES 1991-917865	19911023
NO 9301503	A	19930423	NO 1993-1503	19930423
US 5789531	A	19980804	US 1995-475583	19950607
PRIORITY APPLN. INFO.:			US 1990-602953 A	19901024
			US 1991-779735 B1	19911023
			WO 1991-CA378 A	19911023
			US 1994-357056 A1	19941214

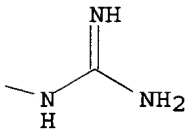
OTHER SOURCE(S): MARPAT 117:131569
ED Entered STN: 04 Oct 1992
AB RAmXBnR1 (R, R1 = H, protective group; X = transactivator response element-binding, transactivation-deficient oligopeptide analog of the HIV tat basic domain consisting of 7-12 amide-linked α-amino acids; A, B = ≥1 amide-linked α-amino acid selected to retain the transactivation-deficient nature of the mol.; m, n = 0, 1) were prepared as HIV inhibitors. Thus, Ac-(D-Arg)9-NH2 was prepared by solid-phase synthesis. At 6 μM Ac-(D-Arg)9-NH2 caused >95% inhibition of HIV replication in human cutaneous lymphoma cells in vitro.
IT **143413-47-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and RNA binding of)
RN 143413-47-2 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

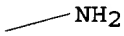
Absolute stereochemistry.

PAGE 1-A

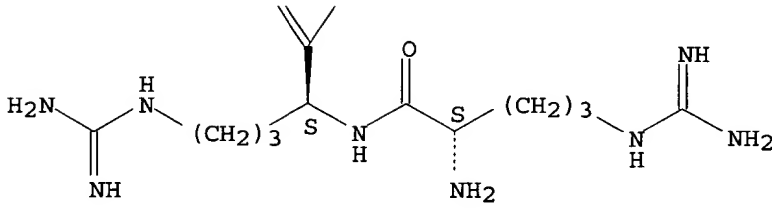


PAGE 1-B





PAGE 2-A



IT **143413-49-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

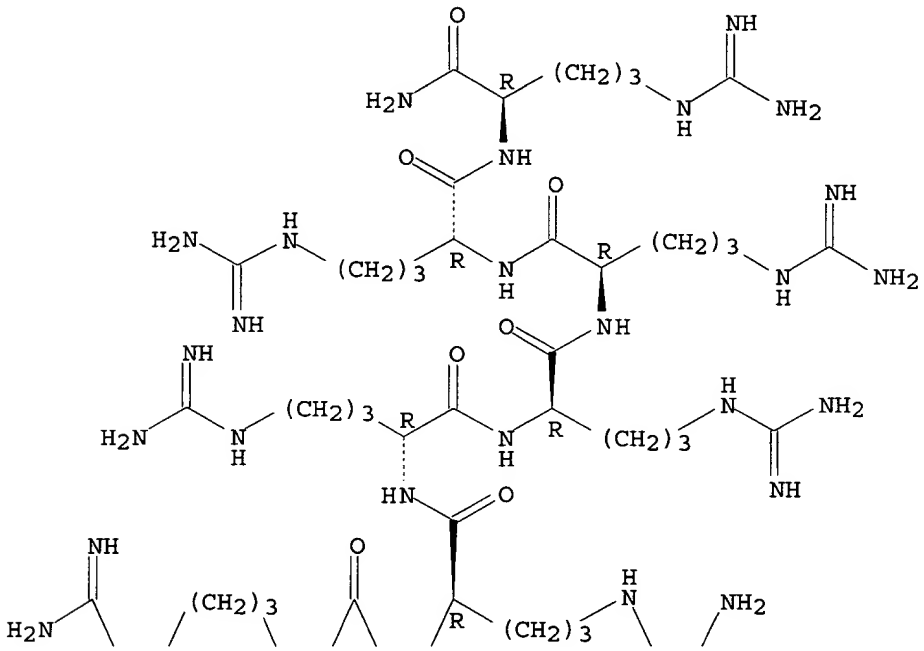
study); PREP (Preparation)
(preparation and virucidal activity of)
RN 143413-49-4 CAPLUS
CN D-Argininamide, N2-acetyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)

NTE modified

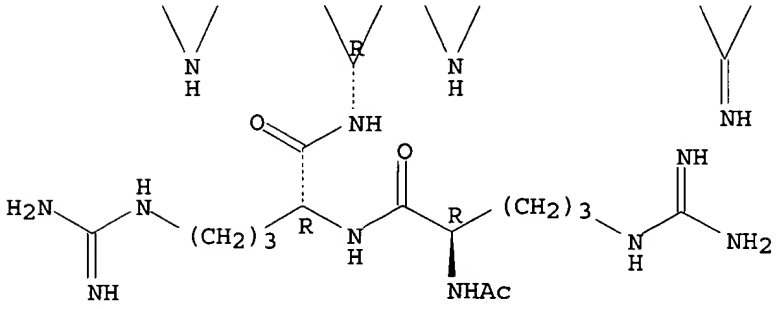
SEQ 1 RRRRRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



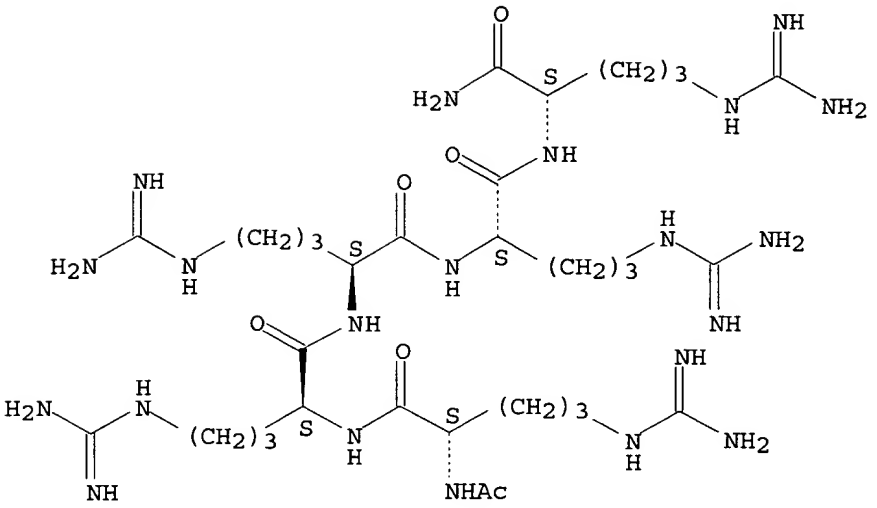
L15 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:422139 CAPLUS

DOCUMENT NUMBER: 117:22139
TITLE: Binding of basic peptides to acidic lipids in membranes: effects of inserting alanine(s) between the basic residues
AUTHOR(S): Mosior, Marian; McLaughlin, Stuart
CORPORATE SOURCE: Health Sci. Cent., State Univ. New York, Stony Brook, NY, 11794-8661, USA
SOURCE: Biochemistry (1992), 31(6), 1767-73
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 26 Jul 1992
AB Binding of peptides containing five basic residues to membranes containing acidic lipids was studied. The peptides have five arginine or lysine residues and zero, one, or two alanines between the basic groups. The vesicles were formed from mixts. of a zwitterionic lipid, phosphatidylcholine, and an acidic lipid, either phosphatidylserine or phosphatidylglycerol. Measuring the binding using equilibrium dialysis, ultrafiltration, and electrophoretic mobility techniques, the authors found that all peptides bind to the membranes with a sigmoidal dependence on the mole fraction of acidic lipid. The sigmoidal dependence (Hill coefficient >1 or apparent cooperativity) is due to both electrostatics and reduction of dimensionality and can be described by a simple model that combines Goy-Chapman-Stern theory with mass action formalism. The adjustable parameter in this model is the microscopic association constant k between a basic residue and an acidic lipid ($1 < k < 10$ M-1). The addition of alanine residues decreases the affinity of the peptides for the membranes; two alanines inserted between the basic residues reduces k 2-fold. Equivalently, the affinity of the peptide for the membrane decreases 10-fold, probably due to a combination of local electrostatic effects and the increased loss of entropy that may occur when the more massive alanine-containing peptides bind to the membrane. The arginine peptides bind more strongly than the lysine peptides; k for an arginine residue is 2-fold higher than for a lysine residue. The results imply that a cluster of arginine and lysine residues with interspersed elec. neutral amino acids can bind a significant fraction of a cytoplasmic protein to the plasm membrane if the cluster contains more than five basic residues.
IT **138488-80-9**
RL: BIOL (Biological study)
(acidic phospholipid membrane binding by, structure relation to)
RN 138488-80-9 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRRRR

Absolute stereochemistry.



L15 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:36999 CAPLUS
DOCUMENT NUMBER: 116:36999
TITLE: Immobilized fusion proteins as biocatalysts: preparation and use
INVENTOR(S): Rudolph, Rainer; Kopetzki, Erhard; Fischer, Stephan; Grossmann, Adelbert; Hoell-Neugebauer, Baerbel
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4001508	A1	19910725	DE 1990-4001508	19900119
CA 2047235	AA	19910720	CA 1991-2047235	19910118
WO 9110910	A2	19910725	WO 1991-EP86	19910118
WO 9110910	A3	19911003		
W: AU, CA, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9170724	A1	19910805	AU 1991-70724	19910118
AU 633686	B2	19930204		
EP 464184	A1	19920108	EP 1991-903190	19910118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 04503610	T2	19920702	JP 1991-503068	19910118
ZA 9100374	A	19920930	ZA 1991-374	19910118
NO 9103673	A	19910918	NO 1991-3673	19910918
PRIORITY APPLN. INFO.:			DE 1990-4001508 A	19900119
			DE 1990-4002636 A	19900130
			WO 1991-EP86 A	19910118

ED Entered STN: 08 Feb 1992
AB Biocatalysts are prepared by expressing chimeric genes for enzymes fused to binding peptides in host cells, isolating and binding the fusion proteins to a carrier having affinity for the binding peptide, and using the immobilized biocatalyst for preparation of a desired product from a substrate.

A plasmid encoding α-glucosidase fused to the hexapeptide Arg6 was prepared and the chimeric gene expressed in Escherichia coli. The fusion protein was isolated from the cells and immobilized on Fraktogel EMD SO3--650. The resulting biocatalyst was used to prepare glucose from maltose.

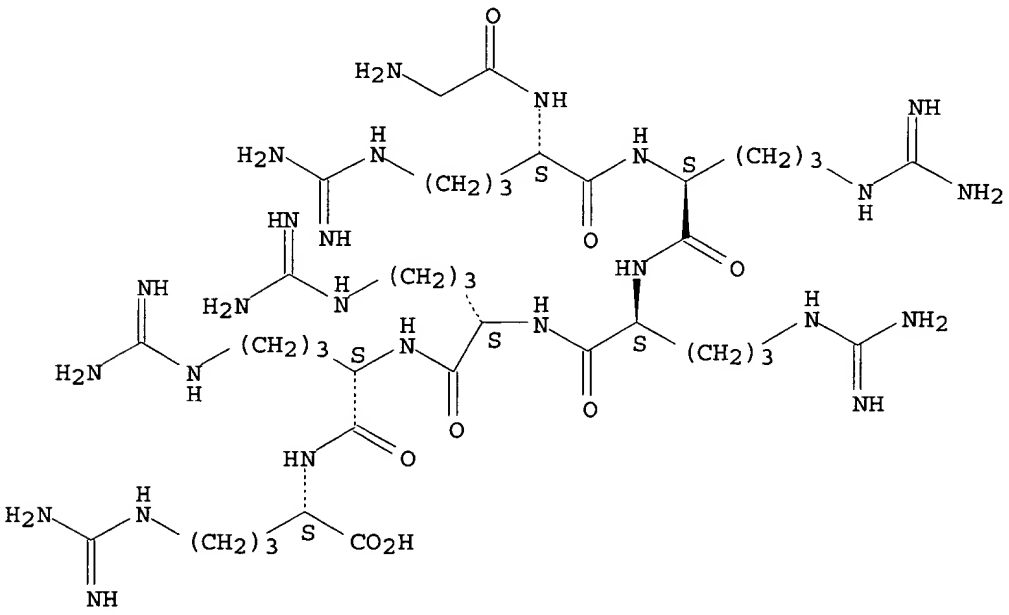
IT **137881-52-8D**, fusion products with glucosidase
RL: USES (Uses)
(manufacture with Escherichia coli of, immobilization on polymer of, maltose manufacture in relation to)

RN 137881-52-8 CAPLUS

CN L-Arginine, N2-[N2-[N2-[N2-[N2-(N2-glycyl-L-arginyl)-L-arginyl]-L-arginyl]-L-arginyl]-L-arginyl]- (9CI) (CA INDEX NAME)

SEQ 1 GRRRRRR

Absolute stereochemistry.



L15 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1992:725 CAPLUS
DOCUMENT NUMBER:	116:725
TITLE:	Glycoprotein Ib α chain (GPIbα) fragments and recombinant DNA expression vectors, and inhibition of von Willebrand factor with the fragments
INVENTOR(S):	Ruggeri, Zaverio M.; Zimmerman, Theodore S.; Houghten, Richard A.; Vicente, Vicente; Mohri, Hiroshi; Ware, Jerry L.
PATENT ASSIGNEE(S):	Scripps Clinic and Research Foundation, USA
SOURCE:	PCT Int. Appl., 77 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9109614	A1	19910711	WO 1991-US87		19910104
W: AU, CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
US 5340727	A	19940823	US 1990-613083		19901114
AU 9177458	A1	19910724	AU 1991-77458		19910104
EP 524260	A1	19930127	EP 1991-908416		19910104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 05503708	T2	19930617	JP 1991-507976		19910104
PRIORITY APPLN. INFO.:			US 1990-460674	A2	19900104
			US 1990-613083	A2	19901114
			US 1987-121454	B2	19871117
			WO 1991-US87	A	19910104

ED Entered STN: 11 Jan 1992

AB Peptides and other polymers are provided which inhibit the binding of von Willebrand factor (I) to platelet membrane GPIb and/or GPIb expressed on the surface of any cell of megakaryocytic lineage, as are methods of inhibiting platelet activation, adhesion of platelets to surfaces, platelet aggregation, or thrombosis. Also provided are recombinant DNA expression vectors encoding a peptide which inhibits binding of I to GPIb (the vector including a nucleotide sequence encoding the amino acid sequence [His1-Ala302] inclusive of the amino terminal region of platelet membrane GPIbα or any sequential subset thereof), mammalian host cells transformed by the vectors, a process for producing a peptide having the identifying characteristics of the 45-kiloDalton tryptic fragment of glycocalicin, and a process for expressing the full length GPIbα polypeptide (i.e. [His1-Leu610]) or a subfragment thereof. Synthetic peptides representing overlapping sequences of the above 45-kiloDalton fragment were used to identify GPIbα receptor sites.

IT **136268-89-8**
RL: BIOL (Biological study)
(asialo-von Willebrand factor binding to blood platelet inhibitory activity of)

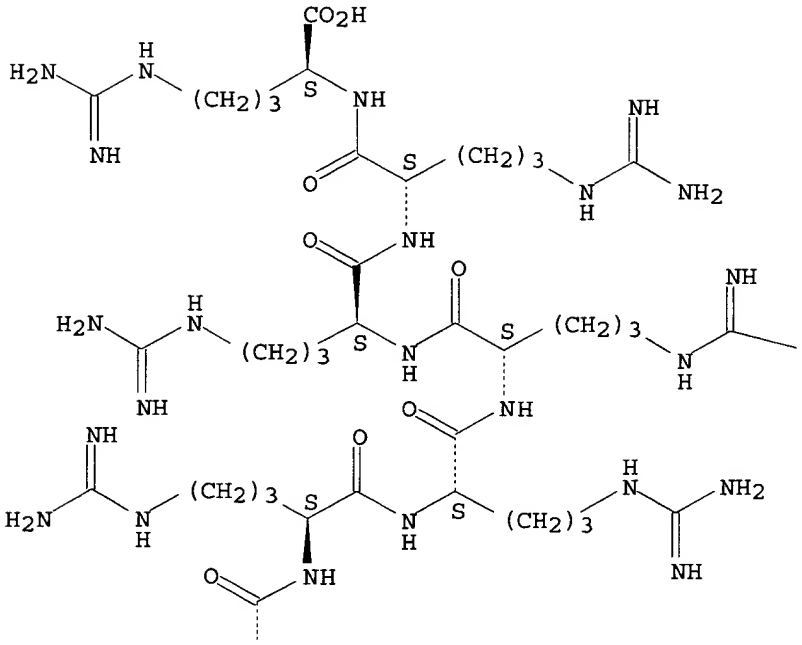
RN 136268-89-8 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRRRRRR R

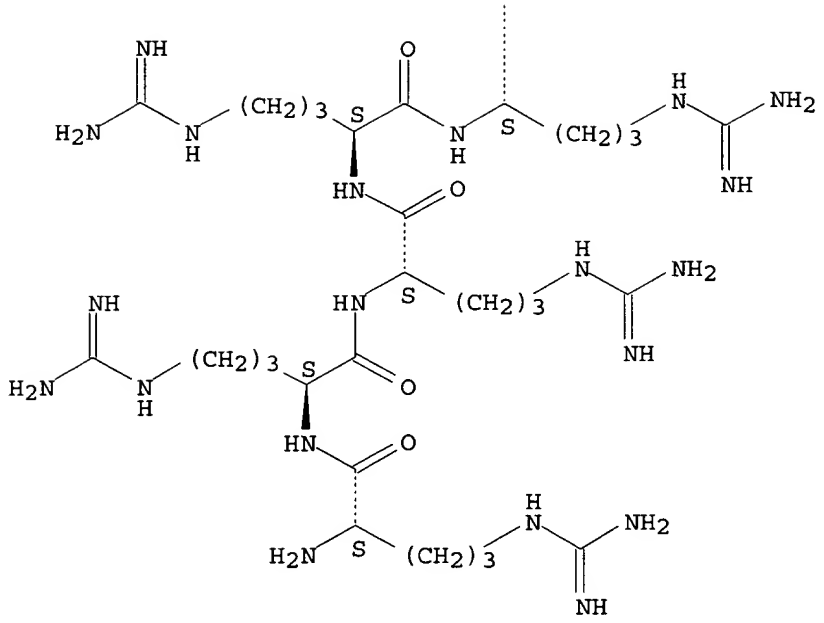
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A



L15 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:530309 CAPLUS
DOCUMENT NUMBER: 115:130309
TITLE: Binding of peptides with basic residues to membranes containing acidic phospholipids
AUTHOR(S): Kim, Jiyun; Mosior, Marian; Chung, Laura A.; Wu, Hui; McLaughlin, Stuart
CORPORATE SOURCE: Health Sci. Cent., State Univ. New York, Stony Brook, NY, 11794-8661, USA
SOURCE: Biophysical Journal (1991), 60(1), 135-48
CODEN: BIOJAU; ISSN: 0006-3495
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 05 Oct 1991
AB There are clusters of basic amino acids on many cytoplasmic proteins that bind transiently to membranes (e.g., protein kinase C) as well as on the cytoplasmic domain of many intrinsic membrane proteins (e.g., glycophorin). To explore the possibility that these basic residues bind electrostatically to monovalent acidic lipids, the binding of the peptides Lysn and Argn (n = 1-5) to bilayer membranes containing phosphatidylserine (PS) or phosphatidylglycerol (PG) were studied. Electrophoretic mobility measurements were made using multilamellar vesicles, fluorescence and equilibrium binding measurements using large unilamellar vesicles, and surface potential measurements using monolayers. None of the peptides bound to vesicles formed from the zwitterionic lipid phosphatidylcholine (PC) but all bound to vesicles formed from PC/PS or PC/PG mixts. None of the peptides exhibited specificity between PS and PG. Each lysine residue that was added to Lys2 decreased by one order of magnitude the concentration of peptide required to reverse the charge on the vesicle; equivalently it increased by one order of magnitude the binding affinity of the peptides for the PS vesicles. The simplest explanation is that each added lysine binds independently to a sep. PS with a microscopic association constant of 10 M-1 or a free energy of .apprx.1.4 kcal/mol. Similar, but not identical,

results were obtained with the Argn peptides. A simple theor. model combines the Gouy-Chapman theory (which accounts for the nonspecific electrostatic accumulation of the peptides in the aqueous diffuse double layer adjacent to the membrane) with mass action equations (which account for the binding of the peptides to >1 PS). This model can account qual. for the dependence of binding on both the number of basic residues in the peptides and the mole fraction of PS in the membrane.

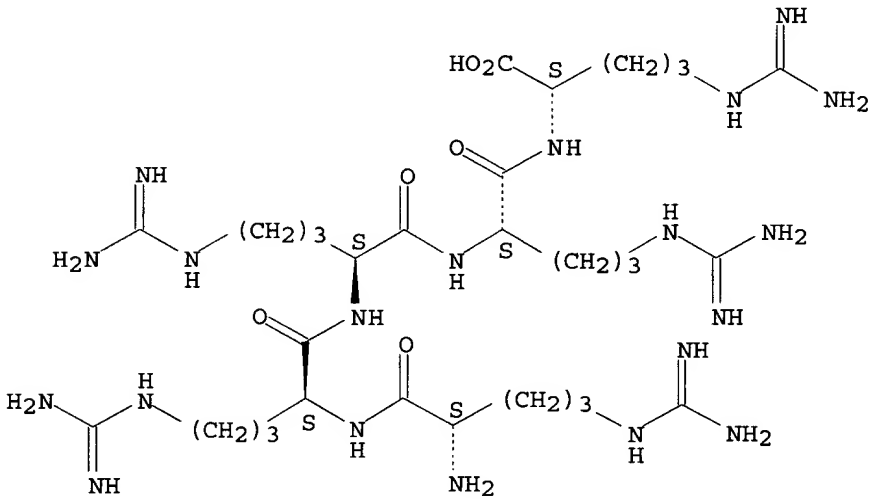
IT **135941-07-0**
RL: BIOL (Biological study)
(acidic phospholipid membrane interactions with, peptide structure in relation to)

RN 135941-07-0 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRR

Absolute stereochemistry.



L15 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:400232 CAPLUS

DOCUMENT NUMBER: 111:232

TITLE: Macrophage activation and host augmentation against Sendai or herpes simplex virus (HSV) infections with synthetic polypeptides in mice

AUTHOR(S): Iida, Joji; Nishi, Norio; Saiki, Ikuo; Mizukoshi, Noriko; Ishihara, Chiaki; Tokura, Seiichi; Azuma, Ichiro

CORPORATE SOURCE: Fac. Sci., Hokkaido Univ., Sapporo, 060, Japan

SOURCE: International Journal of Immunopharmacology (1989), 11(3), 249-58
CODEN: IJIMDS; ISSN: 0192-0561

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 08 Jul 1989

AB Poly-L-Lys (mean mol. wt; 12,000), poly-L-Arg (5000), and poly-L-Orn were found to activate peritoneal macrophages effectively in vivo. The ability

of sequential poly(L-Arg-L-X) (5000) to activate macrophages was less than that of poly-L-Arg. Neither (L-Arg)12 nor (L-Arg)6 by themselves activated macrophages, but poly-D-Arg (5000) did, as also did poly-L-Arg; this suggests that the polycationic character of poly-L-Arg plays a role in the activation of macrophages. The intranasal administration of poly-L-Lys, -L-Arg, -L-Orn, -D-Arg, all of which activated macrophages, augmented host resistance against Sendai virus infection in mice. The protection afforded by poly-L-Arg seemed to depend on its mol. wt: the order of protection was poly-L-Arg>(L-Arg)12>(L-Arg)6. The intranasal administration of poly-L-Arg 3 days before the infection was effective, while that 1 day before infection was not. There was no difference between the groups in the titer of interferon produced by the infection of Sendai virus given poly-L-Arg either 3 days before or 1 day before the infection. The administration of poly-L-Arg 3 days before the infection decreased the virus titer in the lung 6 days after the infection when compared with the control or the mice treated 1 day before. The i.v. administration of 2-chloroadenosine, which is a selective inhibitor of macrophages, into the mice which had received poly-L-Arg intranasally 3 days before the infection decreased the survival rate of the mice, indicating that the macrophages activated with poly-L-Arg are likely to be an important element in affording the protection. S.c. administration of poly-L-Arg had protective activity against systematic infection with herpes virus-type 1.

IT **96337-25-6 105151-62-0**
RL: BIOL (Biological study)
(Sendai virus infection inhibition by, macrophage activation in)

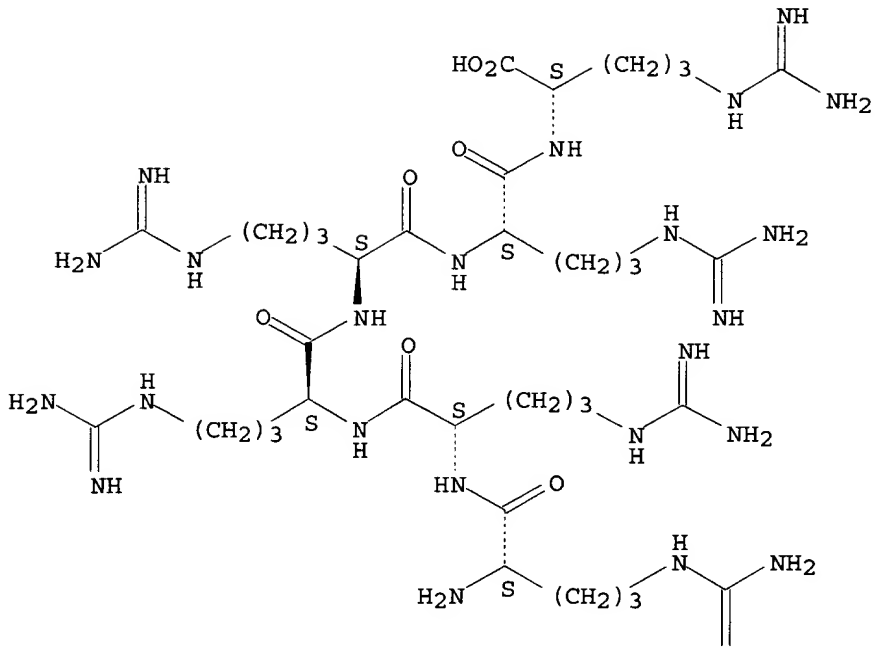
RN 96337-25-6 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



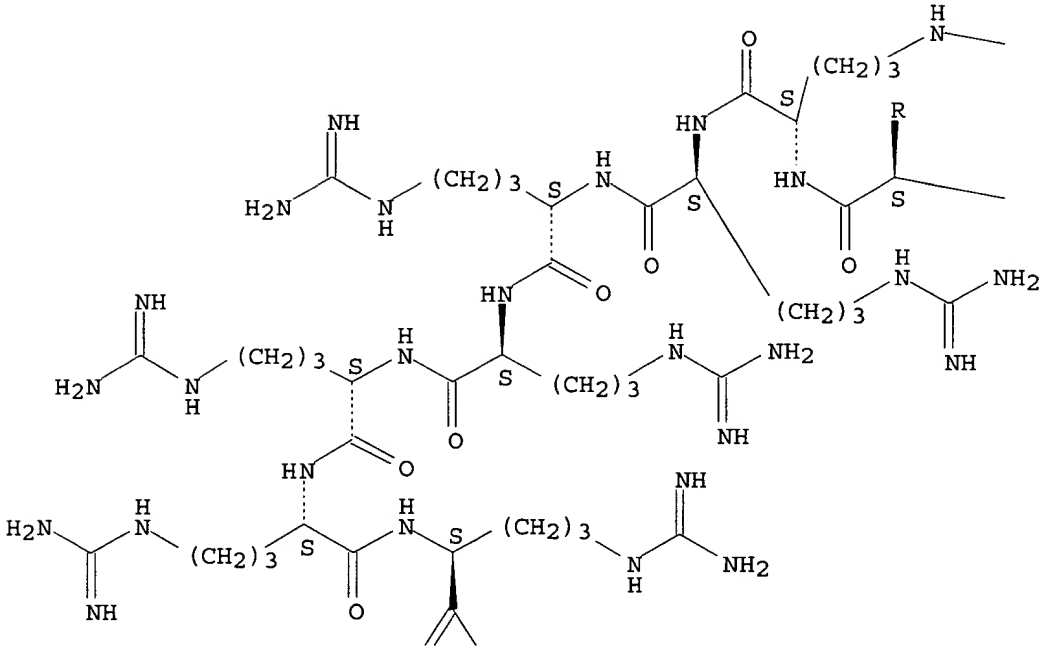
PAGE 2-A

RN 105151-62-0 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRRRRRR RR

Absolute stereochemistry.

PAGE 1-A



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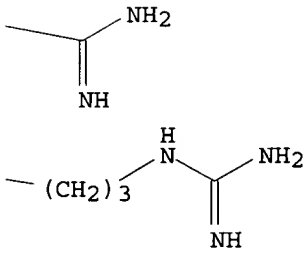
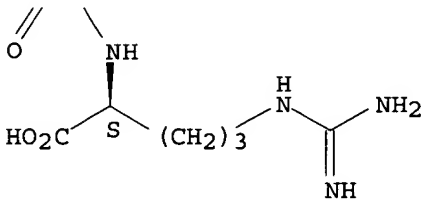
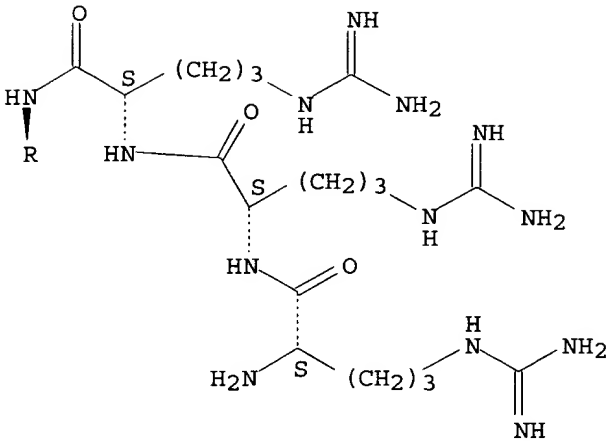


PAGE 2-A



PAGE 3-A

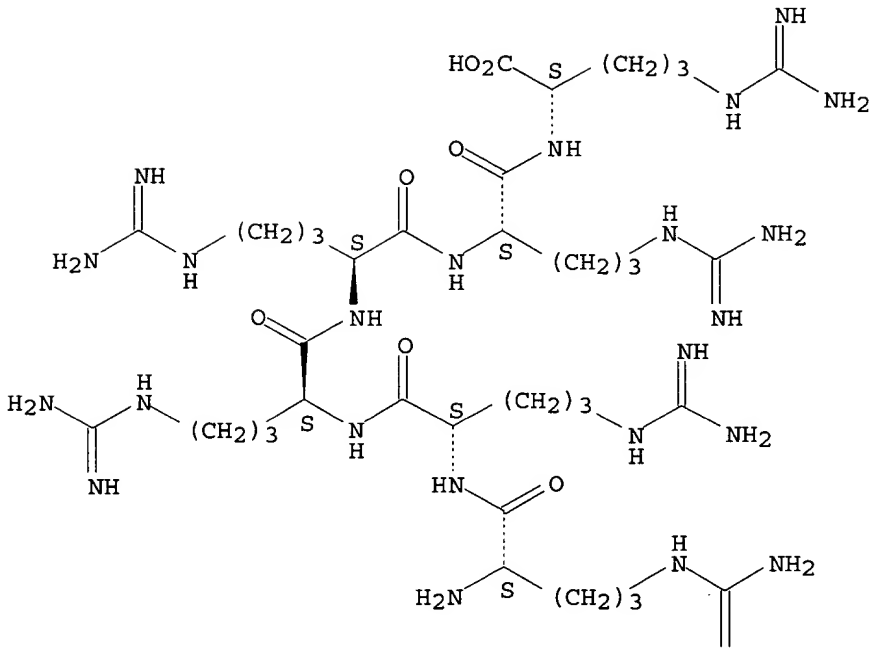


L15 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:147335 CAPLUS
DOCUMENT NUMBER: 110:147335
TITLE: Biological activities of synthetic polypeptides containing a repetitive core sequence (Arg-Gly-Asp) of cell adhesion molecules
AUTHOR(S): Saiki, Ikuo; Iida, Joji; Azuma, Ichiro; Nishi, Norio; Matsuno, Kazuhiko
CORPORATE SOURCE: Inst. Immunol. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: International Journal of Biological Macromolecules (1989), 11(1), 23-5
CODEN: IJBMDR; ISSN: 0141-8130
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 30 Apr 1989
AB A unique polypeptide containing the repeated structure of core sequence from cell adhesion mols., poly(Arg-Gly-Asp), was successfully prepared by the polymerization procedure with diphenylphosphoryl azide. This polypeptide dramatically inhibited the aggregation of platelets induced by ADP or malignant melanoma cells.
IT **96337-25-6 105151-62-0**
RL: BIOL (Biological study)
(macrophage activation by)
RN 96337-25-6 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



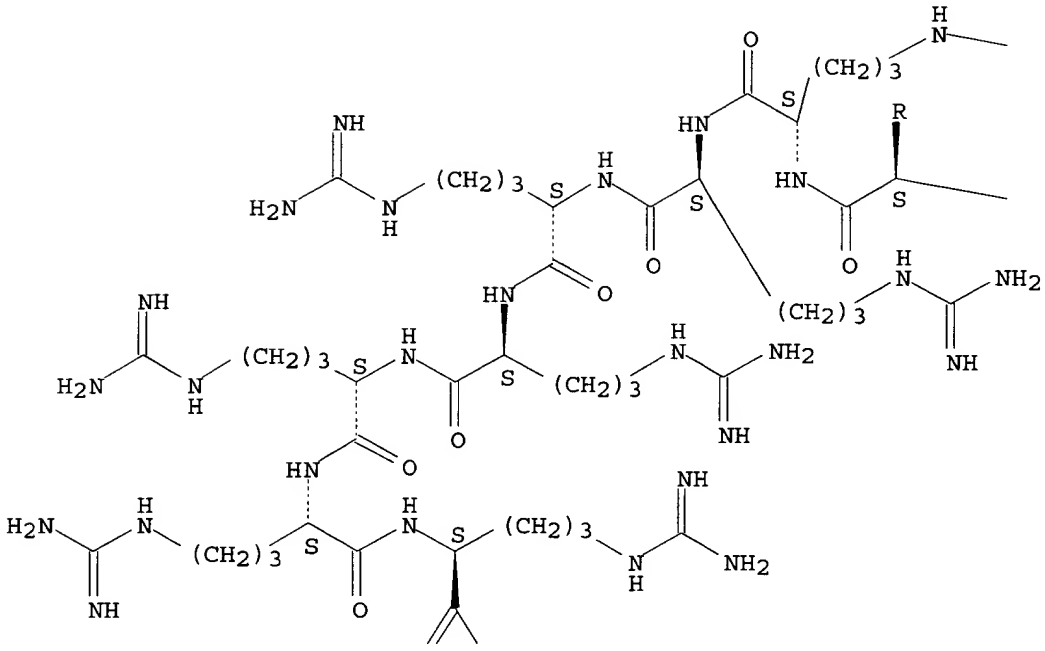
PAGE 2-A

RN 105151-62-0 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

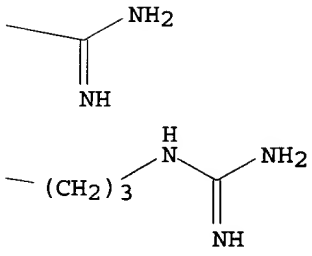
SEQ 1 RRRRRRRRRR RR

Absolute stereochemistry.

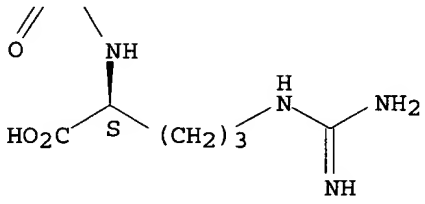
PAGE 1-A



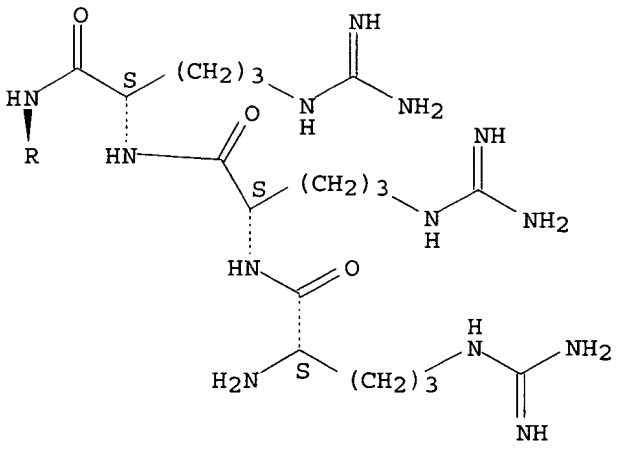
PAGE 1-B



PAGE 2-A



PAGE 3-A



L15 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:602723 CAPLUS
DOCUMENT NUMBER: 105:202723
TITLE: Inhibition of platelet function with synthetic peptides designed to be high-affinity antagonists of fibrinogen binding to platelets
AUTHOR(S): Ruggeri, Zaverio; Houghten, Richard A.; Russell, Susan R.; Zimmerman, Theodore S.
CORPORATE SOURCE: Dep. Basic Clin. Res. Mol. Biol., Scripps Clin. Res. Found., La Jolla, CA, 92037, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1986), 83(15), 5708-12
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 13 Dec 1986
AB Synthetic peptides modeled on the sequences of Arg-Gly-Asp (present in fibrinogen, fibronectin, and von Willebrand factor) or of the fibrinogen γ chain (γ 400-411) His-His-Leu-Gly-Gly-Ala-Lys-Gln-Ala-Gly-Asp-Val [89105-94-2] were studied. The concentration of each peptide that inhibits 50% of 125I-labeled fibrinogen binding to thrombin-stimulated platelets (IC50) was then determined The IC50 for (γ400-411) was 48-180 μM at a fibrinogen concentration of 60 μg/mL. A substitution of arginine for alanine at position 9 decreased the IC50 to 14.5 μM. Arginine substitutions for all other residues on the amino-terminal side of the peptide Arg9-Gly-Asp-Val [105151-59-5] resulted in an IC50 of 0.4-0.8 μM, and the IC50 of the peptide Arg13-Gly-Asp-Val [105151-60-8] was 0.2-0.3 μM. This contrasts with an IC50 of 200 μM for Arg5-Gly-Asp-Val-Arg4 [105151-61-9] and an IC50 >1 mM for the peptide arginine12 [**105151-62-0**]. The inhibitory effect resulted primarily in a decreased affinity of fibrinogen binding to platelets, although the number of available binding sites had also decreased. Binding was completely inhibited. At concns. between 10 and 18 μM, Arg9-Gly-Asp-Val blocked all ADP-induced aggregation in citrated platelet-rich plasma. The peptide Tyr-His-His-Lys-Arg-Lys-Arg-Lys-Gln-Arg-Gly-Asp-Val [105151-63-1] was labeled with 125I to quantitate is binding to thrombin-stimulated platelets; at saturation, 59,990 mols. were bound per cell (dissociation constant = 3.8 + 10-7 M). These modified synthetic peptides bind to platelets with the same affinity as does intact

fibrinogen and inhibit platelet function. The increased affinity of these modified peptides is >20-fold that of peptides comprised of only native sequences and is a prerequisite for the potential antithrombotic use of these agents.

IT **105151-62-0**
RL: BIOL (Biological study)
(blood platelet function inhibition by, mol. structure in relation to)

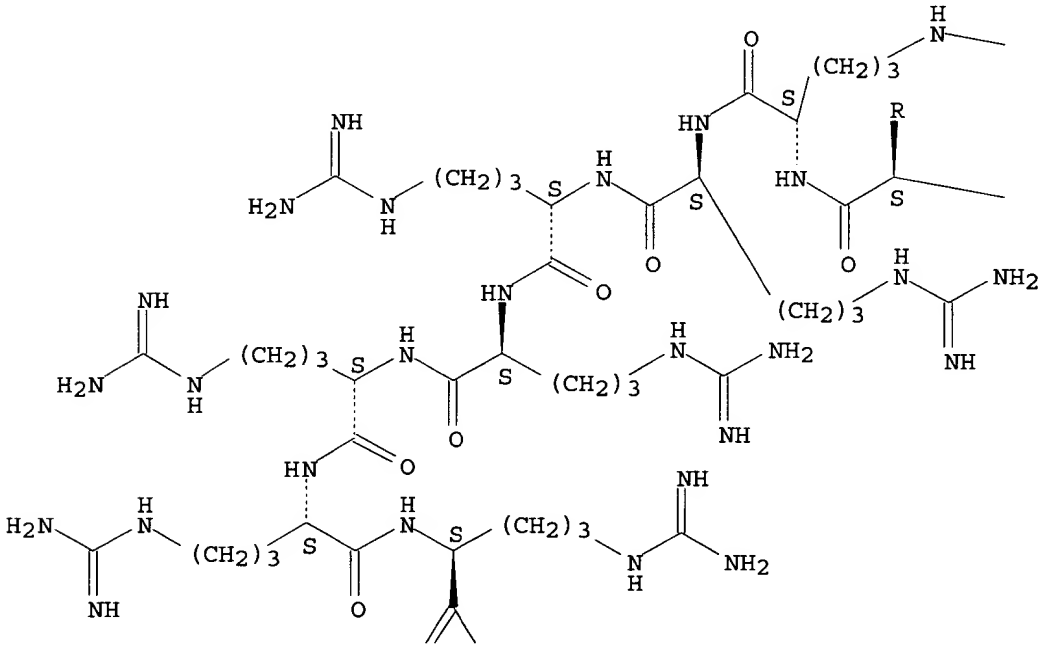
RN 105151-62-0 CAPLUS

CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

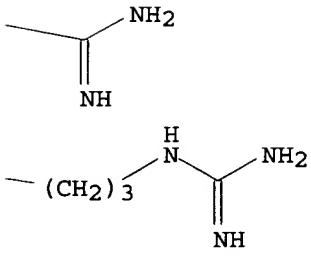
SEQ 1 RRRRRRRRRR RR

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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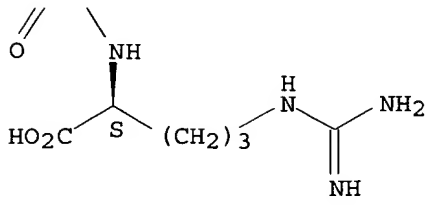
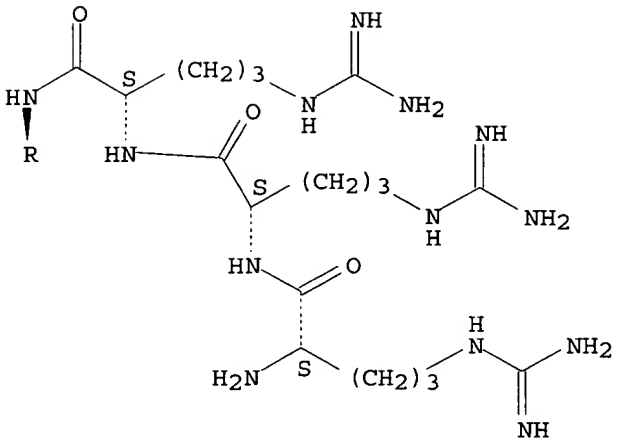


PAGE 3-A



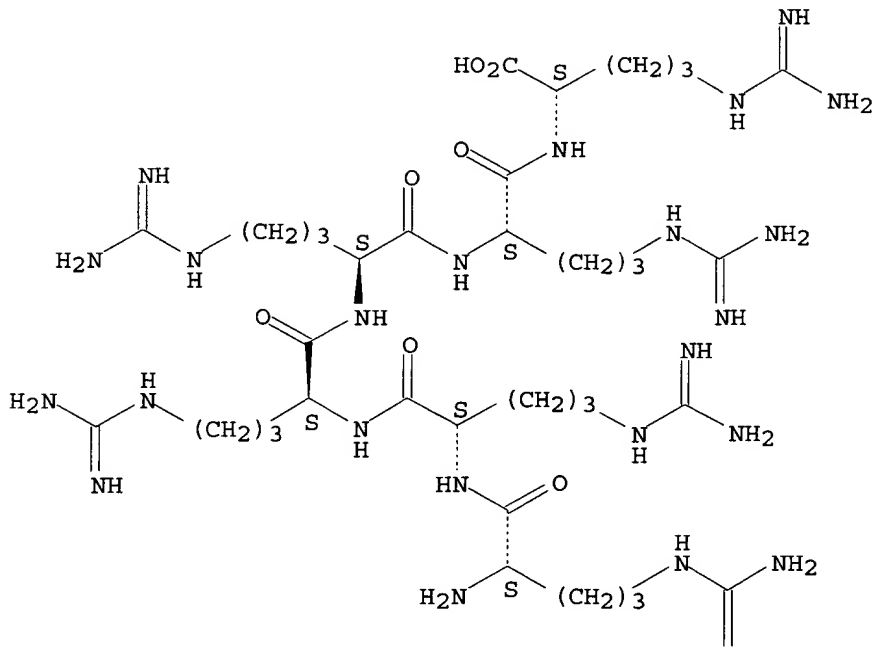
L15 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:198911 CAPLUS
DOCUMENT NUMBER: 102:198911
TITLE: Chemical synthesis and cloning of a poly(arginine)-coding gene fragment designed to aid polypeptide purification
AUTHOR(S): Smith, J. C.; Derbyshire, R. B.; Cook, E.; Dunthorne, L.; Viney, J.; Brewer, S. J.; Sassenfeld, H. M.; Bell, L. D.
CORPORATE SOURCE: Searle Res. Dev., High Wycombe/Buckinghamshire, UK
SOURCE: Gene (1984), 32(3), 321-7
CODEN: GENED6; ISSN: 0378-1119
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 15 Jun 1985
AB A 43-base-pair DNA duplex coding for L-Arg6 [**96337-25-6**] was synthesized by modified phosphotriester procedures. It was inserted into the BglII and BamHI restriction sites of a cloned synthetic β-urogastrone (β-Uro) [59459-45-9] gene under the control of the trp promoter. Subsequent induction with 3β-indole acrylic acid produces β-Uro with a C-terminal Arg6 fusion. The raised isoelec. point of this polypeptide fusion facilitates rapid purification by cation exchange chromatog. The C-terminal Arg6 tail can be readily removed by treatment with carboxypeptidase B.
IT **96337-25-6P**
RL: PREP (Preparation)
(DNA specifying, preparation of)

RN 96337-25-6 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L15 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:490597 CAPLUS
DOCUMENT NUMBER: 93:90597
TITLE: The binding of protamines to DNA; role of protamine phosphorylation
AUTHOR(S): Willmitzer, L.; Wagner, K. G.
CORPORATE SOURCE: Abt. Molekularbiol., Ges. Biotechnol. Forsch., Braunschweig, D-3300, Fed. Rep. Ger.
SOURCE: Biophysics of Structure and Mechanism (1980), 6(2), 95-110
CODEN: BSMHBH; ISSN: 0340-1057
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984

AB The thermodn. of protamine-DNA interaction was investigated with clupeine Z from herring labeled at its N-terminus with fluorescein. The ionic strength dependence, the influence of protamine phosphorylation, the native DNA conformation (using native and heat-denatured DNA), and the protamine primary structure (using 2 oligoarginine peptides of similar length as the clupeine) was thoroughly studied. The unusually high cooperativity of interaction is strictly correlated to the native DNA conformation and the protamine primary structure. Cooperativity is explained by crosslinking of DNA segments resulting in an increase of the neg. charge d. The importance of protamine phosphorylation lies in the fact that thermodynamically governed interaction with DNA and favorable crosslinking of DNA are shifted to physiol. reasonable ionic strengths.

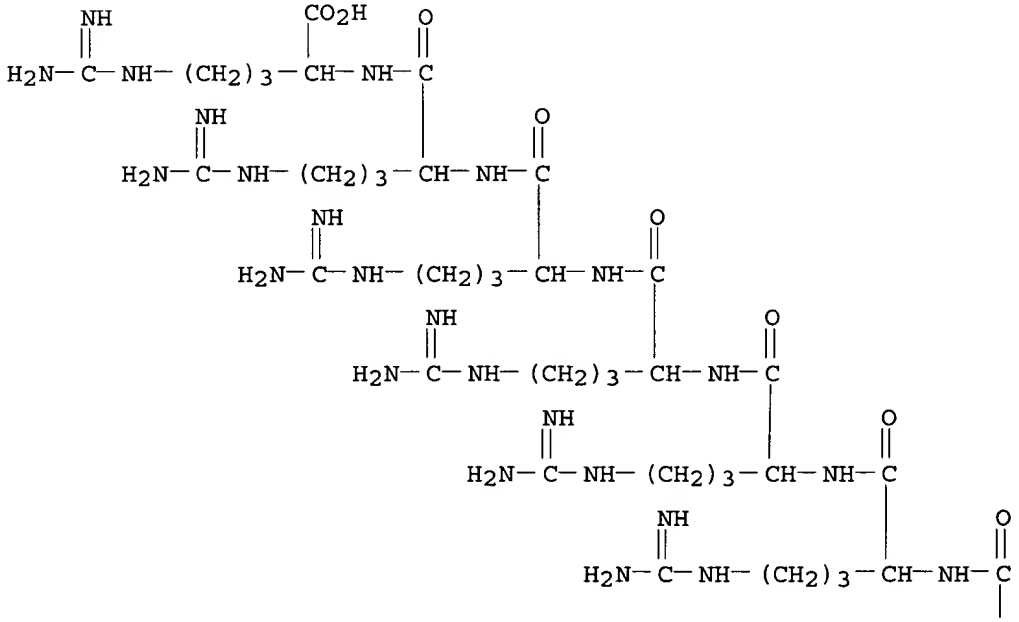
IT **74386-12-2**
RL: BIOL (Biological study)
(DNA affinity for, clupeine in relation to)

RN 74386-12-2 CAPLUS

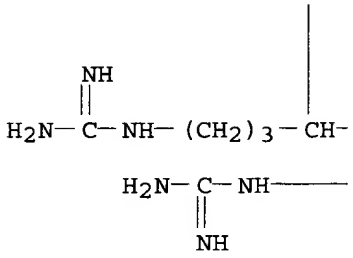
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

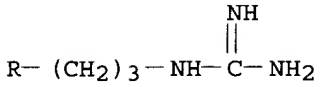
SEQ 1 RRRRRRRRRR RRRRRR

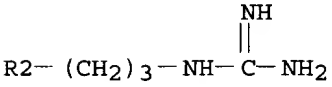
PAGE 1-A



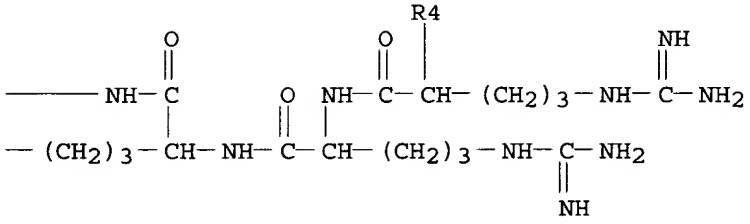
PAGE 2-A



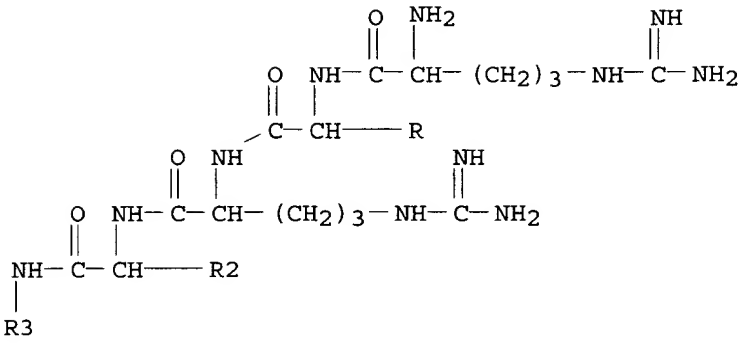


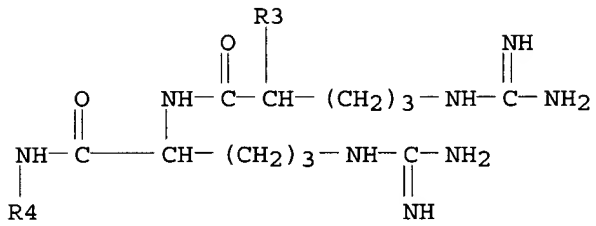


PAGE 2-B



PAGE 3-A





L15 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:502180 CAPLUS
DOCUMENT NUMBER: 89:102180
TITLE: Study of the interaction of synthetic fragments of histone F2a1 and iridine and salmine protamines with DNA
AUTHOR(S): Avdyukova, N. V.; Shirokova, A. G.; Radina, L. B.
CORPORATE SOURCE: Inst. Chem., Sverdlovsk, USSR
SOURCE: Molekulyarnaya Biologiya (Moscow) (1978), 12(3), 689-94
CODEN: MOBIBO; ISSN: 0026-8984
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
AB Thermal denaturation, equilibrium dialysis, and CD were used to analyze the interactions between salmon sperm DNA and 13 synthetic peptides, 3 of which represent N-terminal sequences in calf thymus histone F2a1 and the remainder, C-terminal sequences of salmine and iridine. One peptide decreased the Tm of the DNA by 0.5°, but the others increased the Tm by 4.5-15.5°. This DNA-stabilizing ability increased with an increase in the number of basic residues in the peptide but decreased with the addition of a C-terminal serine. For peptides containing ≥ 4 arginine residues, peptide binding to DNA was cooperative. The binding consts. (Ks) for the different peptides, estimated by equilibrium dialysis, were in the range of 1.8 + 10-2-1.1 + 104 M-1. The Ks increased with an increase in the number of basic residues. CD anal. indicated that these peptides caused a B-form → C-form conformational transition; the extent of the transition increased with an increase in basic residues.
IT **66344-93-2**
RL: BIOL (Biological study)
(DNA interaction with, mol. structure in relation to)
RN 66344-93-2 CAPLUS

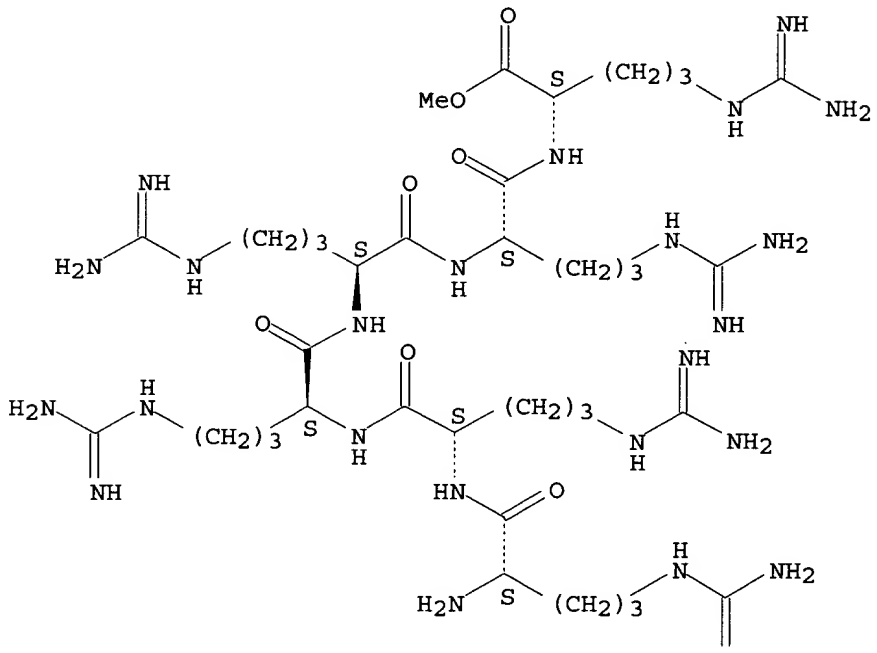
CN L-Arginine, N2-[N2-[N2-[N2-(N2-L-arginyl-L-arginyl)-L-arginyl]-L-arginyl]-L-arginyl]-, methyl ester, nonahydrobromide (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

●9 HBr

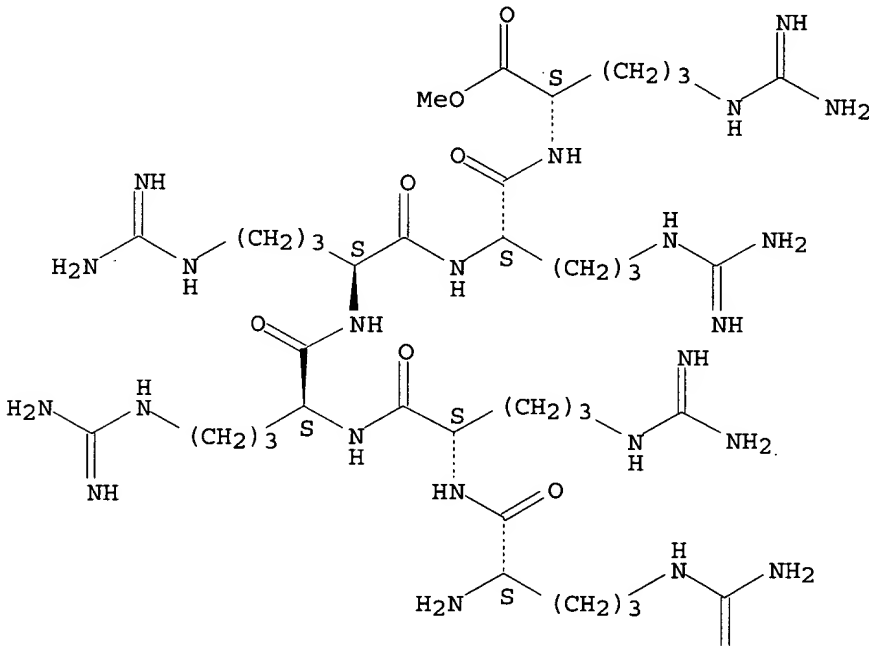
L15 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:190643 CAPLUS
DOCUMENT NUMBER: 88:190643
TITLE: Fragments of principal nuclear proteins and their analogs. V. Synthesis of fragments of the central part of a protamine molecule of iridine I
AUTHOR(S): Shirokova, A. G.; Radina, L. B.
CORPORATE SOURCE: Inst. Khim., Sverdlovsk, USSR
SOURCE: Zhurnal Obshchei Khimii (1978), 48(1), 193-7
CODEN: ZOKHA4; ISSN: 0044-460X

Searched by Barb O'Bryen, STIC 2-2518

DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
AB The peptide fragments of the iridine I mol., H-(Arg)5-OMe.9HBr, H-Ser-(Arg)5-OMe.13HBr (I), and H-Pro-(Arg)2-Val-OMe.5HBr were prepared by standard peptide coupling methods. Only I was a strong nucleic acid synthesis inhibitor.
IT **66344-93-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66344-93-2 CAPLUS
CN L-Arginine, N2-[N2-[N2-[N2-(N2-L-arginyl-L-arginyl)-L-arginyl]-L-arginyl]-L-arginyl]-, methyl ester, nonahydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● 9 HBr

IT **66344-94-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
RN 66344-94-3 CAPLUS
CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-

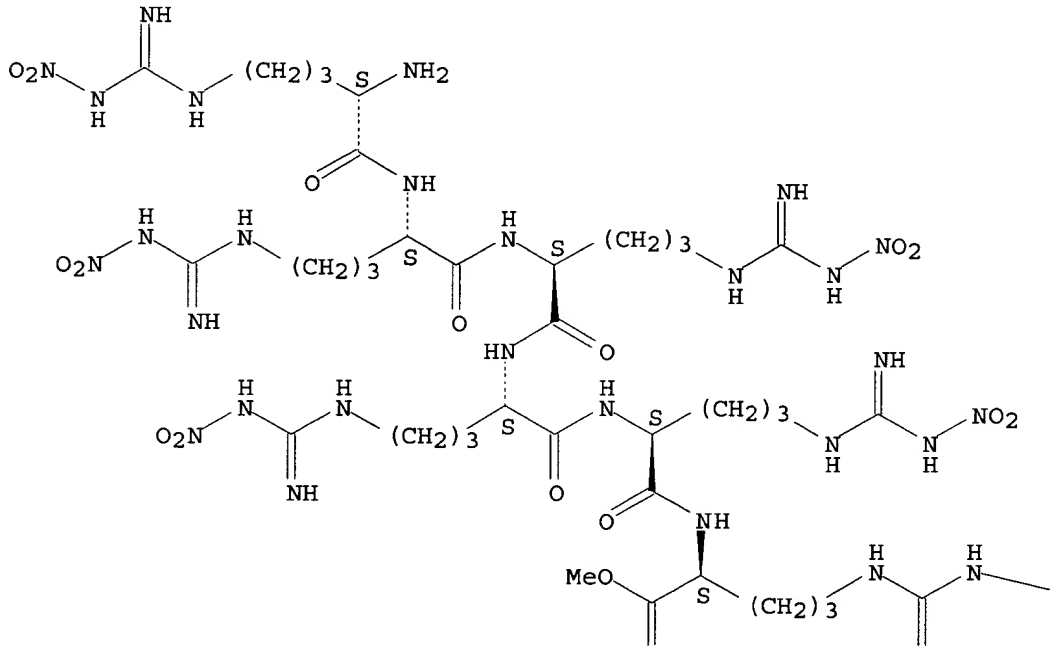
N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-, methyl ester, nonahydrobromide (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A

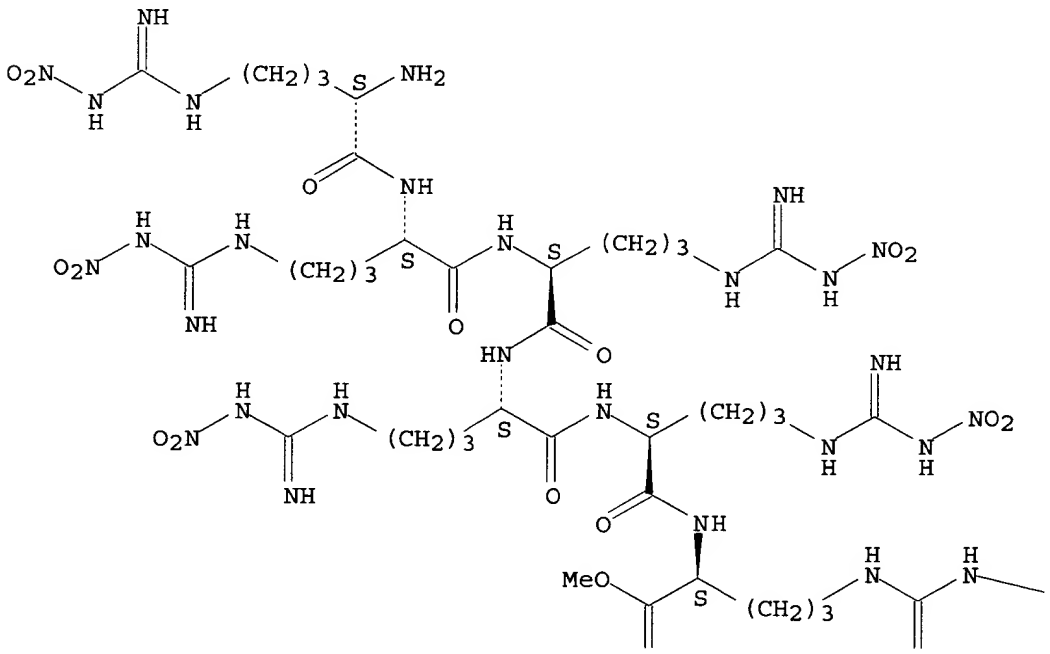
● 9 HBr

L15 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:602067 CAPLUS
DOCUMENT NUMBER: 87:202067
TITLE: Fragments of principal nuclear proteins and their analogs. III. Synthesis of an undecapeptide corresponding to the amino acid sequence 17-27 of iridin I protamine
AUTHOR(S): Shirokova, A. G.; Zhdanova, E. A.; Radina, L. B.
CORPORATE SOURCE: Inst. Khim., Sverdlovsk, USSR
SOURCE: Zhurnal Obshchei Khimii (1977), 47(4), 932-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
AB The title compound, Pro-Arg-Arg-Val-Ser-(Arg)6-OMe, was prepared by stepwise mixed-anhydride condensation reactions to give PhCH2O2C-Pro-Arg(NO2)-Arg(NO2)-Val-OH and Ser(CH2Ph)-[Arg(NO2)]6-OMe, which underwent subsequent dicyclohexylcarbodiimide coupling and deblocking.
IT **64883-28-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling reaction of, with serine derivative)

RN 64883-28-9 CAPLUS
CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-, methyl ester, hydrobromide (2:15) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A

●15/2 HBr

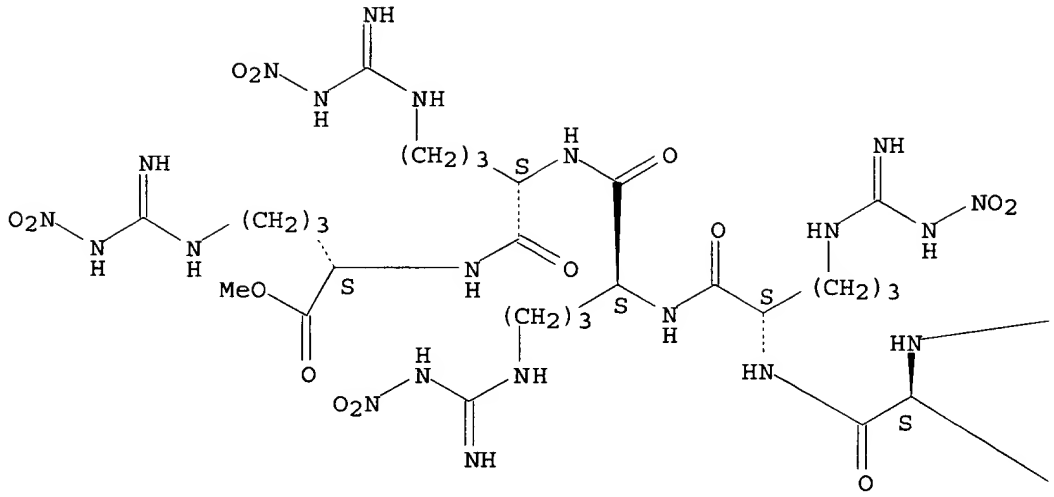
IT **64836-74-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and partial deblocking of)
RN 64836-74-4 CAPLUS
CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[(phenylmethoxy)carbonyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-, methyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

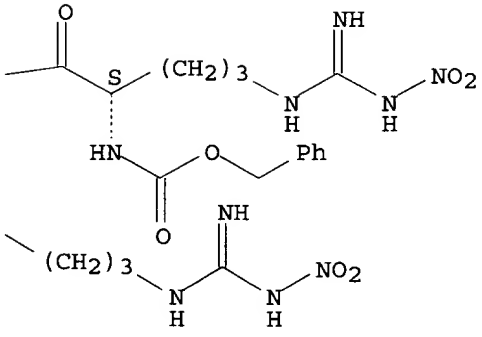
SEQ 1 RRRRRR

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L15 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:155132 CAPLUS
DOCUMENT NUMBER: 78:155132
TITLE: Inhibition of ciliary movement by basic polypeptides
AUTHOR(S): Amemiya, Shonan; Terayama, Hiroshi
CORPORATE SOURCE: Fac. Sci., Univ. Tokyo, Tokyo, Japan
SOURCE: Comparative Biochemistry and Physiology, Part A: Molecular & Integrative Physiology (1973), 44(3), 927-33
CODEN: CBPAB5; ISSN: 1095-6433

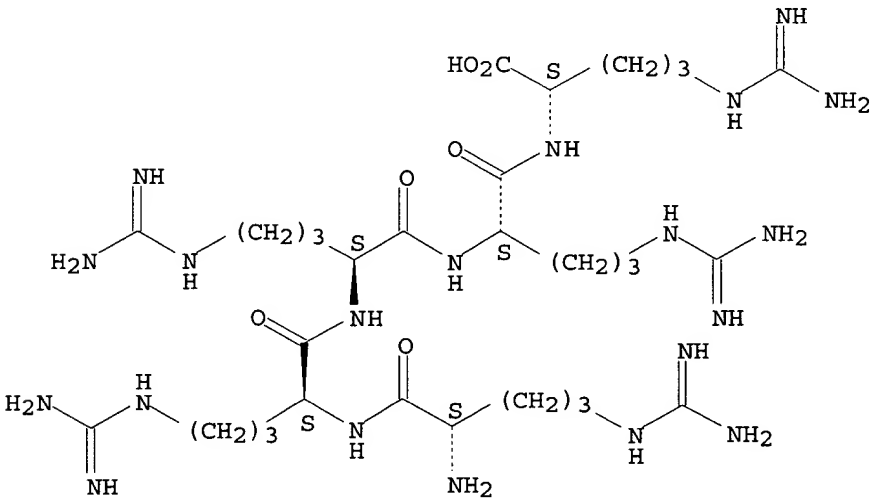
Searched by Barb O'Bryen, STIC 2-2518

DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB Polypeptides such as protamine sulfate, histone, poly-L-arginine [25212-18-4] and poly-L-lysine [25104-18-1] inhibited the ciliary movement of sea urchin and sand dollar embryos. Protamine sulfate completely inhibited the ciliary movement at concns. >10 μg/ml, and this inhibition was reversible. The inhibitory activity of poly-L-arginine increased with increasing degree of polymerization from 5 to 16, but remained constant beyond 16. The interactions of polycations with the neg. charged surface of sea urchin embryos or their cilia may be involved in the inhibitory mechanism.
IT **40855-08-1 41232-22-8**
RL: PRP (Properties)
(cilia motility inhibition by, in sea urchin embryo)
RN 40855-08-1 CAPLUS
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-, hydrochloride (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 RRRRR

Absolute stereochemistry.



●x HCl

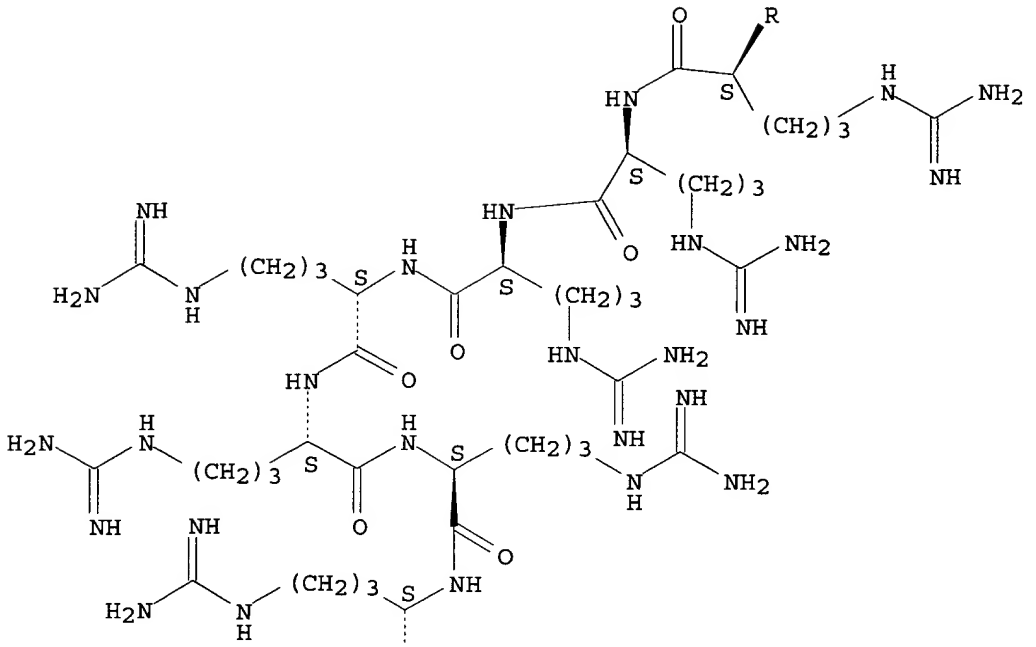
RN 41232-22-8 CAPLUS
CN L-Arginine, N2-[N2-[N2-[N2-[N2-[N2-[N2-[N2-(N2-L-arginyl-L-arginyl)-L-arginyl]-L-arginyl]-L-arginyl]-L-arginyl]-L-arginyl]-L-arginyl]-L-arginyl]-, hydrochloride (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

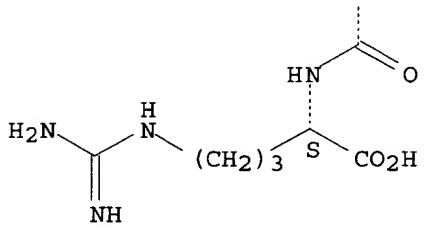
SEQ 1 RRRRRRRRRR

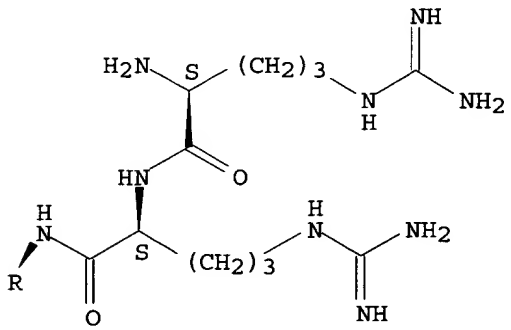
Absolute stereochemistry.

PAGE 1-A



PAGE 2-A





PAGE 3-A

●x HCl

=> fil hom
FILE 'HOME' ENTERED AT 14:33:21 ON 07 SEP 2005

=>

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=> d his full

     (FILE 'HOME' ENTERED AT 14:09:27 ON 07 SEP 2005)

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L2             0 SEA ABB=ON  G{0,8}R{5,20}/SQSP

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L3         19598 SEA ABB=ON  G{0,8}R{5,20}/SQSP
L4           146 SEA ABB=ON  ^G{0,8}R{5,20}^/SQSP
                 SAVE TEMP L4 SCH432SEQ/A
L5               ANALYZE L4 1- LC :       14 TERMS
                 D 1-14

     FILE 'CAPLUS' ENTERED AT 14:12:05 ON 07 SEP 2005
L6           203 SEA ABB=ON  L4

     FILE 'BIOSIS' ENTERED AT 14:12:21 ON 07 SEP 2005
L7            12 SEA ABB=ON  L4

     FILE 'REGISTRY' ENTERED AT 14:12:46 ON 07 SEP 2005
                 D QUE L4

     FILE 'BIOSIS, TOXCENTER, PROUSDDR' ENTERED AT 14:12:47 ON 07 SEP 2005
L8            76 SEA ABB=ON  L4
L9            74 DUP REM L8 (2 DUPLICATES REMOVED)
                       ANSWERS '1-12' FROM FILE BIOSIS
                       ANSWERS '13-72' FROM FILE TOXCENTER
                       ANSWERS '73-74' FROM FILE PROUSDDR

     FILE 'REGISTRY' ENTERED AT 14:13:16 ON 07 SEP 2005
                 D QUE L4

     FILE 'BIOSIS, PROUSDDR' ENTERED AT 14:13:16 ON 07 SEP 2005
L10           14 SEA ABB=ON  L4
L11           14 DUP REM L10 (0 DUPLICATES REMOVED)
                       ANSWERS '1-12' FROM FILE BIOSIS
                       ANSWERS '13-14' FROM FILE PROUSDDR
                 D IALL 1-14

     FILE 'STNGUIDE' ENTERED AT 14:13:49 ON 07 SEP 2005

     FILE 'REGISTRY' ENTERED AT 14:15:07 ON 07 SEP 2005
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                 2 OR  216584-13-3 )
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     FILE 'REGISTRY' ENTERED AT 14:30:37 ON 07 SEP 2005
L13            1 SEA ABB=ON  L4 AND SQL>20

     FILE 'REGISTRY' ENTERED AT 14:31:02 ON 07 SEP 2005
                 D QUE L13
                 D SQIDE L13

     FILE 'CAPLUS' ENTERED AT 14:31:22 ON 07 SEP 2005
L14            1 SEA ABB=ON  L13
                 D IALL
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L15 38 SEA ABB=ON L6 NOT PY>1999

FILE 'CAPLUS' ENTERED AT 14:32:34 ON 07 SEP 2005
D QUE L15
D IBIB ED ABS HITSEQ
D IBIB ED ABS HITSEQ 2-38

FILE 'HOME' ENTERED AT 14:33:21 ON 07 SEP 2005
D SAVED

FILE HOME

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9
DICTIONARY FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE CAPLUS

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FILE COVERS 1907 - 7 Sep 2005 VOL 143 ISS 11
FILE LAST UPDATED: 6 Sep 2005 (20050906/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 31 August 2005 (20050831/ED)

FILE RELOADED: 19 October 2003.

FILE TOXCENTER

FILE COVERS 1907 TO 6 Sep 2005 (20050906/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TOXCENTER has been enhanced with new files segments and search fields.
See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html for a description of changes.

FILE PROUSDDR

FILE COVERS 1980 TO 1 Sep 2005 (20050901/ED)

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 2, 2005 (20050902/UP).

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! FINDPATTERNS on pir:* allowing 0 mismatches

! 1 <G{0,8}R{5,20}> - pattern searched September 7, 2005 14:06 ..

mark beginning & end of sequence

Databases searched:
NBRF, Release 79.1, Released on 16Aug2004, Formatted on 17Oct2004

Total finds:	0
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Total sequences:	283,416
CPU time:	42.50

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Databases searched:
UNIPROT, Release 3.1, Released on 9Nov2004, Formatted on 5Nov2004

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CPU time: 04:54.45

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